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=> FILE REG

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FILE LAST UPDATED: 13 Dec 2005 (20051213/ED)

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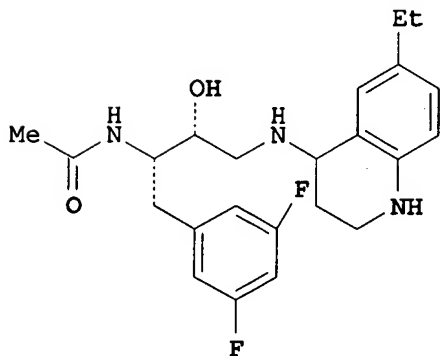
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 L29 3 SEA FILE=REGISTRY ABB=ON L28 AND L24  
 L30 3 SEA FILE=HCAPLUS ABB=ON L29

3 CA references

=> D L30 BIB ABS IND HITSTR 1-3

L30 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2005:1103733 HCAPLUS  
 DN 143:386930  
 TI Preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  
 $\beta$ -secretase inhibitors for treating Alzheimer's disease and other  
 diseases characterized by deposition of A $\beta$ -peptide  
 IN Hom, Roy; Tucker, John; John, Varghese; Shah, Neerav  
 PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
 SO PCT Int. Appl., 365 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005095326	A2	20051013	WO 2005-US9920	20050325
	WO 2005095326	A3	20051110		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,				
	SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
	EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,				
	RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				
	MR, NE, SN, TD, TG				
	US 2005267199	A1	20051201	US 2005-90520	20050325
PRAI	US 2004-556461P	P	20040325		
GI					



II

AB Title compds. of formula Z-X-NHCH(R1)CH(Q)C(R2)(R3)N(R15)(Rc) (I) [Q = SH and derivs., NH and derivs.; Z = H, (un)substituted

cycloalkylalk(en/yn)yl, cycloalkyl; X = CO, SO<sub>2</sub>; R<sub>1</sub> = (un)substituted alkyl; R<sub>2</sub>, R<sub>3</sub> = independently H, F, (un)substituted alk(en/yn)yl, hetero/aryl, etc.; R<sub>2</sub>CR<sub>3</sub> = 3-7 membered carbocyclic ring with 1-3 C atoms optionally replaced by O, S, SO<sub>2</sub>, CO, NH and derivs.; R<sub>15</sub> = H, (un)substituted alkyl, alkoxy, etc.; R<sub>c</sub> = (un)substituted (CH<sub>2</sub>)<sub>n</sub>-cycloalkyl, etc.; n = 0-3] were prepared. Compds. disclosed herein are inhibitors of the  $\beta$ -secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). For example, II was prepared, in 4 steps, by reacting benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate with [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate, followed by Boc-deprotection, acetylation in the presence of N,N-diacetyl-O-methylhydroxylamine/CH<sub>2</sub>Cl<sub>2</sub>, and Cbz-deprotection.

IC ICM C07C233-00

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 24, 25

ST aminopropane amino thio prepn beta secretase inhibitor, anti Alzheimer; amyloidosis cyclohexyl phenyl tetrahydroquinolinyl thiochromanyl chromanyl prepn aminohydroxypropylaminoacetamide prepn; aminohydroxypropylaminothioacetamide prepn Alzheimer drug

IT Alzheimer's disease

(Lewy-body variant; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(amyloid angiopathy; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(dementia associated with cortical basal degeneration; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Parkinson's disease

(dementia associated with; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Mental and behavioral disorders

(dementia, degenerative; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Mental and behavioral disorders

(dementia, frontotemporal dementia with parkinsonism; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Mental and behavioral disorders

(dementia; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of



A $\beta$ -peptide)

IT Amyloidosis

(hereditary, cerebral hemorrhage type, Dutch type; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Alzheimer's disease

Anti-Alzheimer's agents

Cognition enhancers

Cognitive disorders

Down's syndrome

Human

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Paralysis

(pseudobulbar; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

( $\beta$ -, deposition inhibitors; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-42-9P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (chromatog. resolution, drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676134-22-8P, (6-Isopropyl-3,4-dihydro-2H-chromen-4-yl)amine

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(chromatog. resolution; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-66-7P 676134-56-8P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-64-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide 676133-65-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4R)-6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]acetamide

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-38-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(4S)-6-ethyl-3,4-dihydro-2H-chromen-4-yl]amino]-2-hydroxypropyl]acetamide  
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 527731-54-0P 676133-44-1P 676134-02-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676135-29-8P 676135-75-4P 676135-90-3P 676135-91-4P 676136-33-7P 676137-78-3P 676138-21-9P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-31-6P 676133-43-0P 676133-45-2P 676133-46-3P 676133-47-4P  
676133-48-5P 676133-51-0P 676133-52-1P 676133-53-2P  
676133-54-3P 676133-55-4P 676133-56-5P 676133-57-6P 676133-58-7P  
676133-59-8P 676133-60-1P 676133-61-2P 676133-71-4P 676133-72-5P  
676133-73-6P 676133-74-7P 676133-75-8P 676133-76-9P 676133-77-0P  
676133-78-1P 676133-79-2P 676133-80-5P 676133-81-6P 676133-82-7P  
676133-83-8P 676133-84-9P 676133-85-0P 676133-86-1P 676133-87-2P  
676133-88-3P 676133-89-4P 676133-90-7P 676133-91-8P 676133-92-9P  
676133-93-0P 676133-94-1P 676133-95-2P 676133-96-3P 676133-97-4P  
676133-98-5P 676133-99-6P 676134-00-2P 676134-01-3P 676134-07-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4R)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-15-9P  
676134-16-0P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[[[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-18-2P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-20-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-28-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4R)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-29-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl]amino]propyl]-2-hydroxy-2-methylpropanamide 676134-31-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl]amino]propyl]-1-hydroxycyclopropanecarboxamide 676134-32-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-yl]amino]propyl]methanesulfonamide 676134-33-1P  
676134-37-5P 676134-38-6P 676134-45-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-isopropoxy-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-52-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-hydroxy-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-59-1P 676134-60-4P 676134-61-5P  
676134-66-0P 676134-77-3P 676134-87-5P, 5-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amino]-5-oxopentanoic acid 676134-89-7P,  
4-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amino]-4-oxobutanoic acid

676134-93-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676134-97-7P, N-[(1S,2R)-1-[3-(Hexyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-06-1P, N-[(1S,2R)-1-(3-Fluoro-4-hydroxybenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-13-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide 676135-16-3P  
676135-21-0P 676135-27-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]formamide hydrochloride  
676135-28-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]-2-fluoroacetamide hydrochloride  
676135-30-1P 676135-32-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]ethanethioamide hydrochloride 676135-34-5P 676135-35-6P 676135-37-8P 676135-38-9P  
676135-39-0P 676135-40-3P 676135-41-4P 676135-42-5P 676135-43-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-44-7P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-46-9P, N-[(1S,2R)-2-Hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]-1-[(thien-2-yl)methyl]propyl]acetamide hydrochloride 676135-49-2P, N-[(1S,2R)-2-Hydroxy-1-(3-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-50-5P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-52-7P, N-[(1S,2R)-1-[3-(Heptyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-53-8P, N-[(1S,2R)-1-[3-[2-(2-Methoxyethoxy)ethoxy]-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-54-9P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-3-[[4-(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]acetamide 676135-56-1P 676135-57-2P 676135-58-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]methanesulfonamide  
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676136-25-7P 676136-26-8P 676136-35-9P 676136-44-0P 676136-50-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4S)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 676136-51-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4R)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 676136-52-0P  
676136-65-5P 676136-68-8P 676136-69-9P 676136-73-5P 676136-88-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(5S)-3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl]amino]-2-hydroxypropyl]acetamide 676136-89-3P  
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676137-41-0P 676137-42-1P 676137-43-2P 676137-44-3P 676137-45-4P  
676137-46-5P 676137-47-6P 676137-48-7P 676137-52-3P, N-[(1S,2R)-3-[(1S)-5-Butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-67-0P  
676137-68-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-[4-(methyl)thiophen-2-yl]phenyl]cyclohexyl]amino]propyl]acetamide  
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difluorophenyl)butan-2-yl]acetamide 866473-85-0P, N-[(2S,3R)-3-Amino-1-  
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N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(isochroman-4-yl)amino]butan-  
2-yl]acetamide 866473-88-3P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-  
[(6-isopropoxy-1,1-dimethylisochroman-4-yl)amino]butan-2-yl]acetamide  
866473-89-4P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(1-  
phenylcyclohexyl)amino]butan-2-yl]acetamide 866473-90-7P,  
N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]butan-2-yl]acetamide 866473-91-8P,  
N-[(3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]butan-2-yl]ethanethioamide 866473-92-9P,  
N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]butan-2-yl]methanesulfonamide  
866473-93-0P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-ethyl-  
1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]propionamide  
866473-94-1P, N-[(2S,3R)-3-Amino-4-[[1-(3-tert-  
butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide  
866473-95-2P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(S)-7-isobutyl-  
1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]acetamide  
866473-96-3P, N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[(3-ethyl-  
5,6,7,8-tetrahydroquinolin-5-yl)amino]butan-2-yl]acetamide 866473-97-4P,  
N-[(2S,3R)-3-Amino-4-[(S)-5-butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]-1-(3,5-difluorophenyl)butan-2-yl]acetamide 866473-98-5P,  
N-[(2S,3R)-3-Amino-1-(3,5-difluorophenyl)-4-[[2-(3-isobutylphenyl)propan-2-  
yl]amino]butan-2-yl]acetamide 866473-99-6P, N-[(2S,3R)-1-(3,5-  
Difluorophenyl)-4-[(S)-6-ethylchroman-4-yl]amino]-3-mercaptoputan-2-  
yl]acetamide 866474-00-2P, N-[(2S,3R)-4-[(3-Isopropylbenzyl)amino]-1-  
(3,5-difluorophenyl)-3-mercaptoputan-2-yl]acetamide 866474-01-3P,  
N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(2-ethyl-7-fluoro-9H-fluoren-9-  
yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-02-4P,  
N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(S)-6-isopentylchroman-4-yl]amino]-3-  
mercaptoputan-2-yl]acetamide 866474-03-5P, N-[(2S,3R)-4-[(S)-6-  
(Cyclohexylmethyl)chroman-4-yl]amino]-1-(3,5-difluorophenyl)-3-  
mercaptoputan-2-yl]acetamide 866474-04-6P, N-[(2S,3R)-1-(3,5-  
Difluorophenyl)-3-mercapto-4-[(4-methyl-6-neopentylchroman-4-  
yl)amino]butan-2-yl]acetamide 866474-05-7P, N-[(2S,3R)-1-(3,5-  
Difluorophenyl)-4-[(S)-6-isopropoxychroman-4-yl]amino]-3-mercaptoputan-2-  
yl]acetamide 866474-06-8P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-  
[(isochroman-4-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-07-9P,  
N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(6-isopropoxy-1,1-dimethylisochroman-  
4-yl)amino]-3-mercaptoputan-2-yl]acetamide 866474-08-0P,  
N-[(2S,3R)-1-(3,5-Difluorophenyl)-3-mercapto-4-[(1-  
phenylcyclohexyl)amino]butan-2-yl]acetamide 866474-09-1P,  
N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[[1-(3-isopropylphenyl)cyclohexyl]amin  
o]-3-mercaptoputan-2-yl]acetamide 866474-10-4P, N-[(3R)-1-(3,5-  
Difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-  
mercaptoputan-2-yl]ethanethioamide 866474-11-5P, N-[(2S,3R)-1-(3,5-  
Difluorophenyl)-4-[(S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-3-  
mercaptoputan-2-yl]methanesulfonamide 866474-12-6P, N-[(2S,3R)-1-(3,5-

Difluorophenyl)-4-(((S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino)-3-mercaptobutan-2-yl]propionamide 866474-13-7P, N-[(2S,3R)-4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-mercaptobutan-2-yl]acetamide 866474-14-8P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[[((S)-7-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-3-mercaptobutan-2-yl]acetamide 866474-15-9P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[(3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl)amino]-3-mercaptobutan-2-yl]acetamide 866474-16-0P, N-[(2S,3R)-4-[[((S)-5-Butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorophenyl)-3-mercaptobutan-2-yl]acetamide 866474-17-1P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-4-[[2-(3-isobutylphenyl)propan-2-yl]amino]-3-mercaptobutan-2-yl]acetamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 527730-64-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676134-24-0P 676134-25-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 62-53-3, Aniline, reactions 75-26-3, 2-Bromopropane 88-95-9, Phthaloyl dichloride 89-55-4, 5-Bromosalicylic acid 95-89-6, 3-Chloro-2,5-dimethylpyrazine 98-80-6, Phenylboronic acid 100-39-0, Benzyl bromide 103-64-0, (2-Bromovinyl)benzene 105-39-5, Ethyl chloroacetate 106-95-6, Allyl bromide, reactions 107-13-1, Acrylonitrile, reactions 108-55-4, Glutaric anhydride 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-52-4, Pentanoic acid, reactions 109-94-4, Ethyl formate 111-14-8, Heptanoic acid 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 115-07-1, Propene, reactions 123-07-9, 4-Ethylphenol 140-88-5, Ethyl acrylate 288-32-4, 1H-Imidazole, reactions 491-37-2, 4-Chromanone 501-53-1, Benzyl chloroformate 530-62-1, 1,1'-Carbonyldiimidazole 541-46-8, Isovaleramide 557-93-7, 2-Bromopropene 584-12-3, 2-Bromofuran 589-16-2, 4-Ethylaniline 594-61-6, 2-Methylactic acid 618-89-3, Methyl 3-bromobenzoate 625-36-5, 3-Chloropropionyl chloride 629-04-9, 1-Bromoheptane 630-17-1, Neopentyl bromide 765-58-2, 2-Bromo-5-methylthiophene 768-35-4, 3-Fluorophenylboronic acid 872-31-1, 3-Bromothiophene 922-63-4, 2-Ethylacrolein 1003-09-4, 2-Bromothiophene 1066-54-2, Trimethylsilylacetylene 1113-78-6, Tri-sec-butylborane 1120-90-7, 3-Iodopyridine 1121-76-2, 4-Chloropyridine 1-oxide 1722-10-7, 3-Chloro-6-methoxypyridazine 1765-93-1, 4-Fluorophenylboronic acid 2105-94-4, 2-Fluoro-4-bromophenol 2234-82-4, Propylmagnesium chloride 2564-95-6 2725-82-8,

1-Bromo-3-ethylbenzene 3034-53-5, 2-Bromothiazole 3128-06-1,  
5-Oxoheptanoic acid 3132-99-8, 3-Bromobenzaldehyde 3430-13-5,  
5-Bromo-2-methylpyridine 3430-17-9, 2-Bromo-3-methylpyridine  
3430-22-6, 3-Bromo-4-methylpyridine 3510-66-5, 2-Bromo-5-methylpyridine  
4132-48-3, 1-Isopropyl-4-methoxybenzene 4347-33-5, 5-Formyl-2-  
thiopheneboronic acid 4595-59-9, 5-Bromopyrimidine 4595-60-2,  
2-Bromopyrimidine 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal  
4926-28-7, 2-Bromo-4-methylpyridine 5029-67-4, 2-Iodopyridine  
5159-41-1, 2-Iodobenzyl alcohol 5220-49-5, 3-Amino-2-cyclohexen-1-one  
5292-21-7, Cyclohexylacetic acid 5369-19-7, 3-(tert-Butyl)aniline  
5433-01-2, 3-Isopropylbromobenzene 6165-69-1, Thien-3-ylboronic acid  
10557-85-4, 4-Iodo-3,5-dimethylisoxazole 13132-23-5, Neopentylmagnesium  
chloride 14282-76-9, 2-Bromo-3-methylthiophene 14508-49-7,  
2-Chloropyrazine 15501-33-4, 1-Iodo-2,2-dimethylpropane 15854-87-2,  
4-Iodopyridine 16114-47-9, (3,5-Dimethylisoxazol-4-yl)boronic acid  
21740-00-1, 5-Bromo-2-iodobenzoic acid 22037-28-1, 3-Bromofuran  
22385-77-9, 3,5-Di-tert-butylbromobenzene 22531-06-2,  
7-Ethyl-1-tetralone 27339-38-4, (3-Formylfuran-2-yl)boronic acid  
30318-99-1, 3-Bromo-4-methylthiophene 31938-07-5, 3-Bromobenzyl nitrile  
33034-67-2, 2-Chloro-4-trifluoromethylpyrimidine 33252-30-1,  
2-Chloro-4-cyanopyridine 37067-95-1, 39959-54-1, 3-Bromobenzylamine  
hydrochloride 49844-90-8, 4-Chloro-2-methylsulfanylpurine  
52727-57-8, Methyl 2-amino-5-bromobenzoate 54149-17-6,  
1-Bromo-2-(2-methoxyethoxy)ethane 55552-70-0, 3-Furanboronic acid  
64169-34-2, 5-Bromophthalide 71759-88-1, 5-Iodo-1-methyl-1H-imidazole  
73183-34-3 74003-55-7, 3,4-Dibromobenzaldehyde 78887-39-5,  
3-Acetamidobenzeneboronic acid 79003-26-2 82941-26-2,  
(2-Butoxyethoxy)acetic acid 84110-40-7, 2-Methylpropylboronic acid  
89283-31-8, 3-Chloro-5-methylpyridazine 92273-73-9, Butylzinc bromide  
96259-61-9, (2-Cyanobenzoyloxy)acetic acid ethyl ester 107202-62-0,  
tert-Butyl [(1S)-2-cyclohexyl-1-((2S)-oxiran-2-yl)ethyl]carbamate  
111196-81-7, 2-Chloro-5-ethylpyrimidine 126403-67-6, Isobutylzinc  
bromide 138900-55-7 156567-57-6, Propylzinc bromide 162536-85-8,  
tert-Butyl [(1S)-2-(4-hydroxyphenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate  
162541-58-4, tert-Butyl [(1S)-2-[3-(benzyloxy)phenyl]-1-((2S)-oxiran-2-  
yl)ethyl]carbamate 162607-15-0, (4-Methylthien-2-yl)boronic acid  
163105-89-3, 2-Methoxy-5-pyridineboronic acid 179897-89-3,  
5-Bromo-2-fluorobenzonitrile 181765-86-6 205445-52-9 206551-43-1,  
5-Acetyl-2-thiopheneboronic acid 262422-94-6 388075-52-3, tert-Butyl  
[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate  
597563-17-2 597564-06-2 597564-17-5, tert-Butyl [(1S)-2-(3-benzyloxy-5-  
fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate 676133-50-9  
676134-11-5 676134-30-8 676134-54-6 676134-88-6 676135-04-9  
676135-31-2 676135-36-7, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-(((1S)-  
7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino)butan-2-ol dihydrochloride  
676135-45-8, tert-Butyl [(1S)-2-[3-(allyloxy)-5-fluorophenyl]-1-((2S)-  
oxiran-2-yl)ethyl]carbamate 676135-48-1, tert-Butyl [(1S)-1-((2S)-oxiran-  
2-yl)-2-(thien-2-yl)ethyl]carbamate 676135-51-6, tert-Butyl  
[(1S)-2-(3-fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate  
676135-55-0, (4R)-6-Ethyl-3,4-dihydro-1H-isothiochromen-4-amine  
2,2-dioxide 676135-77-6 676135-87-8 676135-95-8 676136-00-8  
676136-31-5 676137-12-5 676137-54-5 676137-64-7 676137-69-2,  
N-(1S,2R)-[3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]acetamide 676137-92-1 676138-24-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  
β-secretase inhibitors for treating Alzheimer's disease and other  
diseases characterized by deposition of Aβ-peptide)  
IT 1481-93-2P, Chroman-4-ol 2905-38-6P, N-Thioacetylphthalimide  
3197-61-3P, 1-Formylimidazole 4295-36-7P, 2,3-Dihydroquinolin-4(1H)-one



6329-74-4P 10269-01-9P, 3-Bromobenzylamine 19235-89-3P,  
4-Chloropyridine-2-carbonitrile 20924-54-3P 20924-56-5P,  
1H-2-Benzopyran-4(3H)-one 20924-57-6P 30951-66-7P,  
2-(3-Bromophenyl)-2-propanol 31590-84-8P, 2-Neopentylpyridine  
32281-97-3P, 7-Bromo-1-tetralone 33142-21-1P, Ethyl 2-chloro-3-  
oxopropanoate 33974-41-3P, Neopentylmagnesium bromide 34246-54-3P,  
3-Ethylbenzaldehyde 42205-73-2P, 2-Cyano-4-tert-butylpyridine  
50604-00-7P 53981-38-7P, (3,4-Dihydro-2H-chromen-4-yl)amine  
57056-92-5P 58164-02-6P, 1-tert-Butyl-3-iodobenzene 62750-11-2P  
74702-93-5P 76228-06-3P 87280-13-5P 94572-90-4P,  
1-(3-tert-Butylphenyl)cyclohexanol 99758-64-2P, 3,5-Di-tert-  
butylbenzonitrile 101714-35-6P 104174-63-2P 111773-13-8P  
116212-82-9P, Neopentylzinc chloride 133057-82-6P 139693-30-4P,  
(3,5-Di-tert-butylbenzyl)amine 147663-00-1P 161468-13-9P,  
1-(Fluoroacetyl)imidazole 186639-32-7P 198341-11-6P,  
6-Isopropyl-2,3-dihydro-4H-chromen-4-one 263896-27-1P 289039-20-9P  
358351-16-3P 379730-09-3P 388071-27-0P 388072-10-4P 388072-11-5P  
388072-77-3P, tert-Butyl [(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-  
oxopropyl]carbamate 388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamate 388075-48-7P 473567-47-4P  
493028-83-4P 527733-96-6P 527733-97-7P 527734-33-4P 530080-31-0P,  
5-Bromo-2-(1H-imidazol-1-yl)benzonitrile 537713-30-7P,  
4-Ethyl-4'-fluoro-1,1'-biphenyl-2-carboxylic acid 546115-65-5P  
597561-48-3P 597563-16-1P 627909-55-1P 672904-14-2P 676133-21-4P,  
(R)-7-Ethyltetralin-1-ol 676133-22-5P, ((S)-7-Ethyl-1,2,3,4-tetrahydro-1-  
naphthyl)amine hydrochloride 676133-23-6P, (R)-7-Bromotetralin-1-ol  
676133-24-7P 676133-25-8P 676133-26-9P 676133-27-0P 676133-28-1P,  
1-(3-Ethylphenyl)cyclohexanol 676133-29-2P, 1-(1-Azidocyclohexyl)-3-  
ethylbenzene 676133-30-5P, [1-(3-Ethylphenyl)cyclohexyl]amine  
676133-32-7P 676133-33-8P 676133-34-9P 676133-35-0P 676133-36-1P  
676133-37-2P 676133-39-4P 676133-40-7P 676133-41-8P 676133-49-6P  
676133-62-3P 676133-63-4P 676133-67-8P 676133-69-0P 676133-70-3P,  
tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-  
dihydro-2H-chromen-4-yl]amino]propyl]carbamate 676134-03-5P  
676134-04-6P, (6-Neopentyl-3,4-dihydro-2H-chromen-4-yl)amine  
676134-05-7P 676134-06-8P 676134-08-0P 676134-09-1P 676134-10-4P  
676134-12-6P 676134-13-7P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-  
hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-  
yl]amino]propyl]carbamate 676134-14-8P 676134-17-1P, tert-Butyl  
[(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-  
chromen-4-yl]amino]propyl]carbamate 676134-19-3P, tert-Butyl  
[(1S,2R)-1-benzyl-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-  
yl]amino]propyl]carbamate 676134-21-7P 676134-23-9P 676134-26-2P,  
tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4S)-6-isopropyl-  
3,4-dihydro-2H-chromen-4-yl]amino]propyl]carbamate 676134-27-3P  
676134-34-2P 676134-35-3P 676134-36-4P 676134-39-7P 676134-40-0P  
676134-41-1P 676134-42-2P 676134-43-3P 676134-44-4P,  
6-Neopentyl-2,3-dihydro-4H-chromen-4-one 676134-46-6P 676134-47-7P  
676134-48-8P 676134-49-9P 676134-50-2P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4S)-6-isopropoxy-3,4-  
dihydro-2H-chromen-4-yl]amino]propyl]carbamate 676134-51-3P  
676134-53-5P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-  
[(4S)-6-hydroxy-3,4-dihydro-2H-chromen-4-yl]amino]propyl]carbamate  
676134-55-7P 676134-57-9P 676134-58-0P 676134-62-6P,  
6-Isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene 676134-63-7P,  
4-Bromo-6-isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene  
676134-64-8P 676134-65-9P 676134-67-1P, 5-Bromo-2-  
[(carboxymethoxy)methyl]benzoic acid 676134-68-2P 676134-69-3P  
676134-70-6P 676134-71-7P, (6-Bromoisochroman-4-yl)carbamic acid  
tert-butyl ester 676134-72-8P 676134-73-9P 676134-74-0P

676134-75-1P 676134-76-2P 676134-78-4P, 7-(2,2-Dimethylpropyl)-1-hydroxy-3,4-dihydronaphthalene-2-carboxylic acid methyl ester  
676134-79-5P 676134-80-8P, 2-[(tert-Butyldimethylsilyloxy)methyl]-7-(2,2-dimethylpropyl)-3,4-dihydro-2H-naphthalen-1-one 676134-81-9P  
676134-82-0P 676134-83-1P 676134-84-2P 676134-85-3P 676134-86-4P  
676134-90-0P, 1-(3-Isopropylphenyl)cyclohexanamine hydrochloride  
676134-91-1P, 1-(3-Isopropylphenyl)cyclohexanol 676134-92-2P,  
1-(3-Isopropylphenyl)cyclohexyl azide 676134-94-4P, tert-Butyl  
[[1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676134-95-5P,  
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-ol dihydrochloride 676134-96-6P  
676134-98-8P, tert-Butyl [[1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate  
676134-99-9P 676135-00-5P, N-[[1S,2R)-1-[3-(Benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide  
hydrochloride 676135-01-6P, N-[[1S,2R)-1-(3-Hydroxy-5-fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide  
hydrochloride 676135-02-7P, tert-Butyl [[1S)-2-[4-(benzyloxy)-3-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-03-8P  
676135-05-0P 676135-07-2P 676135-08-3P, N-[[1S,2R)-1-[3-Fluoro-4-(benzyloxy)benzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-10-7P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-amine acetate 676135-11-8P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ol 676135-12-9P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl azide 676135-14-1P, tert-Butyl [[1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ylamino]propyl]carbamate 676135-15-2P,  
N-[[1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 676135-17-4P  
676135-18-5P 676135-19-6P 676135-20-9P 676135-22-1P 676135-23-2P  
676135-24-3P 676135-25-4P 676135-26-5P 676135-33-4P 676135-73-2P,  
1-(1-Azidocyclohexyl)-3-tert-butylbenzene 676135-74-3P,  
[1-(3-tert-Butylphenyl)cyclohexyl]amine 676135-76-5P,  
[1-(3-Ethynylphenyl)cyclohexyl]amine 676135-78-7P 676135-79-8P  
676135-88-9P 676135-96-9P 676135-98-1P 676136-01-9P 676136-02-0P  
676136-04-2P 676136-05-3P 676136-06-4P 676136-07-5P 676136-08-6P  
676136-10-0P 676136-13-3P 676136-14-4P, 2-Cyano-4-isopropylpyridine  
676136-15-5P, 2-Cyano-6-neopentylpyridine 676136-16-6P,  
2-Cyano-4-neopentylpyridine 676136-17-7P, 4-Cyano-2-neopentylpyridine  
676136-18-8P 676136-27-9P 676136-28-0P 676136-29-1P 676136-30-4P  
676136-32-6P 676136-36-0P 676136-37-1P, Benzyl 6-ethyl-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate 676136-38-2P, Benzyl  
6-ethyl-4-hydroxy-3,4-dihydroquinoline-1(2H)-carboxylate 676136-39-3P,  
Benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-40-6P 676136-41-7P 676136-42-8P 676136-43-9P 676136-45-1P,  
6-Ethyl-1-methyl-2,3-dihydroquinolin-4(1H)-one 676136-46-2P  
676136-47-3P, 6-Ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-amine  
676136-48-4P 676136-49-5P 676136-53-1P, Benzyl 6-bromo-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate 676136-54-2P, 676136-55-3P, Benzyl  
4-hydroxy-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-56-4P, Benzyl 4-amino-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate 676136-57-5P 676136-58-6P 676136-59-7P 676136-60-0P  
676136-61-1P 676136-62-2P 676136-63-3P 676136-64-4P 676136-66-6P,  
2-(1H-Imidazol-1-yl)-5-isobutylbenzonitrile 676136-67-7P 676136-70-2P  
676136-71-3P 676136-72-4P 676136-84-8P 676136-85-9P 676136-86-0P  
676136-87-1P 676136-90-6P 676136-92-8P 676136-93-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as



$\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676136-94-0P 676136-96-2P 676136-97-3P 676137-00-1P 676137-01-2P  
676137-02-3P 676137-03-4P 676137-04-5P 676137-05-6P 676137-06-7P  
676137-07-8P 676137-08-9P 676137-09-0P 676137-10-3P 676137-11-4P  
676137-13-6P 676137-14-7P 676137-15-8P 676137-16-9P 676137-17-0P  
676137-18-1P 676137-19-2P 676137-53-4P, [(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 676137-55-6P,  
(3S,2R)-3-Amino-1-[(1S)-5-bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-4-(3,5-difluorophenyl)butan-2-ol 676137-56-7P,  
N-[(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-57-8P,  
[(3S,2R)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl][(1S)-5-bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]carbamic acid tert-butyl ester  
676137-58-9P 676137-59-0P 676137-63-6P 676137-65-8P 676137-66-9P  
676137-76-1P 676137-77-2P 676137-81-8P 676137-82-9P 676137-84-1P  
676137-86-3P 676137-88-5P 676137-90-9P 676138-23-1P 676138-32-2P,  
1-(3-Bromophenyl)cyclohexanecarbonitrile 676138-33-3P,  
1-(3-Bromophenyl)cyclohexanecarboxamide 676138-34-4P 676138-36-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 68449-30-9P, 5-Bromo-1-tetralone 676133-68-9P 676136-34-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 866800-83-1 866904-08-7

RL: PRP (Properties)

(unclaimed protein sequence; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 150234-52-9 186142-26-7 288584-07-6, 6: PN: WO2004024081 SEQID: 509  
unclaimed sequence 288584-08-7, 7: PN: WO2004024081 SEQID: 524 unclaimed  
sequence 388083-33-8, 3: PN: WO2004024081 SEQID: 496 unclaimed sequence  
478799-42-7, 1: PN: WO2004024081 SEQID: 221 unclaimed sequence  
478799-43-8, 2: PN: WO2004024081 SEQID: 243 unclaimed sequence  
676174-15-5 676174-16-6

RL: PRP (Properties)

(unclaimed sequence; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-48-5P

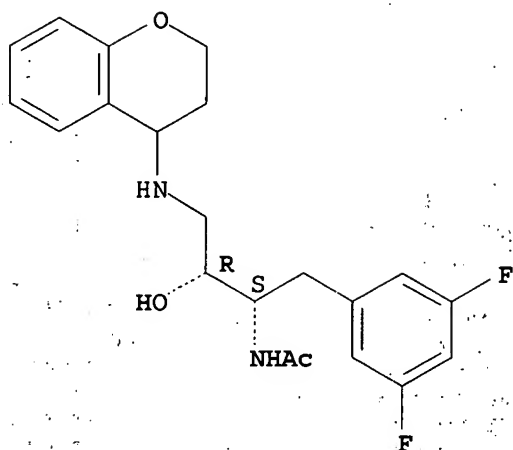
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-amino- and 2-thio-substituted 1,3-diaminopropanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

RN 676133-48-5 HCAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3,4-dihydro-2H-1-benzopyran-4-yl)amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:1026925 HCAPLUS

DN 143:326226

TI Preparation of bicyclic compounds as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease

IN John, Varghese; Maillard, Michel; Fang, Lawrence; Tucker, John; Brogley, Louis; Aquino, Jose; Bowers, Simeon; Probst, Gary; Tung, Jay

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 428 pp.

CODEN: PIXXD2

DT Patent

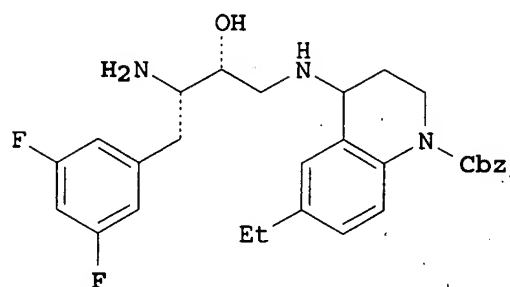
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005087714	A2	20050922	WO 2005-US7774	20050309
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005239832	A1	20051027	US 2005-74828	20050309
PRAI US 2004-551050P	P	20040309		
US 2004-551051P	P	20040309		
US 2004-575828P	P	20040602		
US 2004-576008P	P	20040602		
US 2004-591926P	P	20040729		
US 2004-591966P	P	20040729		
US 2004-614034P	P	20040930		
US 2004-614059P	P	20040930		

OS MARPAT 143:326226

GI



- AB The invention relates to compds. of formula  $R^2CH_2C(O)NHCHR^1CH(OH)CH_2NHR^c$  (I); [R<sup>1</sup> = (un)substituted benzyl, thien-2-ylmethyl, piperidin-2-ylmethyl, etc.; R<sup>2</sup> = COCH<sub>3</sub>, aryl-CO, SO<sub>2</sub>-aryl, etc.; R<sup>c</sup> = quinolin-4-yl, tetrahydronaphthalen-1-yl; thiochromen-4-yl, etc.; with addnl. details are given in the claims], e.g. (1S,2R)-II, that are useful in treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A- $\beta$  protein. For example, (1S,2R)-II was prepared via ring opening of tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate with benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-1(2H)-carboxylate. Efficacy for 5 examples of I for inhibiting amyloid- $\beta$  peptide in the cortex and/or plasma are tabulated. The selectivity of I for  $\beta$ -secretase vs. cathepsin D for 2 examples of I are tabulated. Oral bioavailability for four I was determined in male rats. Brain uptake, total polar surface area and/or lipophilicity for 5 examples of I are tabulated.
- IC ICM C07C235-00
- CC 27-17 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63
- ST bicyclic prepn aspartyl protease beta secretase inhibitor; amyloidosis  
quinolinyl tetraquinolinyl thiochromanyl chromanyl  
aminohydroxypropylaminoacetamide prepn; Alzheimer drug hydroxyethylamine  
prepn
- IT Brain, disease  
Prion diseases  
(Gerstmann-Straussler syndrome; preparation of bicyclic compds. as aspartyl  
protease and  $\beta$  secretase inhibitors for treating conditions  
associated with amyloidosis such as Alzheimer's disease)
- IT Alzheimer's disease  
(Lewy-body variant; preparation of bicyclic compds. as aspartyl protease and  
 $\beta$  secretase inhibitors for treating conditions associated with  
amyloidosis such as Alzheimer's disease)
- IT Alcohols, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(amino, drug candidates; preparation of bicyclic compds. as aspartyl  
protease and  $\beta$  secretase inhibitors for treating conditions  
associated with amyloidosis such as Alzheimer's disease)
- IT Brain, disease  
(amyloid angiopathy; preparation of bicyclic compds. as aspartyl protease  
and  $\beta$  secretase inhibitors for treating conditions associated with  
amyloidosis such as Alzheimer's disease)
- IT Brain, disease  
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation  
of bicyclic compds. as aspartyl protease and  $\beta$  secretase)

- inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Antibodies and Immunoglobulins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(anti-A- $\beta$ , codrugs; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Structure-activity relationship  
(brain uptake; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Inflammation  
(chronic, due to amyloidosis; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Anti-inflammatory agents  
(chronic; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Anti-inflammatory agents  
Antioxidants  
(codrugs; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Neurotrophic factors  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(codrugs; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Parkinson's disease  
(dementia associated with; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Mental and behavioral disorders  
(dementia, degenerative; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidates; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloidosis  
Nerve, disease  
(familial amyloidotic polyneuropathy; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors of  $\beta$ -secretase-mediated cleavage of APP; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

- IT Surface area  
(mol., total polar surface area; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Combination chemotherapy  
Down's syndrome  
Drug bioavailability  
Drug delivery systems  
Human  
Lipophilicity  
Prion diseases  
(preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Paralysis  
(pseudobulbar, dementia associated with; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Brain, disease  
Prion diseases  
(scrapie; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -, production inhibitors; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT 676134-61-5P  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(drug candidate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT 865472-49-7P, N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-50-0P, N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-5-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-53-3P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-54-4P, N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-2-hydroxymethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-55-5P, N-[1-(3,5-Difluorobenzyl)-3-[[6-ethyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865476-02-4P  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT 865472-32-8P 865472-40-8P  
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with

amyloidosis such as Alzheimer's disease)

IT 676136-34-8P 865472-47-5P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-3-hydroxy-4-  
[[(S)-7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]-3-  
[(methyl)(methylsulfonyl)amino]benzamide  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of bicyclic compds. as aspartyl protease and  
β secretase inhibitors for treating conditions associated with  
amyloidosis such as Alzheimer's disease)

IT 676133-38-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(4S)-6-ethyl-3,4-  
dihydro-2H-chromen-4-yl]amino]-2-hydroxypropyl]acetamide 676133-47-4P  
676133-71-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-  
isobutyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide hydrochloride  
676134-02-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-  
neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide  
676134-07-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4R)-6-  
neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide  
676134-13-7P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-  
[[[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]carbamate  
676134-15-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-  
neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide  
monohydrochloride 676134-16-0P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-  
3-[[[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-yl]amino]propyl]acetamide  
676134-18-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(4S)-6-neopentyl-3,4-  
dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-20-6P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-isopropyl-3,4-  
dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-28-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4R)-6-isopropyl-3,4-  
dihydro-2H-chromen-4-yl]amino]propyl]acetamide 676134-29-5P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-3,4-dihydro-2H-  
chromen-4-yl]amino]propyl]-2-hydroxy-2-methylpropanamide 676134-31-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-3,4-dihydro-2H-  
chromen-4-yl]amino]propyl]-1-hydroxycyclopropanecarboxamide  
676134-32-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-iodo-  
3,4-dihydro-2H-chromen-4-yl]amino]propyl]methanesulfonamide 676134-33-1P  
676134-37-5P 676134-38-6P 676134-45-5P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-isopropoxy-3,4-dihydro-2H-chromen-4-  
yl]amino]propyl]acetamide 676134-52-4P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[[[(4S)-6-hydroxy-3,4-dihydro-2H-chromen-4-  
yl]amino]propyl]acetamide 676134-59-1P 676134-60-4P 676134-66-0P  
676134-77-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[(1S)-2-  
(hydroxymethyl)-7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 676134-87-5P, 5-[[[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-  
2-hydroxypropyl]amino]-5-oxopentanoic acid 676134-89-7P,  
4-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amino]-4-oxobutanoic acid  
676135-32-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]propyl]ethanethioamide hydrochloride  
676135-35-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]ethanethioamide  
hydrochloride 676135-37-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-  
ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]-2,2-  
difluoroacetamide hydrochloride 676135-38-9P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-  
2-hydroxypropyl]-2-fluoroacetamide hydrochloride 676135-54-9P,  
N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-3-[[[(4R)-6-ethyl-2,2-dioxido-  
3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]acetamide  
676135-58-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-ethyl-1,2,3,4-

tetrahydronaphthalen-1-yl) amino]-2-hydroxypropyl]methanesulfonamide  
676135-94-7P, N-[(1S,2R)-[1-(3,5-Difluorobenzyl)-3-[[[(1S)-7-(2-  
methylpropyl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-  
hydroxypropyl]acetamide 676135-97-0P 676136-35-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(6-ethyl-1,2,3,4-tetrahydroquinolin-4-  
yl)amino]-2-hydroxypropyl]acetamide 676136-44-0P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[(6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-  
yl)amino]-2-hydroxypropyl]acetamide 676136-50-8P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(4S)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-  
yl)amino]-2-hydroxypropyl]acetamide 676136-51-9P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(4R)-6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-  
yl)amino]-2-hydroxypropyl]acetamide 676136-52-0P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(6-neopentyl-1,2,3,4-tetrahydroquinolin-4-  
yl)amino]propyl]acetamide 676136-88-2P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(5S)-3-ethyl-5,6,7,8-tetrahydroquinolin-5-yl]amino]-2-  
hydroxypropyl]acetamide 676136-91-7P 676137-52-3P,  
N-[(1S,2R)-3-[[[(1S)-5-Butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-91-0P  
676138-52-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(4R)-6-ethyl-3,4-  
dihydro-2H-chromen-4-yl]amino]-2-hydroxypropyl]acetamide 676138-76-4P  
865471-97-2P 865472-02-2P 865472-16-8P 865472-26-0P,  
N-[(1S,2R)-1-(Cyclohexylmethyl)-3-[[[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-  
1H-isothiochroman-4-yl]amino]-2-hydroxypropyl]acetamide  
865472-27-1P 865472-28-2P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[[(4R)-3,4-dihydro-2H-chromen-4-yl]amino]-2-  
hydroxypropyl]acetamide 865472-34-0P 865472-35-1P 865472-36-2P  
865472-45-3P 865472-46-4P, N-[(2S,3R)-1-(3,5-Difluorophenyl)-3-hydroxy-4-  
[[[(S)-7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-yl]-3-  
[(methylsulfonyl)amino]benzamide 865472-48-6P, N-[(2S,3R)-1-(3,5-  
Difluorophenyl)-3-hydroxy-4-[[[(S)-7-neopentyl-1,2,3,4-tetrahydronaphthalen-  
1-yl]amino]butan-2-yl]methanesulfonamide 865472-51-1P,  
N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]-2-fluoroacetamide  
865472-52-2P, N-[1-(3,5-Difluorobenzyl)-3-[[6-(2,2-dimethylpropyl)-1,2,3,4-  
tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865472-56-6P,  
N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-1-methyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-57-7P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-[4-(methyl)thiophen-3-yl]-  
1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-58-8P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(3-methyl-3H-imidazol-4-yl)-  
1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-59-9P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(4-methylpyridin-2-yl)-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-60-2P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(pyrimidin-2-yl)-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-61-3P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-isopropenyl-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-62-4P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(4-trifluoromethylpyrimidin-2-  
yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide  
865472-63-5P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(2-  
methylsulfonylpyrimidin-4-yl)-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 865472-64-6P, N-[1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[[7-(pyrimidin-5-yl)-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 865472-65-7P, N-[1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[[7-(pyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 865472-66-8P, N-[1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[[7-(5-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 865472-67-9P, N-[1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[[7-(pyridin-3-yl)-1,2,3,4-tetrahydronaphthalen-1-  
yl]amino]propyl]acetamide 865472-68-0P, N-[1-(3,5-Difluorobenzyl)-2-

hydroxy-3-[[7-(3-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-69-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(6-methylpyridazin-3-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-70-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(pyridin-4-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-71-5P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(6-methylpyridin-3-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-72-6P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(6-methoxypyridazin-3-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-73-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(4-methylpyridin-3-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-74-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(pyrazin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-75-9P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-[5-(methyl)thiophen-2-yl]-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-76-0P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(thiazol-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-77-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(thiophen-3-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-78-2P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(1-methyl-1H-imidazol-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-79-3P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(thiophen-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-80-6P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-[3-(methyl)thiophen-2-yl]-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-81-7P, N-[3-[[5-(3-Aminophenyl)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865472-82-8P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-5-(thiazol-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-83-9P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-5-(pyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-84-0P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-5-(3-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-85-1P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-5-(4-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-86-2P, N-[1-(4-Benzyloxy-3-fluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-87-3P, N-[3-[[7-(2,2-Dimethylpropyl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-1-(3-fluoro-4-hydroxybenzyl)-2-hydroxypropyl]acetamide 865472-88-4P, N-[1-(3,5-Difluorobenzyl)-3-[[6-(2,2-dimethylpropyl)-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865472-89-5P, N-[1-(3,5-Difluorobenzyl)-3-[[7-(2,2-dimethylpropyl)-4-oxo-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865472-90-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-propyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-91-9P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-isopropyl-2-oxo-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865472-92-0P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-isopropyl-3-oxo-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-93-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-hydroxy-7-isopropyl-3-methyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-94-2P, N-[3-[3-(Acetylamino)-7-isopropyl-1,2,3,4-tetrahydronaphthalen-1-ylamino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865472-95-3P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-isopropyl-3-[(methylsulfonyl)amino]-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-96-4P, N-[3-[[7-(2,2-Dimethylpropyl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxy-1-[(5-hydroxypyridin-2-yl)methyl]propyl]acetamide 865472-97-5P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865472-98-6P, N-[1-(3,5-Difluorobenzyl)-2-



hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]propyl]acetamide 865472-99-7P, N-[1-(3,5-Difluorobenzyl)-3-[(6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]acetamide 865473-00-3P, N-[1-(3,5-Difluorobenzyl)-3-[(7-[(dimethylamino)methyl]-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-01-4P, N-[3-(7-Bromo-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-02-5P, N-[1-(3,5-Difluorobenzyl)-3-[(6-carbobenzoyloxy-1,2,3,4-tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]acetamide 865473-03-6P, N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-2,2-dimethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-04-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(7-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]propyl]acetamide 865473-05-8P, N-[3-(5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-06-9P, N-[3-(5,7-Diethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-08-1P, N-[3-(5-Butyl-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-10-5P, N-[1-(3-Butoxy-5-fluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-11-6P, N-[1-(3-Benzoyloxy-5-fluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-12-7P, N-[3-(7-Ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]acetamide 865473-13-8P, N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-5-propyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-14-9P, N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-5-isobutyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-15-0P, N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-5-(5-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-16-1P, N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-5-(6-methylpyridin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]acetamide 865473-17-2P, N-[3-(7-Butyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-18-3P, N-[3-(5-Cyano-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-19-4P, N-[3-(7-sec-Butyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-20-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(6-isobutyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]propyl]acetamide 865473-21-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(6-isopropyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]propyl]acetamide 865473-22-9P, N-[3-[(6-tert-Butyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-23-0P, N-[1-(3,5-Difluorobenzyl)-3-[(7-fluoro-6-isopropyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]acetamide 865473-24-1P, N-[3-[(6-tert-Butyl-7-fluoro-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-25-2P, N-[1-(3,5-Difluorobenzyl)-3-[(7-fluoro-6-isobutyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]acetamide 865473-26-3P, N-[1-(3,5-Difluorobenzyl)-3-[(7-fluoro-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]acetamide 865473-27-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(6-isobutyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]propyl]acetamide 865473-28-5P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(6-isopropyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]propyl]acetamide 865473-29-6P, N-[3-[(6-tert-Butyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-30-9P, N-[3-[(6-tert-Butyl-1-(2-

hydroxyethyl)-1,2,3,4-tetrahydroquinolin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-31-0P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(2-hydroxyethyl)-6-isopropyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-32-1P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(2-hydroxyethyl)-6-isobutyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-33-2P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(2-hydroxyethyl)-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-34-3P,  
N-[3-[(1-Acetyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-35-4P,  
N-[3-[(1-Acetyl-6-isobutyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-36-5P,  
N-[3-[(1-Acetyl-6-isopropyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-37-6P,  
N-[3-[(1-Acetyl-6-tert-butyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-38-7P,  
N-[3-[[6-tert-Butyl-1-(cyanomethyl)-1,2,3,4-tetrahydroquinolin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-39-8P,  
N-[3-[[1-(Cyanomethyl)-6-isopropyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-40-1P,  
N-[3-[[1-(Cyanomethyl)-6-isobutyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-41-2P,  
N-[3-[[1-(Cyanomethyl)-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-42-3P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(1-hydroxy-2,2-dimethylpropyl)-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-43-4P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(1-hydroxy-2,2-dimethylpropyl)-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-44-5P,  
N-[1-(3,5-Difluorobenzyl)-3-[[2,2-dimethyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865473-45-6P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1,2,2-trimethyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-46-7P,  
N-[1-(3,5-Difluorobenzyl)-3-[[1,4-dimethyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865473-47-8P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[4-methyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-48-9P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-isobutyl-4-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-49-0P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-isobutyl-1,4-dimethyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-50-3P,  
N-[3-[(6-tert-Butoxy-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-51-4P,  
N-[3-[(6-tert-Butoxy-4-methyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-52-5P,  
N-[3-[(6-tert-Butoxy-4,8-dimethyl-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-54-7P,  
N-[1-(3,5-Difluorobenzyl)-3-[[4,8-dimethyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]acetamide 865473-55-8P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-methyl-6-neopentyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-56-9P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(2-hydroxy-2-methylpropyl)-8-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-57-0P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(2-hydroxy-2-methylpropyl)-4-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-58-1P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(2-hydroxy-2-methylpropyl)-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-59-2P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[6-(1-hydroxy-2,2-dimethylpropyl)-4-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]propyl]acetamide 865473-60-5P,  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-2-(pyridin-3-

yl)benzyl]amino]propyl]acetamide 865473-61-6P  
N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-2-(pyridin-4-yl)benzyl]amino]propyl]acetamide 865473-62-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-2-(6-methoxypyridin-3-yl)benzyl]amino]propyl]acetamide 865473-63-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-isobutyl-2-(5-methoxypyridin-3-yl)benzyl]amino]propyl]acetamide 865473-64-9P, N-[1-(3,5-Difluorobenzyl)-3-[[7-(3,6-dimethylpyrazin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-65-0P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(furan-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-66-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-styryl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865473-67-2P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(3,5-dimethylisoxazol-4-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-68-3P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-(5-ethylpyrimidin-2-yl)-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-69-4P, N-[3-[[1-[3-(5-Acetyl)thiophen-2-yl]phenyl]cyclopropyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865473-70-7P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-(thiophen-3-yl)phenyl]cyclopropyl]amino]propyl]acetamide 865473-71-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-(6-methoxypyridin-3-yl)phenyl]cyclopropyl]amino]propyl]acetamide 865473-72-9P, N-[1-(3,5-Difluorobenzyl)-3-[[1-[3-(furan-3-yl)phenyl]cyclopropyl]amino]-2-hydroxypropyl]acetamide 865473-73-0P, N-[1-(3,5-Difluorobenzyl)-3-[[1-[3-(3,5-dimethylisoxazol-4-yl)phenyl]cyclopropyl]amino]-2-hydroxypropyl]acetamide 865473-74-1P, N-[3-(6-tert-Butyl-1,2,3,4-tetrahydroquinolin-4-ylamino)-1-(3-fluorobenzyl)-2-hydroxypropyl]acetamide 865473-75-2P, N-[1-(3,5-Difluoro-2-methoxybenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-76-3P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-propyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]-2-fluoroacetamide 865473-77-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-7-propyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]acetamide 865473-78-5P, N-[3-(7-tert-Butyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]acetamide 865473-79-6P, N-[1-(3-Benzoyloxy-5-fluorobenzyl)-3-[[7-tert-butyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]acetamide 865473-80-9P, N-[4-(7-tert-Butyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3-fluoro-4-hydroxyphenyl)-3-hydroxybutan-2-yl]acetamide 865473-81-0P, N-[4-(7-Ethyl-1-methyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-1-(3-fluoro-4-hydroxyphenyl)-3-hydroxybutan-2-yl]acetamide 865473-82-1P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]-N',N'-dimethylsuccinamide 865473-83-2P, Pent-3-enoic acid [1-(3,5-difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amide 865473-84-3P, Hex-3-enoic acid [1-(3,5-difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]amide 865473-85-4P, 3-Allyloxy-N-[1-(3,5-difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]propionamide 865473-86-5P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]ethanethioamide hydrochloride 865473-87-6P, N-[1-(3,5-Difluorobenzyl)-3-[[7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]-2-hydroxypropyl]methanesulfonamide 865473-88-7P, tert-Butyl [1-(3,5-difluorobenzyl)-3-[[6-ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]carbamate 865473-89-8P, [1-(3,5-Difluorobenzyl)-3-[[6-(2,2-dimethylbutyl)-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester 865473-90-1P, [1-(3,5-Difluorobenzyl)-3-[[6-(2,2-dimethylpropyl)-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amino]-2-

hydroxypropyl]carbamic acid tert-butyl ester 865473-91-2P,  
 N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-2,2-difluoroacetamide 865473-92-3P,  
 N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-2-hydroxyacetamide 865473-93-4P,  
 N-[1-(3,5-Difluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]propionamide 865473-94-5P, 5-Oxohexanoic acid [1-(3,5-difluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]amide 865473-95-6P, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[(7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]methanesulfonamide 865473-96-7P, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[(7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]-3-[(methylsulfonyl)amino]benzamide 865473-97-8P, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[(7-neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]butan-2-yl]-3-[(methyl) (methylsulfonyl)amino]benzamide 865473-98-9P, 2-(3,5-Difluorobenzyl)-4-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-3-hydroxy-N-methylbutanamide 865473-99-0P, 2-[3,5-Difluoro-2-[(methylamino)methyl]benzyl]-4-(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-3-hydroxy-N-methylbutanamide 865474-00-6P, 4-(7-Ethyl-1,2,3,4-tetrahydronaphthalen-1-ylamino)-3-hydroxy-N-methyl-2-[[4-(propyl)thiophen-2-yl]methyl]butanamide 865474-01-7P, Pentanoic acid [1-(3,5-difluorobenzyl)-3-[(7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]amide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 865472-01-1P, (S)-[6-(2,2-Dimethylpropyl)-1-methyl-1,2,3,4-tetrahydroquinolin-4-yl]amine

RL: BYP (Byproduct); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 676134-22-8P, (6-Isopropyl-3,4-dihydro-2H-chromen-4-yl)amine

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 763925-96-8P 776293-94-8P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 1481-93-2P, Chroman-4-ol 2905-38-6P, N-Thioacetylphthalimide

4295-36-7P, 2,3-Dihydroquinolin-4(1H)-one 10342-60-6P, 1-Isobutyl-4-nitrobenzene 20924-54-3P, 2-[(Carboxymethoxy)methyl]benzoic acid 20924-56-5P, 1H-Isochromen-4(3H)-one 20924-57-6P, 3,4-Dihydro-1H-isochromen-4-ol 26110-96-3P, 1-(2,2-Dimethylpropyl)-4-nitrobenzene 27856-10-6P, 1-(2,2-Dimethylpropyl)-4-aminobenzene 32281-97-3P 53981-38-7P, (3,4-Dihydro-2H-chromen-4-yl)amine 57056-92-5P, 1-[(Allyloxy)methyl]-2-iodobenzene 58980-10-2P 61793-99-5P 62750-11-2P 73526-82-6P, 1-(2,2-Dimethylpropyl)-2-aminobenzene 76228-06-3P, 6-Bromo-2,3-dihydroquinolin-4(1H)-one 101714-35-6P, 6-Iodo-2,3-dihydro-4H-chromen-4-one 147663-00-1P,

3,4-Dihydro-1H-isochroman-4-amine 186639-32-7P, 6-Iodochroman-4-ol  
191608-32-9P, (6-Isopropyl-3,4-dihydro-2H-chromen-4-yl)amine hydrochloride  
198341-11-6P, 6-Isopropyl-2,3-dihydro-4H-chromen-4-one 263896-27-1P,  
6-Ethyl-2,3-dihydroquinolin-4(1H)-one 358351-16-3P 379730-09-3P  
388072-77-3P, tert-Butyl [(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-  
oxopropyl]carbamate 388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamate 473567-47-4P,  
(2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid  
methyl ester 672904-14-2P, 676133-21-4P 676133-22-5P,  
((S)-7-Ethyl-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride  
676133-23-6P, (R)-7-Bromotetralin-1-ol 676133-24-7P 676133-39-4P,  
(6-Iodochroman-4-yl)amine 676133-40-7P 676133-41-8P 676133-42-9P  
676133-49-6P 676133-63-4P 676133-64-5P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-  
yl)amino]propyl]acetamide 676133-65-6P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(4R)-6-iodo-3,4-dihydro-2H-chromen-4-  
yl)amino]propyl]acetamide 676133-67-8P, (-)-(4R)-6-Iodochroman-4-ol  
676133-68-9P, (+)-(4S)-6-Iodochroman-4-ol 676133-69-0P,  
(4S)-6-Iodochroman-4-amine 676133-70-3P, tert-Butyl [(1S,2R)-1-(3,5-  
difluorobenzyl)-2-hydroxy-3-[(4S)-6-iodo-3,4-dihydro-2H-chromen-4-  
yl)amino]propyl]carbamate 676134-03-5P, 6-Neopentylchroman-4-ol  
676134-04-6P, (6-Neopentyl-3,4-dihydro-2H-chromen-4-yl)amine  
676134-05-7P 676134-06-8P 676134-08-0P, (4R)-6-Neopentylchroman-4-ol  
676134-10-4P 676134-12-6P 676134-17-1P, tert-Butyl  
[(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-  
chromen-4-yl)amino]propyl]carbamate 676134-19-3P, tert-Butyl  
[(1S,2R)-1-benzyl-2-hydroxy-3-[(4S)-6-neopentyl-3,4-dihydro-2H-chromen-4-  
yl)amino]propyl]carbamate 676134-21-7P, 6-Isopropylchroman-4-ol  
676134-26-2P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-  
[(4S)-6-isopropyl-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate  
676134-27-3P 676134-34-2P, 6-Iodo-4-methylchroman-4-ol 676134-35-3P,  
6-Iodo-4-methylchroman-4-amine 676134-36-4P 676134-39-7P  
676134-40-0P 676134-41-1P 676134-42-2P 676134-43-3P,  
4-Methyl-6-neopentylchroman-4-ol 676134-44-4P, 6-Neopentyl-2,3-dihydro-  
4H-chromen-4-one 676134-46-6P 676134-47-7P 676134-48-8P  
676134-49-9P, (4S)-6-Isopropoxychroman-4-amine 676134-50-2P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4S)-6-isopropoxy-3,4-  
dihydro-2H-chromen-4-yl)amino]propyl]carbamate 676134-51-3P,  
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(4S)-6-isopropoxy-3,4-dihydro-  
2H-chromen-4-yl)amino]butan-2-ol hydrochloride 676134-53-5P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4S)-6-hydroxy-3,4-dihydro-  
2H-chromen-4-yl)amino]propyl]carbamate 676134-57-9P 676134-58-0P  
676134-62-6P, 6-Isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene  
676134-63-7P, 4-Bromo-6-isopropoxy-1,1-dimethyl-3,4-dihydro-1H-isochromene  
676134-64-8P 676134-67-1P, 5-Bromo-2-[(carboxymethoxy)methyl]benzoic  
acid 676134-68-2P, 6-Bromoisochroman-4-one 676134-70-6P,  
6-Bromoisochroman-4-ol 676134-71-7P, (6-Bromoisochroman-4-yl)carbamic  
acid tert-butyl ester 676134-72-8P, 4-Azido-6-bromoisochroman  
676134-73-9P 676134-74-0P, [6-(2,2-Dimethylpropyl)isochroman-4-yl]amine  
hydrochloride 676134-75-1P 676134-76-2P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-neopentyl-3,4-dihydro-1H-  
isochroman-4-yl)amino]propyl]carbamate 676134-78-4P,  
7-(2,2-Dimethylpropyl)-1-hydroxy-3,4-dihydronaphthalene-2-carboxylic acid  
methyl ester 676134-80-8P, 2-[[[(tert-Butyldimethylsilyl)oxy]methyl]-7-  
(2,2-dimethylpropyl)-3,4-dihydro-2H-naphthalen-1-one 676134-82-0P  
676134-83-1P 676134-84-2P, (S)-[1-Azido-7-(2,2-dimethylpropyl)-1,2,3,4-  
tetrahydronaphthalen-2-yl]methanol 676134-85-3P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-2-(hydroxymethyl)-7-  
neopentyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]carbamate  
676134-86-4P 676135-95-8P 676136-05-3P 676136-06-4P 676136-07-5P

676136-08-6P 676136-10-0P 676136-28-0P, 5-Bromo-7-ethyl-1-tetralone  
676136-29-1P, (R)-7-Ethyl-5-bromotetralin-1-ol 676136-30-4P  
676136-33-7P 676136-36-0P, Ethyl N-(4-ethylphenyl)- $\beta$ -alaninate  
676136-37-1P, Benzyl 6-ethyl-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-38-2P, Benzyl 6-ethyl-4-hydroxy-3,4-dihydroquinoline-1(2H)-  
carboxylate 676136-39-3P, Benzyl 4-amino-6-ethyl-3,4-dihydroquinoline-  
1(2H)-carboxylate 676136-41-7P, Benzyl 4-[[[(2R,3S)-3-[(tert-  
butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-6-ethyl-  
3,4-dihydroquinoline-1(2H)-carboxylate 676136-42-8P, Benzyl  
4-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-6-ethyl-  
3,4-dihydroquinoline-1(2H)-carboxylate 676136-43-9P, Benzyl  
4-[[[(2R,3S)-3-(acetylamino)-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-6-  
ethyl-3,4-dihydroquinoline-1(2H)-carboxylate 676136-45-1P,  
6-Ethyl-1-methyl-2,3-dihydroquinolin-4(1H)-one 676136-47-3P,  
6-Ethyl-1-methyl-1,2,3,4-tetrahydroquinolin-4-amine 676136-48-4P,  
tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-3-[(6-ethyl-1-methyl-1,2,3,4-  
tetrahydroquinolin-4-yl)amino]-2-hydroxypropyl]carbamate 676136-49-5P,  
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(6-ethyl-1-methyl-1,2,3,4-  
tetrahydroquinolin-4-yl)amino]butan-2-ol 676136-53-1P, Benzyl  
6-bromo-4-oxo-3,4-dihydroquinoline-1(2H)-carboxylate 676136-54-2P,  
6-(2,2-Dimethylpropyl)-4-oxo-3,4-dihydro-2H-quinoline-1-carboxylic acid  
benzyl ester 676136-55-3P, Benzyl 4-hydroxy-6-neopentyl-3,4-  
dihydroquinoline-1(2H)-carboxylate 676136-56-4P, Benzyl  
4-amino-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate 676136-57-5P,  
Benzyl 4-azido-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-58-6P, Benzyl 4-[[[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-  
hydroxybutyl]amino]-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-59-7P, Benzyl 4-[[[(2R,3S)-3-(acetylamino)-4-(3,5-difluorophenyl)-2-  
hydroxybutyl]amino]-6-neopentyl-3,4-dihydroquinoline-1(2H)-carboxylate  
676136-84-8P 676136-85-9P 676136-86-0P 676136-87-1P 676137-00-1P  
676137-01-2P 676137-53-4P, [(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl)amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 676137-55-6P,  
(3S,2R)-3-Amino-1-[(1S)-5-bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-  
yl)amino]-4-(3,5-difluorophenyl)butan-2-ol 676137-56-7P,  
N-[(1S,2R)-3-[(1S)-5-Bromo-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-  
yl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 676137-57-8P,  
[(3S,2R)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl][(1S)-5-bromo-  
7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]carbamic acid tert-butyl ester  
676137-58-9P 676137-59-0P 789490-64-8P, (S)-7-Bromotetralin-1-ol  
789490-65-9P 861857-50-3P, 4-(S)-Amino-6-(2,2-dimethylpropyl)-3,4-  
dihydro-2H-quinoline-1-carboxylic acid benzyl ester 861857-51-4P,  
3-Bromo-N-[4-(2,2-dimethylpropyl)phenyl]propionamide 861857-52-5P,  
1-[4-(2,2-Dimethylpropyl)phenyl]azetidin-2-one 861857-53-6P,  
6-(2,2-Dimethylpropyl)-2,3-dihydro-1H-quinolin-4-one 861857-54-7P,  
6-(2,2-Dimethylpropyl)-4-(R)-hydroxy-3,4-dihydro-2H-quinoline-1-carboxylic  
acid benzyl ester 861857-55-8P, (4S)-4-Azido-6-(2,2-dimethylpropyl)-3,4-  
dihydro-2H-quinoline-1-carboxylic acid benzyl ester 865471-96-1P,  
(4E)-6-Ethyl-1-methyl-2,3-dihydroquinolin-4(1H)-one oxime 865471-98-3P,  
1-(2,2-Dimethylpropyl)-2-nitrobenzene 865471-99-4P, 3-[[4-(2,2-  
Dimethylpropyl)phenyl]amino]propionic acid ethyl ester 865472-00-0P,  
3-[[4-(2,2-Dimethylpropyl)phenyl](2-ethoxycarbonyl)amino]propionic  
acid ethyl ester 865472-03-3P 865472-05-5P 865472-20-4P  
865472-24-8P 865472-25-9P 865472-31-7P, (4S)-4-[[[(2R,3S)-3-Amino-4-  
(3,5-difluorophenyl)-2-hydroxybutyl]amino]chroman-6-ol dihydrochloride  
865472-33-9P 865472-37-3P 865472-38-4P 865472-39-5P 865472-41-9P  
865472-42-0P 865472-43-1P 865472-44-2P 865473-53-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(intermediate, preparation of bicyclic compds. as aspartyl protease and



$\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 75-26-3, 2-Bromopropane 88-95-9, Phthaloyl dichloride 106-95-6, Allyl bromide, reactions 107-13-1, Acrylonitrile, reactions 108-55-4, Glutaric anhydride 121-69-7, Dimethylaniline, reactions 123-07-9, 4-Ethylphenol 140-88-5, Ethyl acrylate 491-37-2, 4-Chromanone 501-53-1, Benzyl chloroformate 589-16-2, 4-Ethylaniline 594-61-6 625-36-5, 3-Chloropropionyl chloride 922-63-4, 2-Ethylacrolein 1007-26-7, (2,2-Dimethylpropyl)benzene 4132-48-3, 1-Isopropyl-4-methoxybenzene 5159-41-1, 2-Iodobenzyl alcohol 5220-49-5, 3-Aminocyclohex-2-en-1-one 15486-96-1,  $\beta$ -Bromopropionyl chloride 18162-48-6, tert-Butyldimethylsilyl chloride 22037-28-1, 3-Bromofuran 22531-06-2, 7-Ethyl-1-tetralone 28547-13-9, 3-[(Methylsulfonyl)amino]benzoic acid 33974-41-3, Neopentylmagnesium bromide 64169-34-2, 5-Bromophthalide 73183-34-3 87280-13-5, 4-Methylene-3,4-dihydro-1H-isochromene 92273-73-9, Butylzinc bromide 96259-61-9, (2-Cyanobenzoyloxy)acetic acid ethyl ester 107202-62-0, tert-Butyl [(1S)-2-cyclohexyl-1-((2S)-oxiran-2-yl)ethyl]carbamate 116212-82-9, Neopentylzinc chloride 126403-67-6, Isobutylzinc bromide 138900-55-7 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid 388071-27-0, tert-Butyl [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate 388075-52-3, tert-Butyl [(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 676133-50-9 676134-30-8, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[((4S)-6-iodo-3,4-dihydro-2H-chroman-4-yl)amino]butan-2-ol 676134-54-6, (4S)-4-Aminochroman-6-ol 676134-79-5 676134-88-6 676135-36-7, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl]amino]butan-2-ol dihydrochloride 676135-45-8, tert-Butyl [(1S)-2-[3-(allyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-55-0, (4R)-6-Ethyl-3,4-dihydro-1H-isothiochroman-4-amine 2,2-dioxide 676135-98-1 676137-12-5 676137-54-5 676137-92-1 747409-35-4 865472-04-4 865472-29-3 865472-30-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 676133-62-3P 676134-14-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 68449-30-9P, 5-Bromo-1-tetralone

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 288584-07-6 288584-08-7

RL: PRP (Properties)

(unclaimed sequence; preparation of bicyclic compds. as aspartyl protease and  $\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 865472-27-1P 865472-28-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4R)-3,4-dihydro-2H-chroman-4-yl]amino]-2-hydroxypropyl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

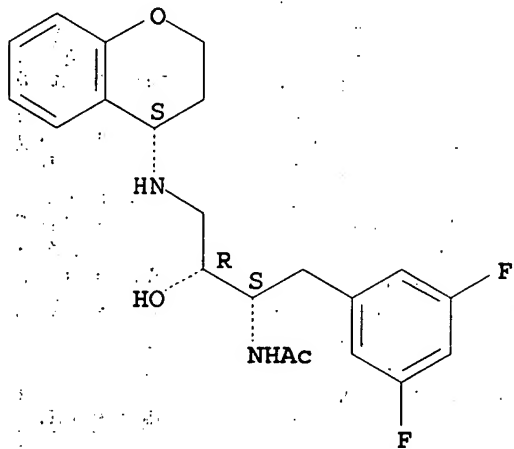
(drug candidate; preparation of bicyclic compds. as aspartyl protease and

$\beta$  secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

RN 865472-27-1 HCAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[4S]-3,4-dihydro-2H-1-benzopyran-4-yl]amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

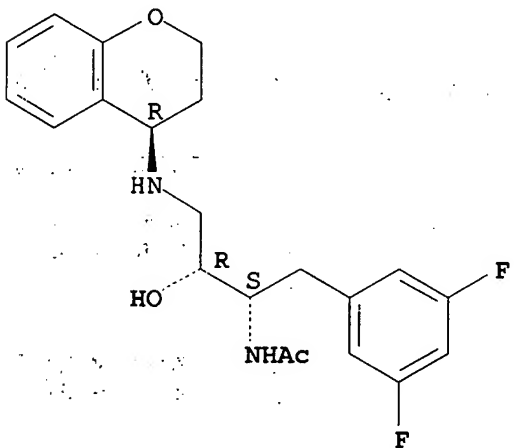
Absolute stereochemistry.



RN 865472-28-2 HCAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[4R]-3,4-dihydro-2H-1-benzopyran-4-yl]amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L30 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:252298 HCAPLUS

DN 140:287268

TI Preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide

IN Maillard, Michel; Baldwin, Eric T.; Beck, James T.; Hughes, Robert; John, Varghese; Pulley, Shon R.; Tenbrink, Ruth

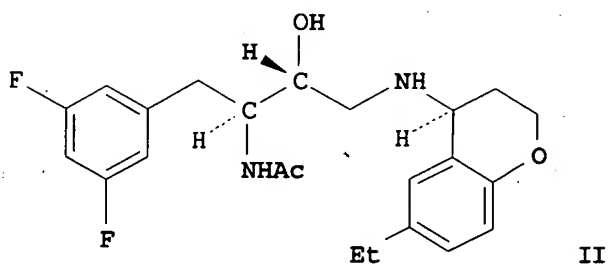
PA Elan Pharmaceuticals, Inc., USA; Pfizer, Inc.; Pharmacia & Upjohn Company,



LLC  
 SO PCT Int. Appl., 459 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

*applicants*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024081	A2	20040325	WO 2003-US28503	20030910
	WO 2004024081	A3	20050623		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2498248	AA	20040325	CA 2003-2498248	20030910
	US 2004180939	A1	20040916	US 2003-658959	20030910
	BR 2003014188	A	20050809	<del>BR 2003-14188</del>	20030910
	EP 1565443	A2	20050824	EP 2003-749607	20030910
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	NO 2005001239	A	20050606	NO 2005-1239	20050310
PRAI	US 2002-409453P	P	20020910		
	US 2003-452231P	P	20030305		
	US 2003-491757P	P	20030801		
	WO 2003-US28503	W	20030910		
OS	MARPAT 140:287268				
GI					



AB Disclosed are Z-X-NHCH(R1)CH(OH)C(R2)(R3)N(R15)(Rc) (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed and >100 example preps. of intermediates and I are included. For example, II was prepared in 4 steps starting with preparation of (6-iodochroman-4-yl)amine from 6-iodo-4-chroman-4-ol followed by reaction with tert-Bu [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate to give tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-iodo-3,4-dihydro-2H-chromen-4-yl)amino]propyl]carbamate, followed by ethylation. For I: Z is H, (C3-C7 cycloalkyl)0-1(C1-C6 alkyl)-, (C3-C7 cycloalkyl)0-1(C2-C6 alkenyl)-, (C3-C7

cycloalkyl)0-1(C2-C6 alkynyl)- or (C3-C7 cycloalkyl)-; X = C(O), SO<sub>2</sub>; R<sub>1</sub> is C1-C10 alkyl (un)substituted with 1, 2, or 3 halogen, -OH, :O, -SH, -CN, -CF<sub>3</sub>, -OCF<sub>3</sub>, -C3-7 cycloalkyl, -C1-C4 alkoxy, amino, mono- or dialkylamino, aryl, heteroaryl, and heterocycloalkyl; R<sub>2</sub> and R<sub>3</sub> = H; F; -C1-C6 alkyl (un)substituted with -F, -OH, -CN, -CF<sub>3</sub>, C1-C3 alkoxy, or -NR<sub>5</sub>R<sub>6</sub>; -(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>17</sub>; -(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>18</sub>; -C2-C6 alkenyl or C2-C6 alkynyl; R<sub>15</sub> = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkoxy C1-C6 alkyl, hydroxy C1-C6 alkyl, halo C1-C6 alkyl; R<sub>2</sub>, R<sub>3</sub> and the C to which they are attached can form a C3-C7 carbocycle, wherein 1-3 C atoms are optionally replaced by -O-, -S-, -SO<sub>2</sub>-, -C(O)-, or -NR<sub>7</sub>-; R<sub>c</sub> = -(CH<sub>2</sub>)<sub>0-3</sub>-(C3-C8) cycloalkyl, etc.; addnl. details are given in the claims.

IC ICM A61K

CC 27-14 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 1, 24, 25

ST acetyl hydroxydiaminoalkane prepn beta secretase inhibitor anti Alzheimer's

IT Alzheimer's disease

(Lewy-body variant; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(amyloid angiopathy; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Brain, disease

(dementia associated with cortical basal degeneration; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Parkinson's disease

(dementia associated with; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Mental and behavioral disorders

(dementia; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Amyloidosis

(hereditary, cerebral hemorrhage type, Dutch type; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Alzheimer's disease

Anti-Alzheimer's agents

Cognition enhancers

Cognitive disorders

Down's syndrome

Human

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Paralysis

(pseudobulbar, dementia associated with; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study) ( $\beta$ -, deposition inhibitors; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-42-9P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (chromatog. resolution, drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676134-22-8P

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent) (chromatog. resolution; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-66-7P 676134-56-8P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-64-5P 676133-65-6P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-38-3P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 527731-54-0P 676133-44-1P 676134-02-4P 676135-29-8P 676135-75-4P

676135-90-3P 676135-91-4P 676136-33-7P 676137-78-3P 676138-21-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's

disease and other diseases characterized by deposition of  
A $\beta$ -peptide)

IT	527730-68-3P	527730-69-4P	527731-50-6P	527731-58-4P	527731-86-8P
	527732-39-4P	527733-03-5P	527733-23-9P	527733-24-0P	527733-30-8P
	527733-31-9P	527733-34-2P	527733-36-4P	527733-37-5P	527733-38-6P
	527733-39-7P	527733-69-3P	527733-70-6P	527733-74-0P	676133-31-6P
	676133-43-0P	676133-45-2P	676133-46-3P	676133-47-4P	
	676133-48-5P	676133-51-0P	676133-52-1P	676133-53-2P	
	676133-54-3P	676133-55-4P	676133-56-5P	676133-57-6P	676133-58-7P
	676133-59-8P	676133-60-1P	676133-61-2P	676133-71-4P	676133-72-5P
	676133-73-6P	676133-74-7P	676133-75-8P	676133-76-9P	676133-77-0P
	676133-78-1P	676133-79-2P	676133-80-5P	676133-81-6P	676133-82-7P
	676133-83-8P	676133-84-9P	676133-85-0P	676133-86-1P	676133-87-2P
	676133-88-3P	676133-89-4P	676133-90-7P	676133-91-8P	676133-92-9P
	676133-93-0P	676133-94-1P	676133-95-2P	676133-96-3P	676133-97-4P
	676133-98-5P	676133-99-6P	676134-00-2P	676134-01-3P	676134-07-9P
	676134-15-9P	676134-16-0P	676134-18-2P	676134-20-6P	676134-28-4P
	676134-29-5P	676134-31-9P	676134-32-0P	676134-33-1P	676134-37-5P
	676134-38-6P	676134-45-5P	676134-52-4P	676134-59-1P	676134-60-4P
	676134-61-5P	676134-66-0P	676134-77-3P	676134-87-5P	676134-89-7P
	676134-93-3P	676134-97-7P	676135-06-1P	676135-13-0P	676135-16-3P
	676135-21-0P	676135-27-6P	676135-28-7P	676135-30-1P	676135-32-3P
	676135-34-5P	676135-35-6P	676135-37-8P	676135-38-9P	676135-39-0P
	676135-40-3P	676135-41-4P	676135-42-5P	676135-43-6P	676135-44-7P
	676135-46-9P	676135-49-2P	676135-50-5P	676135-52-7P	676135-53-8P
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	676136-73-5P	676136-74-6P	676136-75-7P	676136-76-8P	676136-77-9P
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	676136-83-7P	676136-88-2P	676136-89-3P	676136-91-7P	676136-95-1P
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	676137-43-2P	676137-44-3P	676137-45-4P	676137-46-5P	676137-47-6P
	676137-48-7P	676137-49-8P	676137-50-1P	676137-51-2P	676137-52-3P
	676137-60-3P	676137-61-4P	676137-62-5P	676137-67-0P	676137-68-1P
	676137-70-5P	676137-71-6P	676137-72-7P	676137-73-8P	676137-74-9P
	676137-75-0P	676137-79-4P	676137-80-7P	676137-85-2P	676137-87-4P
	676137-89-6P	676137-91-0P	676137-93-2P	676137-94-3P	676137-95-4P
	676137-96-5P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-  
diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's  
disease and other diseases characterized by deposition of  
A $\beta$ -peptide)

IT	676137-97-6P	676137-98-7P	676137-99-8P	676138-00-4P	676138-01-5P
	676138-02-6P	676138-03-7P	676138-04-8P	676138-05-9P	676138-06-0P
	676138-07-1P	676138-08-2P	676138-09-3P	676138-10-6P	676138-11-7P

676138-12-8P	676138-13-9P	676138-14-0P	676138-15-1P	676138-16-2P
676138-17-3P	676138-18-4P	676138-19-5P	676138-20-8P	676138-22-0P
676138-25-3P	676138-26-4P	676138-27-5P	676138-28-6P	676138-29-7P
676138-30-0P	676138-31-1P	676138-35-5P	676138-37-7P	676138-38-8P
676138-39-9P	676138-40-2P	676138-41-3P	676138-42-4P	676138-43-5P
676138-44-6P	676138-45-7P	676138-46-8P	676138-47-9P	676138-48-0P
676138-49-1P	676138-50-4P	676138-51-5P	676138-52-6P	676138-53-7P
676138-54-8P	676138-55-9P	676138-56-0P	676138-57-1P	676138-58-2P
676138-59-3P	676138-60-6P	676138-61-7P	676138-62-8P	676138-63-9P
676138-64-0P	676138-65-1P	676138-66-2P	676138-67-3P	676138-68-4P
676138-69-5P	676138-70-8P	676138-71-9P	676138-72-0P	676138-73-1P
676138-74-2P	676138-75-3P	676138-76-4P	676138-78-6P	676138-79-7P
676138-80-0P	676138-81-1P	676138-82-2P	676138-83-3P	676138-84-4P
676138-85-5P	676138-86-6P	676138-87-7P	676138-88-8P	676138-89-9P
676138-90-2P	676138-91-3P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 527730-64-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676134-24-0P 676134-25-1P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 62-53-3, Aniline, reactions 75-26-3, 2-Bromopropane 88-95-9, Phthaloyl dichloride 89-55-4, 5-Bromosalicylic acid 95-89-6, 3-Chloro-2,5-dimethylpyrazine 98-80-6, Phenylboronic acid 100-39-0, Benzyl bromide 103-64-0, (2-Bromovinyl)benzene 105-39-5, Ethyl chloroacetate 106-95-6, Allyl bromide, reactions 107-13-1, Acrylonitrile, reactions 108-55-4, Glutaric anhydride 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-52-4, Pentanoic acid, reactions 109-94-4, Ethyl formate 111-14-8, Heptanoic acid 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 115-07-1, Propene, reactions 123-07-9, 4-Ethylphenol 140-88-5, Ethyl acrylate 288-32-4, 1H-Imidazole, reactions 491-37-2, 4-Chromanone 501-53-1, Benzyl chloroformate 530-62-1, 1,1'-Carbonyldiimidazole 541-46-8, Isovaleramide 557-93-7, 2-Bromopropene 584-12-3, 2-Bromofuran 589-16-2, 4-Ethylaniline 594-61-6, 2-Methylactic acid 618-89-3, Methyl 3-bromobenzoate 625-36-5, 3-Chloropropionyl chloride 629-04-9, 1-Bromoheptane 630-17-1, Neopentyl bromide 765-58-2, 2-Bromo-5-methylthiophene 768-35-4, 3-Fluorophenylboronic acid 872-31-1, 3-Bromothiophene 922-63-4, 2-Ethylacrolein 1003-09-4, 2-Bromothiophene 1066-54-2, Trimethylsilylacetylene 1113-78-6,

Tri-sec-butylborane 1120-90-7, 3-Iodopyridine 1121-76-2,  
4-Chloropyridine 1-oxide 1722-10-7, 3-Chloro-6-methoxy-pyridazine  
1765-93-1, 4-Fluorophenylboronic acid 2105-94-4, 2-Fluoro-4-bromophenol  
2234-82-4, Propylmagnesium chloride 2564-95-6 2725-82-8,  
1-Bromo-3-ethylbenzene 3034-53-5, 2-Bromothiazole 3128-06-1,  
5-Oxohexanoic acid 3132-99-8, 3-Bromobenzaldehyde 3430-13-5,  
5-Bromo-2-methylpyridine 3430-17-9, 2-Bromo-3-methylpyridine  
3430-22-6, 3-Bromo-4-methylpyridine 3510-66-5, 2-Bromo-5-methylpyridine  
4132-48-3, 1-Isopropyl-4-methoxybenzene 4347-33-5, 5-Formyl-2-  
thiopheneboronic acid 4595-59-9, 5-Bromopyrimidine 4595-60-2,  
2-Bromopyrimidine 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal  
4926-28-7, 2-Bromo-4-methylpyridine 5029-67-4, 2-Iodopyridine  
5159-41-1, 2-Iodobenzyl alcohol 5220-49-5, 3-Amino-2-cyclohexen-1-one  
5292-21-7, Cyclohexylacetic acid 5369-19-7, 3-(tert-Butyl)aniline  
5433-01-2 6165-69-1, Thien-3-ylboronic acid 10557-85-4,  
4-Iodo-3,5-dimethylisoxazole 13132-23-5, Neopentylmagnesium chloride  
14282-76-9, 2-Bromo-3-methylthiophene 14508-49-7, 2-Chloropyrazine  
15501-33-4, 1-Iodo-2,2-dimethylpropane 15854-87-2, 4-Iodopyridine  
16114-47-9, (3,5-Dimethylisoxazol-4-yl)boronic acid 21740-00-1,  
5-Bromo-2-iodobenzoic acid 22037-28-1, 3-Bromofuran 22385-77-9,  
3,5-Di-tert-butylbromobenzene 22531-06-2, 7-Ethyl-1-tetralone  
27339-38-4 30318-99-1, 3-Bromo-4-methylthiophene 31938-07-5  
33034-67-2, 2-Chloro-4-trifluoromethylpyrimidine 33252-30-1,  
2-Chloro-4-cyanopyridine 37067-95-1 39959-54-1, 3-Bromobenzylamine  
hydrochloride 49844-90-8, 4-Chloro-2-methylsulfanylpuridine  
52727-57-8, Methyl 2-amino-5-bromobenzoate 54149-17-6,  
1-Bromo-2-(2-methoxyethoxy)ethane 55552-70-0, 3-Furanboronic acid  
64169-34-2, 5-Bromophthalide 71759-88-1, 5-Iodo-1-methyl-1H-imidazole  
73183-34-3 74003-55-7, 3,4-Dibromobenzaldehyde 78887-39-5,  
3-Acetamidobenzenboronic acid 79003-26-2 82941-26-2,  
(2-Butoxyethoxy)acetic acid 84110-40-7 89283-31-8,  
3-Chloro-5-methylpyridazine 92273-73-9, Butylzinc bromide 96259-61-9  
107202-62-0 111196-81-7, 2-Chloro-5-ethylpyrimidine 126403-67-6  
138900-55-7 156567-57-6 162536-85-8 162541-58-4 162607-15-0,  
(4-Methylthien-2-yl)boronic acid 163105-89-3, 2-Methoxy-5-  
pyridineboronic acid 179897-89-3, 5-Bromo-2-fluorobenzonitrile  
181765-86-6 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-  
difluorophenyl)propanoic acid 206551-43-1, 5-Acetyl-2-thiopheneboronic  
acid 262422-94-6 388075-52-3, tert-Butyl [(1S,2R)-3-amino-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamate 597563-17-2 597564-06-2  
597564-17-5 676133-50-9 676134-11-5 676134-30-8 676134-54-6  
676134-88-6 676135-04-9 676135-31-2 676135-36-7 676135-45-8  
676135-48-1 676135-51-6 676135-55-0 676135-77-6 676135-87-8  
676135-95-8 676136-00-8 676136-31-5 676137-12-5 676137-54-5  
676137-64-7 676137-69-2 676137-92-1 676138-24-2

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  
 $\beta$ -secretase inhibitors for treating Alzheimer's disease and other  
diseases characterized by deposition of A $\beta$ -peptide)

IT 1481-93-2P, Chroman-4-ol 2905-38-6P 3197-61-3P, 1H-Imidazole-1-  
carboxaldehyde 4295-36-7P, 2,3-Dihydroquinolin-4(1H)-one 6329-74-4P  
10269-01-9P, 3-Bromobenzylamine 19235-89-3P, 4-Chloropyridine-2-  
carbonitrile 20924-54-3P 20924-56-5P, 1H-2-Benzopyran-4(3H)-one  
20924-57-6P 30951-66-7P, 2-(3-Bromophenyl)-2-propanol 31590-84-8P,  
2-Neopentylpyridine 32281-97-3P, 7-Bromo-1-tetralone 33142-21-1P,  
Ethyl 2-chloro-3-oxopropanoate 33974-41-3P, Neopentylmagnesium bromide  
34246-54-3P, 3-Ethylbenzaldehyde 42205-73-2P, 2-Cyano-4-tert-  
butylpyridine 50604-00-7P 53981-38-7P, (3,4-Dihydro-2H-chromen-4-  
yl)amine 57056-92-5P 58164-02-6P 62750-11-2P 74702-93-5P  
76228-06-3P 87280-13-5P 94572-90-4P 99758-64-2P,

3,5-Di-tert-butylbenzonitrile 101714-35-6P 104174-63-2P 111773-13-8P  
116212-82-9P, Neopentylzinc chloride 133057-82-6P 139693-30-4P,  
(3,5-Di-tert-butylbenzyl)amine 147663-00-1P 161468-13-9P  
186639-32-7P 198341-11-6P 263896-27-1P 289039-20-9P 358351-16-3P  
379730-09-3P 388071-27-0P 388072-10-4P 388072-11-5P 388072-77-3P  
388072-80-8P 388075-48-7P 473567-47-4P 493028-83-4P 527733-96-6P  
527733-97-7P 527734-33-4P 530080-31-0P 537713-30-7P,  
4-Ethyl-4'-fluoro-1,1'-biphenyl-2-carboxylic acid 546115-65-5P  
597561-48-3P 597563-16-1P 627909-55-1P 672904-14-2P 676133-21-4P  
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676136-93-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent);

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  
 $\beta$ -secretase inhibitors for treating Alzheimer's disease and other  
diseases characterized by deposition of A $\beta$ -peptide)

IT 676136-94-0P 676136-96-2P 676136-97-3P 676137-00-1P 676137-01-2P  
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676137-84-1P 676137-86-3P 676137-88-5P 676137-90-9P 676138-23-1P  
676138-32-2P 676138-33-3P 676138-34-4P 676138-36-6P 676138-77-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 68449-30-9P, 5-Bromo-1-tetralone 676133-68-9P 676136-34-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8  
478799-42-7 478799-43-8 676174-15-5 676174-16-6

RL: PRP (Properties)

(unclaimed sequence; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

IT 676133-48-5P

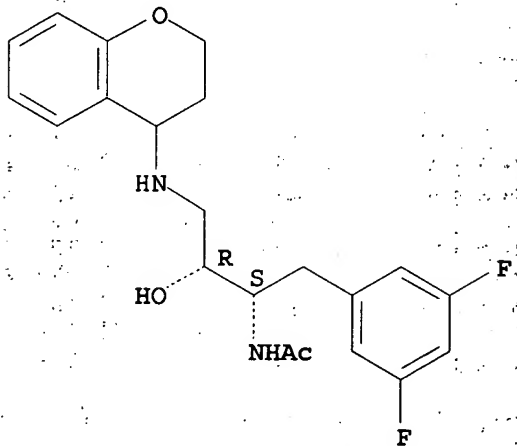
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ring-containing N-acetyl 2-hydroxy-1,3-diaminoalkanes as  $\beta$ -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A $\beta$ -peptide)

RN 676133-48-5 HCAPLUS

CN Acetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3,4-dihydro-2H-1-benzopyran-4-yl)amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry:

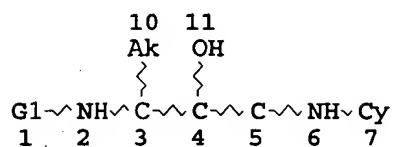


=&gt; =&gt; D QUE

L3

STR





**C≡G2**  
**@8 9**

VAR G1=8/S

VAR G2=0/S

**NODE ATTRIBUTES:**

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

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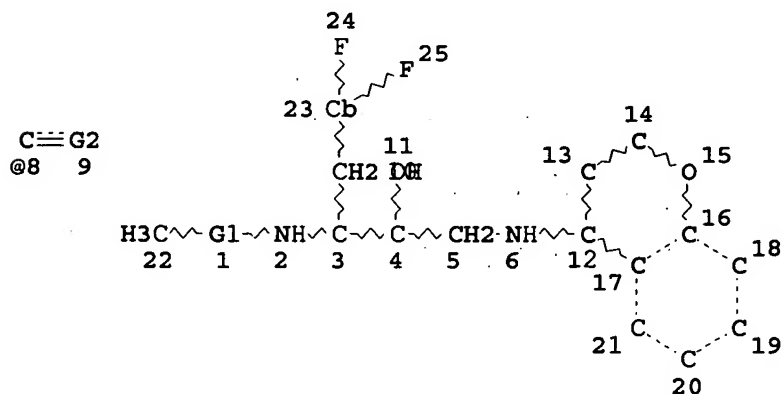
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L7      111 SEA FILE=HCAPLUS ABB=ON  L5
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L12 85 SEA FILE=HCAPLUS ABB=ON L8 AND PHARMAC?/SC,SX

L13 32 SEA FILE=HCAPLUS ABB=ON L8 (L) THU/RL

L21 STR



C≡G2  
@8 9

VAR G1=8/S

VAR G2=0/S

**NODE ATTRIBUTES:**

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

**GRAPH ATTRIBUTES:**

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

L24 60 SEA FILE=REGISTRY SUB=L5 SSS FUL L21

L28 42 SEA FILE=REGISTRY ABB=ON C21H24F2N2O3/MF  
L29 3 SEA FILE=REGISTRY ABB=ON L28 AND L24  
L30 3 SEA FILE=HCAPLUS ABB=ON L29  
L31 25 SEA FILE=HCAPLUS ABB=ON L12 AND ALZHEIM?  
L32 25 SEA FILE=HCAPLUS ABB=ON L8 AND ALZHEIM?  
L33 37 SEA FILE=HCAPLUS ABB=ON L13 OR L31 OR L32  
L34 37 SEA FILE=HCAPLUS ABB=ON L33 OR L30  
L35 34 SEA FILE=HCAPLUS ABB=ON L34 NOT L30

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E1 THROUGH E989 ASSIGNED

=> D L35 1-34 BIB ABS IND PHITSTR

*34 additional CA references limited  
by preparation & use*

*989 hit RN's so only printed 1 structure  
per CA reference*

L35 ANSWER 1 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STM

AN 2005:1021743 HCAPLUS

DN 143:326360

TI Preparation of hydroxyethylamines as aspartyl protease inhibitors for  
treatment of amyloidosis.

IN John, Varghese; Maillard, Michel; Tucker, John; Aquino, Jose; Jagodzinska,  
Barbara; Brogley, Louis; Tung, Jay; Bowers, Simeon; Dressen, Darren;  
Probst, Gary; Shah, Neerav

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 403 pp.

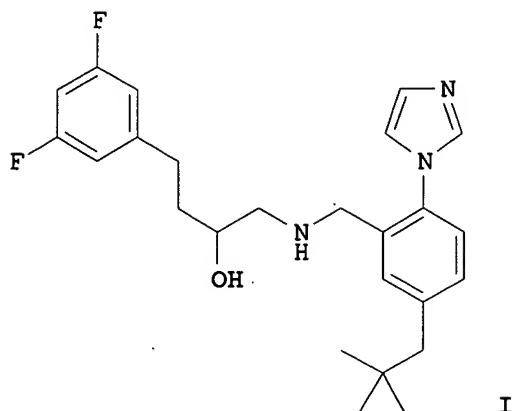
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005087751	A2	20050922	WO 2005-US7771	20050309
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005239836	A1	20051027	US 2005-75312	20050309
PRAI	US 2004-551052P	P	20040309		
	US 2004-575977P	P	20040602		
	US 2004-591918P	P	20040729		
	US 2004-619918P	P	20041020		
OS	MARPAT 143:326360				
GI					



- AB R1R2CHCH(OH)CH2NHRc [R1 = (substituted) QL; Q = (substituted) Ph, thienyl, (hetero)cycloalkyl; L = O, SO2, CO, CR55R60, CH(NR55R60); R55, R60 = H, alkyl; R2 = H, OH, (substituted) alkoxy, aryloxy, alkyl, alkylamino, heterocycloalkyl, heterocycloalkylamino, (substituted) amino, aminocarbonyl, etc.; Rc = (substituted) cycloalkyl(alkyl), alkyl, etc.; with provisos], were prepared Thus, title compound (I) inhibited  $\beta$ -secretase with IC50 = 1.1  $\mu$ M.
- IC ICM C07D257-04
- CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 25, 27, 63
- ST hydroxyethylamine prepn aspartyl protease inhibitor amyloidosis treatment
- IT Brain, disease  
Prion diseases  
(Creutzfeldt-Jakob, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Brain, disease  
Prion diseases  
(Gerstmann-Straussler syndrome, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Alcohols, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(amino; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Brain, disease  
(amyloid angiopathy, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Brain  
(cerebral cortex, basal degeneration treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Inflammation  
(chronic, due to amyloidosis, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(cleavage inhibitors; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Antioxidants  
(coadministration; preparation of hydroxyethylamines as aspartyl protease

- inhibitors for treatment of amyloidosis)
- IT Neurotrophic factors  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(coadministration; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Parkinson's disease  
(dementia associated with, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Mental and behavioral disorders  
(dementia, degenerative dementia treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Mental and behavioral disorders  
(diffuse Lewy body disease, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Amyloidosis  
Nerve, disease  
(familial amyloidotic polyneuropathy, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Anti-Alzheimer's agents  
Anti-inflammatory agents  
Cognition enhancers  
Combination chemotherapy  
Drug delivery systems  
Human  
(preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Paralysis  
(pseudobulbar, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Brain, disease  
Prion diseases  
(scrapie, treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Alzheimer's disease  
Down's syndrome  
Prion diseases  
(treatment; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -, production inhibitors; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT Antibodies and Immunoglobulins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\beta$ -amyloid antibodies; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT 9000-81-1, Acetylcholinesterase 338454-52-7,  $\gamma$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors coadministration; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT 9028-35-7  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(inhibitors, statins, coadministration; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)
- IT 78169-47-8, Aspartyl protease 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)

IT 865177-47-5P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)

IT 865178-28-5P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)

IT 865177-35-1P 865177-36-2P 865177-37-3P 865177-38-4P 865177-39-5P  
 865177-40-8P 865177-41-9P 865177-42-0P 865177-43-1P 865177-44-2P  
 865177-45-3P 865177-46-4P 865177-48-6P 865177-49-7P 865177-50-0P  
 865177-51-1P 865177-52-2P 865177-53-3P 865177-54-4P 865177-55-5P  
 865177-56-6P 865177-57-7P 865177-58-8P 865177-59-9P 865177-60-2P  
 865177-61-3P 865177-62-4P 865177-63-5P 865177-64-6P 865177-66-8P  
 865177-67-9P 865177-68-0P 865177-69-1P 865177-70-4P 865177-71-5P  
 865177-72-6P 865177-73-7P 865177-74-8P 865177-75-9P 865177-76-0P  
 865177-77-1P 865177-78-2P 865177-79-3P 865177-80-6P 865177-81-7P  
 865177-83-9P 865177-84-0P 865177-85-1P 865177-86-2P 865177-87-3P  
 865177-88-4P 865177-89-5P 865177-90-8P 865177-91-9P 865177-92-0P  
 865177-93-1P 865177-94-2P 865177-95-3P 865177-96-4P 865177-97-5P  
 865177-98-6P 865177-99-7P 865178-00-3P 865178-01-4P 865178-02-5P  
 865178-03-6P 865178-04-7P 865178-05-8P 865178-07-0P 865178-08-1P  
 865178-09-2P 865178-10-5P 865178-11-6P 865178-12-7P 865178-13-8P  
 865178-14-9P 865178-15-0P 865178-16-1P 865178-17-2P 865178-18-3P  
 865178-19-4P 865178-20-7P 865178-21-8P 865178-22-9P 865178-23-0P  
 865178-24-1P 865178-25-2P 865178-26-3P 865178-27-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of hydroxyethylamines as aspartyl protease inhibitors for treatment of amyloidosis)

IT 76-05-1, Trifluoroacetic acid, reactions 78-77-3, Isobutyl bromide 107-14-2, Chloroacetonitrile 107-19-7, Propargyl alcohol 108-94-1, Cyclohexanone, reactions 109-89-7, Diethylamine, reactions 110-89-4, Piperidine, reactions 123-75-1, Pyrrolidine, reactions 142-84-7, Dipropylamine 288-32-4, Imidazole, reactions 348-52-7, 1-Fluoro-2-iodobenzene 401-81-0, 3-Iodobenzotrifluoride 455-13-0, 4-Iodobenzotrifluoride 504-29-0, 2-Aminopyridine 540-37-4, 4-Iodoaniline 610-97-9, Methyl 2-iodobenzoate 619-58-9, 4-Iodobenzoic acid 626-01-7, 3-Iodoaniline 872-31-1, 3-Bromothiophene 1007-26-7, Neopentylbenzene 1066-54-2, Trimethylsilylacetylene 1120-90-7, 3-Iodopyridine 1643-29-4 1730-25-2, Allylmagnesium bromide 1779-49-3, Methyltriphenylphosphonium bromide 1798-06-7, 4-Iodophenylacetic acid 1826-67-1, Vinylmagnesium bromide 1878-69-9, 3-Iodophenylacetic acid 3141-27-3, 2,5-Dibromothiophene 3612-20-2, 1-Benzylpiperidin-4-one 3934-20-1, 2,4-Dichloropyrimidine 3956-07-8, 4-Iodobenzamide 3972-64-3, 1-Bromo-3-tert-butylbenzene 4331-54-8, 4-Methylcyclohexanecarboxylic acid 4595-60-2, 2-Bromopyrimidine 4630-82-4, Methyl cyclohexanecarboxylate 4746-97-8, 1,4-Dioxaspiro[4.5]decan-8-one 4883-67-4, 2-Nitrocyclohexanone 5029-67-4, 2-Iodopyridine 5188-07-8, Sodium thiomethoxide 5369-19-7, 3-tert-Butylaniline 5433-01-2, 3-Isopropylbromobenzene 6654-36-0 13132-23-5, Neopentylmagnesium chloride 13293-59-9, 3-Methylcyclohexanecarboxylic acid 13482-23-0, 4-Methoxycyclohexanone 14452-30-3, 3-Iodoacetophenone 15486-96-1,  $\beta$ -Bromopropionyl chloride 15854-87-2, 4-Iodopyridine 16664-12-3 25252-00-0, 2-Bromo-5-iodobenzoic acid 29943-42-8, Tetrahydro-4-Pyranone

37159-60-7, 3-Bromo-5-chloro-1,2,4-thiadiazole 39806-90-1,  
1-Methyl-4-iodopyrazole 40114-49-6, 1-Benzylpiperidin-3-one  
40499-83-0, 3-Pyrrolidinol 56586-13-1, 2-Methylcyclohexanecarboxylic  
acid 57455-06-8 66698-66-6, 6-tert-Butyl-2-mercaptopyrimidin-4-ol  
75091-99-5, 4-Trifluoromethylcyclohexanone 89976-43-2,  
4-Iodo-N-methyl-benzamide 97674-02-7, Tributyl(1-ethoxyvinyl)tin  
105184-38-1, 3,5-Difluorophenylacetic acid 141776-91-2,  
1-Bromomethyl-3,5-difluorobenzene 179897-89-3, 5-Bromo-2-  
fluorobenzonitrile 205445-52-9 262422-94-6, Neopentylzinc iodide  
861858-43-7 865178-44-5 865178-45-6 865178-46-7 865178-47-8  
865178-48-9 865178-49-0 865178-50-3 865178-51-4 865178-52-5

RL: RCT (Reactant); RACT (Reactant or reagent)

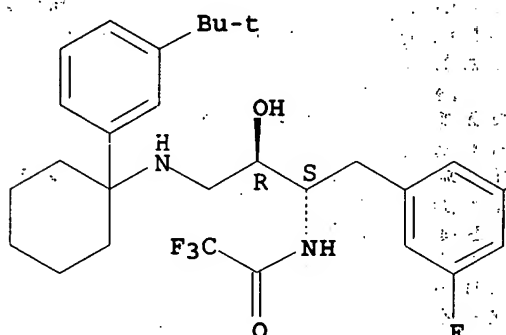
(preparation of hydroxyethylamines as aspartyl protease inhibitors for  
treatment of amyloidosis)

IT 3438-49-1P, 6-tert-Butyl-pyrimidin-4-ol 19136-36-8P,  
4-Bromo-6-tert-butyl-pyrimidine 22428-87-1P, 1,4-Dioxaspiro[4.5]decan-8-  
ol 23510-98-7P, 4-Methylsulfanyl-cyclohexanone 23511-05-9P  
27856-10-6P, 4-(2,2-Dimethylpropyl)phenylamine 29648-66-6P 51181-40-9P  
51656-90-7P, 8-Methylene-1,4-dioxaspiro[4.5]decane 55103-51-0P,  
8-Methylsulfanyl-1,4-dioxaspiro[4.5]decane 58164-02-6P,  
1-tert-Butyl-3-iodobenzene 73812-15-4P 73858-68-1P 94572-90-4P,  
1-(3-tert-Butylphenyl)cyclohexanol 103606-72-0P, 2-(3-Hydroxyprop-1-  
ynyl)benzoic acid methyl ester 106515-76-8P, 2-(3-Hydroxypropyl)benzoic  
acid methyl ester 106515-77-9P, 2-(3-Oxopropyl)benzoic acid methyl ester  
109346-94-3P 225240-58-4P, 1-But-3-enyl-3,5-difluorobenzene  
388071-27-0P 388072-77-3P 388072-80-8P 467223-90-1P 473567-47-4P  
676133-30-5P 676134-90-0P 676134-91-1P 676134-92-2P 676135-10-7P  
676135-11-8P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ol  
676135-12-9P 676135-73-2P, 1-(1-Azido-cyclohexyl)-3-tert-butylbenzene  
676135-74-3P, 1-(3-tert-Butylphenyl)cyclohexylamine 676136-54-2P  
853645-60-0P 861857-49-0P, 5-Neopentyl-2-imidazol-1-ylbenzonitrile  
861857-50-3P 861857-51-4P 861857-52-5P 861857-53-6P 861857-54-7P  
861857-55-8P 861857-61-6P 861857-62-7P 861857-63-8P 861857-65-0P,  
5-Neopentyl-2-fluorobenzonitrile 861857-66-1P 861857-67-2P,  
1-Benzyl-4-(3-tert-butylphenyl)piperidin-4-ol 861857-68-3P  
861857-69-4P 861858-29-9P, 3-Amino-3-(3-tert-butylphenyl)piperidine-1-  
carboxylic acid benzyl ester 861858-30-2P, 1-Benzyl-3-(3-tert-  
butylphenyl)piperidin-3-ol 861858-31-3P, N-[1-Benzyl-3-(3-tert-  
butylphenyl)piperidin-3-yl]-2-chloro-acetamide 861858-32-4P,  
3-(3-tert-Butylphenyl)-3-(2-chloroacetylamino)piperidine-1-carboxylic acid  
benzyl ester 861858-33-5P 861858-38-0P 861858-39-1P,  
1-(6-tert-Butylpyrimidin-4-yl)cyclohexylamine 861858-54-0P,  
1-(3-tert-Butyl-5-fluorophenyl)cyclohexylamine hydrochloride  
861858-55-1P, 4-tert-Butyl-2-fluoro-6-iodophenylamine 861858-56-2P,  
1-tert-Butyl-3-fluoro-5-iodobenzene 861858-57-3P, 1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexanol 861858-61-9P, 4-Amino-4-(3-tert-  
butylphenyl)cyclohexanone 861858-62-0P 861858-79-9P 861858-80-2P  
861858-81-3P 861858-82-4P 861858-86-8P 861858-87-9P 861858-88-0P  
861858-89-1P 861858-93-7P 861858-94-8P 861858-95-9P 861858-96-0P  
861859-09-8P 861859-10-1P 861859-11-2P 861859-12-3P 861859-13-4P  
861859-14-5P 861859-18-9P 861859-19-0P 861859-23-6P 861859-24-7P  
861859-25-8P 861859-26-9P 861859-27-0P 861859-33-8P 861859-35-0P  
861859-36-1P 861859-40-7P 861859-41-8P 861859-51-0P 861859-52-1P  
861859-57-6P 861859-58-7P 865105-54-0P 865105-58-4P 865105-59-5P  
865105-60-8P 865105-61-9P 865105-62-0P 865105-63-1P 865178-29-6P,  
2-[2-(3,5-Difluorophenyl)ethyl]oxirane 865178-30-9P,  
2-(3-Iodophenyl)-N,N-dipropyl-acetamide 865178-31-0P  
865178-32-1P 865178-33-2P 865178-34-3P, 2-(3,5-Difluorophenyl)-1-  
(oxiran-2-yl)ethanol 865178-35-4P, 2-[2-(3,5-Difluorophenyl)-1-  
methoxyethyl]oxirane 865178-36-5P 865178-37-6P 865178-38-7P

865178-39-8P 865178-40-1P 865178-41-2P 865178-42-3P 865178-43-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
 (Preparation); RACT (Reactant or reagent)  
 (preparation of hydroxyethylamines as aspartyl protease inhibitors for  
 treatment of amyloidosis)

IT 865178-31-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
 (Preparation); RACT (Reactant or reagent)  
 (preparation of hydroxyethylamines as aspartyl protease inhibitors for  
 treatment of amyloidosis)  
 RN 865178-31-0 HCAPLUS  
 CN Acetamide, N-[(1R,2S)-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-  
 dimethylethyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]-2,2,2-trifluoro-,  
 rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L35 ANSWER 2 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:1021606 HCAPLUS

DN 143:326096

TI Preparation of substituted urea and carbamate, phenacyl-2-hydroxy-3-diaminoalkane, and benzamide-2-hydroxy-3-diaminoalkane aspartyl protease and  $\beta$ -secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease

IN John, Varghese; Maillard, Michel; Tucker, John; Aquino, Jose; Hom, Roy; Tung, Jay; Dressen, Darren; Shah, Neerav; Neitz, R. Jeffrey

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 532 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005087215	A1	20050922	WO 2005-US7775	20050309
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,			



MR, NE, SN, TD, TG

US 2005261273 A1 20051124 US 2005-75292 20050309

PRAI US 2004-551192P P 20040309

US 2004-575829P P 20040602

US 2004-591857P P 20040729

US 2004-622589P P 20041028

OS MARPAT 143:326096

AB The invention is related to compds. of formula R2NHCH(R1)CH(OH)CH2NHRc (I) [R1 = (un)substituted benzyl, thien-2-ylmethyl, etc.; R2 = NH2 and derivs., SO2-aryl, hetero/aryl-U, etc.; U = CO, CS, CONH and derivs., etc.; Rc = carbocyclyl or heterocyclyl; with addnl. details given in the claims] particularly acetyl 2-hydroxy-1,3-diaminospirocyclohexanes and derivs., that are useful in treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions associated with abnormal deposition of A- $\beta$  protein. For example, alkylation of (2R,3S)-3-amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol-2HCl with 4-iodobenzamide gave the corresponding amide. Selected I displayed IC50 values < 5  $\mu$ M in a cell free inhibition assay utilizing a synthetic APP substrate that can be cleaved by  $\beta$ -secretase. The selectivity of I for  $\beta$ -secretase vs. cathepsin D for 6 examples of I are tabulated. Brain uptake, total polar surface area and/or lipophilicity for 32 examples of I are tabulated.

IC ICM A61K031-16

ICS C07C275-28; C07C275-06; C07C237-14; C07C235-42; A61P025-28; A61P025-16

CC 25-21 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 63

ST urea carbamate phenacylhydroxy diaminoalkane prepn aspartyl protease inhibitor; amyloidosis assocd condition drug hydroxydiaminoalkyl benzamide prepn; Alzheimer drug acetyl hydroxy aminospirocyclohexane prepn beta secretase inhibitor

IT 865374-99-8P, N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-2-[(methylsulfonyl)amino]thiazol e-4-carboxamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 676135-73-2P, 1-(1-Azidocyclohexyl)-3-tert-butylbenzene

861857-83-2P, N-[(1S,2R)-3-[[1-(3-tert-Butyl-5-iodophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-88-7P, N-[(1S,2R)-3-[[8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-65-3P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid benzyl ester 861858-66-4P 861858-72-2P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxamide 861859-07-6P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-44-1P, N-[(1S,2R)-3-[[1-(5-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-45-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropenyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-48-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(trimethylsilanylethynyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-49-6P, N-[(1S,2R)-3-[[1-[5-(1-Chlorovinyl)thiophen-2-yl]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide

861859-56-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-62-3P, N-[(1S,2R)-3-[[1-(4-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-63-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(isopropenyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-67-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(trimethylsilyl)ethynyl]thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-68-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[4-(ethynyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861933-56-4P, N-[(1S,2R)-3-[[4-Amino-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-83-7P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxy-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865374-52-3P, 4-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]butyric acid 865374-56-7P, 5-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]pentanoic acid 865374-66-9P 865374-82-9P 865374-91-0P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 676134-87-5P 676134-93-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676134-97-7P, N-[(1S,2R)-1-[3-(Hexyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-06-1P, N-[(1S,2R)-1-(3-Fluoro-4-hydroxybenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-13-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide 676135-27-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]formamide hydrochloride 676135-28-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]-2-fluoroacetamide hydrochloride 676135-32-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]ethanethioamide hydrochloride 676135-43-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-44-7P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-46-9P, N-[(1S,2R)-2-Hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]-1-[(thien-2-yl)methyl]propyl]acetamide hydrochloride 676135-49-2P, N-[(1S,2R)-2-Hydroxy-1-(3-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-50-5P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-52-7P, N-[(1S,2R)-1-[3-(Heptyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-53-8P, N-[(1S,2R)-1-[3-[2-(2-Methoxyethoxy)ethoxy]-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-54-9P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-3-[[4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]acetamide 676135-75-4P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-

hydroxypropyl]ethanamide 676135-79-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclohexyl]amino]-2-hydroxypropyl]ethanamide 676135-80-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-(3-(2,2-dimethylpropyl)phenyl)cyclohexyl]amino]-2-hydroxypropyl]ethanamide 676137-68-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-[4-(methyl)thiophen-2-yl]phenyl)cyclohexyl]amino]propyl]acetamide 676138-35-5P, [(1S,2R)-3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861857-80-9P, N-[(1S,2R)-3-[[1-(4-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-86-5P, N-[(1S,2R)-3-[[1-(3-Acetyl-5-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-87-6P, N-[(1S,2R)-3-[[1-(3-Amino-5-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-92-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-[3-(pyrazol-1-yl)phenyl]-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 861857-93-4P, N-[(1S,2R)-3-[[1-(3-tert-Butyl-5-methylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-98-9P, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)-1-(2-hydroxyethyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-99-0P, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)-1-(2-cyanoethyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-02-8P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-04-0P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-07-3P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-hydroxyaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-09-5P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-hydroxyaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-12-0P, N-[(1S,2R)-3-[[cis-4-(Amino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-14-2P, N-[(1S,2R)-3-[[trans-4-(Amino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-16-4P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-methylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-18-6P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-methylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-21-1P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-23-3P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-34-6P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-methoxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-35-7P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-methoxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-37-9P, 861858-41-5P, N-[3-[[1-(6-tert-Butylpyrimidin-4-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-42-6P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-oxocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-45-9P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-cyanomethylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate

861858-46-0P, [4-[[[2S,3R]-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexylidene]acetic acid methyl ester 861858-47-1P, N-[[[1S,2R]-3-[[[cis-1-(3-tert-Butylphenyl)-4-(3-methylureido)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-48-2P, N-[[[1S,2R]-3-[[[trans-1-(3-tert-Butylphenyl)-4-(3-methylureido)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-49-3P, N-[[[1S,2R]-3-[[[cis-1-(3-tert-Butylphenyl)-4-[(methylsulfonyl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-50-6P, N-[[[1S,2R]-3-[[[trans-1-(3-tert-Butylphenyl)-4-[(methylsulfonyl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-51-7P, 2-[4-[[[2R,3S]-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexylidene]-N,N-dimethylacetamide 861858-52-8P, [cis-4-[[[2R,3S]-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]carbamic acid methyl ester 861858-53-9P, [trans-4-[[[2R,3S]-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]carbamic acid methyl ester 861858-60-8P, N-[[[1S,2R]-3-[[[1-(3-tert-Butyl-5-fluorophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-64-2P, N-[[[1S,2R]-3-[[[1-(3-tert-Butylphenyl)-4,4-difluorocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide trifluoroacetate 861858-67-5P 861858-68-6P, 3-[[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid methyl ester 861858-69-7P, N-[3-[[[1-Acetyl-3-(3-tert-butylphenyl)piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-70-0P, N-[3-[[[3-(3-tert-Butylphenyl)-1-methylsulfonylpiperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-71-1P, N-[3-[[[3-(3-tert-Butylphenyl)-1-(3-phenylpropionyl)piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-73-3P, N-[3-[[[3-(3-tert-Butylphenyl)-1-hydroxypiperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-74-4P, N-[3-[[[3-(3-tert-Butylphenyl)-1-[(piperidin-1-yl)carbonyl]piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-75-5P, 3-[[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid dimethylamide 861858-76-6P, 3-[[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid isopropylamide 861858-77-7P, 3-[[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid methylamide 861858-78-8P, 3-[[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid benzylamide 861858-85-7P, N-[[[1S,2R]-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-(thiophen-3-yl)cyclohexyl]amino]propyl]acetamide 861858-92-6P, N-[[[1S,2R]-3-[[[1-(3-tert-Butylphenyl)-3-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-99-3P, N-[[[1S,2R]-3-[[[1-(3-tert-Butylphenyl)-2-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-08-7P, N-[[[1S,2R]-3-[[[1-(3-tert-Butylphenyl)-3-hydroxy-3-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-17-8P, N-[[[1S,2R]-1-(3,5-Difluorobenzyl)-3-[[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861859-22-5P, N-[[[1S,2R]-3-[[[1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-30-5P, N-[[[1S,2R]-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-[5-(isopropyl)thiophen-3-yl]cyclohexyl]amino]propyl]acetamide 861859-31-6P,

[(1S,2R)-3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]urea 861859-39-4P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-hydroxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-46-3P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-47-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(thiophen-2-yl)cyclohexyl]amino]propyl]acetamide 861859-50-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861859-64-5P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(isopropyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-65-6P,  
[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-[(trimethylsilyl)ethynyl]thiophen-2-yl]cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861859-69-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[4-(ethyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861933-52-0P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-cyanocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861933-54-2P,  
N-[(1S,2R)-3-[[4-Acetylamino-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-58-6P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-formylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-61-1P,  
N-[(1S,2R)-3-[[4-(Acetylhydroxyamino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861933-63-3P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(thiazol-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-65-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyridin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-67-7P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyrimidin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-69-9P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(1H-pyrazol-3-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-71-3P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyrazin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-73-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyridin-3-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-75-7P,  
N-[(1S,2R)-3-[[4-[(3-Bromo-[1,2,4]thiadiazol-5-yl)amino]-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-81-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-85-9P, N-[(1S,2R)-3-[[8-(3-tert-Butylphenyl)-2-oxo-1,3-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-91-7P,  
N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865374-15-8P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[3-(1,1-dimethylpropyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 865374-16-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-(1-methylprop-1-enyl)phenyl]cyclohexyl]amino]propyl]acetamide 865374-25-0P, N-[(1S,2R)-3-[[1-[3-(Cyanodimethylmethyl)phenyl]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 865374-31-8P 865374-36-3P 865374-42-1P 865374-48-7P 865374-51-2P, 4-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]butyric acid methyl ester 865374-53-4P,

N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-(R)-2-hydroxypropyl]-N'-methylpentanediamide 865374-54-5P  
865374-55-6P, 5-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]pentanoic acid methyl ester 865374-57-8P 865374-58-9P,  
6-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]hexanoic acid methyl ester 865374-59-0P, 3-Acetylamino-N-[3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]propionamide 865374-61-4P, 5-Acetylamino-pentanoic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]amide 865374-62-5P,  
4-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]butyric acid isopropyl ester 865374-64-7P, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]-4-sulfamoylbutyramide 865374-65-8P, [[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(R)-hydroxypropyl]carbamoyl]methoxyacetic acid 865374-67-0P 865374-68-1P 865374-69-2P  
865374-70-5P 865374-71-6P 865374-73-8P  
865374-75-0P 865374-76-1P 865374-77-2P 865374-78-3P  
865374-79-4P 865374-80-7P 865374-81-8P 865374-84-1P  
865374-85-2P 865374-86-3P 865374-87-4P  
865374-93-2P 865374-94-3P 865374-95-4P,  
N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-3-[N-methyl(methylsulfonyl)amino]benzamide 865374-96-5P, 2-[[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]methoxyacetic acid 865374-97-6P, 4-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamoyl]butyric acid 865374-98-7P, 4-[[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]-2,2-dimethylbutanoic acid 865375-00-4P, 3-Acetyl-1-butyl-N-[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-1H-indole-6-carboxamide 865375-01-5P, Cyclopent-1-ene-1-carboxylic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-02-6P, Cyclopropanecarbothioic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-03-7P, 2-Oxoimidazolidine-4-carboxylic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-04-8P, 3-Acetylamino-N-[3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]propionamide 865375-05-9P, 5-Acetylamino-pentanoic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-06-0P, 1-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(3,5-dimethylisoxazol-4-yl)urea 865375-07-1P, 3-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ureido]propionic acid ethyl ester 865375-08-2P, 2-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ureido]-3-methylbutyric acid ethyl ester 865375-09-3P, 2-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ureido]-4-methylpentanoic acid ethyl ester 865375-10-6P, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-4-sulfamoylbutyramide 865375-11-7P, 1-Methylcyclopropanecarboxylic acid [3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-12-8P, tert-Butyl

[[[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]methyl]carbamate 865375-13-9P,  
4,7,7-Trimethyl-3-oxo-2-oxabicyclo[2.2.1]heptane-1-carboxylic acid  
[3-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]amide 865375-14-0P 865375-15-1P,  
N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-(2,5-dioxoimidazolidin-4-yl)acetamide  
865375-16-2P 865375-17-3P, N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-2-oxothiazolidine-4-carboxamide 865375-18-4P, tert-Butyl  
3-[[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]azetidine-1-carboxylate 865375-19-5P  
865375-20-8P, N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-5-oxopyrrolidine-2-carboxamide  
865375-21-9P, 3-[[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]cyclohexanecarboxylic acid 865375-22-0P, Methyl 4-[[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]carbamoyl]-4-methylpentanoate 865375-23-1P,  
1-(2-Amino-2-oxoethyl)-N-[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]pyrrolidine-2-carboxamide  
865375-24-2P, tert-Butyl 4-(tert-butoxycarbonyl)-5-[[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]amino]-5-oxopentanoate 865375-25-3P, 1-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]urea 865375-26-4P, N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-3-oxo-2-oxabicyclo[2.2.1]heptane-1-carboxamide 865375-27-5P,  
Ethyl 2-[3-[4-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]ureido]-4-(methylthio)butanoate  
865375-28-6P, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-(2-iminoimidazolidin-1-yl)acetamide 865429-29-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 861859-61-2P, (3S,2R)-3-Amino-1-[[1-(4-bromothiophen-2-yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(drug candidate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 9000-81-1, Acetyl cholinesterase 338454-52-7,  $\gamma$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors, codrugs; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 78169-47-8, Aspartyl protease 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 25108-58-1P, 1-Bromo-3-isopropenylbenzene 30951-66-7P,

2-(3-Bromophenyl)propan-2-ol 90433-20-8P, 2-(3-Bromophenyl)-2-methylpropionitrile 225240-58-4P, 1-(But-3-enyl)-3,5-difluorobenzene 408307-64-2P, 1-Bromo-3-(1-methylprop-1-enyl)benzene 865178-29-6P, 2-[2-(3,5-Difluorophenyl)ethyl]oxirane 865178-41-2P 865178-42-3P 865374-17-0P, 1-Bromo-3-(1,1-dimethylpropyl)benzene 865374-18-1P, 1-[3-(1,1-Dimethylpropyl)phenyl]cyclohexanol 865374-19-2P, 1-[3-(1-Methylprop-1-enyl)phenyl]cyclohexanol 865374-20-5P,



1-(1-Azidocyclohexyl)-3-(1,1-dimethylpropyl)benzene 865374-21-6P,  
 1-(1-Azidocyclohexyl)-3-(1-methylprop-1-enyl)benzene 865374-22-7P,  
 1-(1-Aminocyclohexyl)-3-(1-methylprop-1-enyl)benzene 865374-23-8P  
 865374-24-9P 865374-26-1P, 2-[3-(1-Hydroxycyclohexyl)phenyl]-2-methylpropionitrile 865374-27-2P, 2-[3-(1-Azidocyclohexyl)phenyl]-2-methylpropionitrile 865374-28-3P, 2-[3-(1-Aminocyclohexyl)phenyl]-2-methylpropionitrile 865374-29-4P 865374-30-7P 865374-32-9P,  
 1-(3-Dimethylaminophenyl)cyclohexanol 865374-33-0P, [3-(1-Azidocyclohexyl)phenyl]dimethylamine 865374-34-1P, [3-(1-Aminocyclohexyl)phenyl]dimethylamine 865374-35-2P  
 865374-37-4P, 1-(3-Methylsulfonylphenyl)cyclohexanol 865374-38-5P,  
 1-(3-Methylsulfonylphenyl)cyclohexanol 865374-39-6P,  
 1-(1-Azidocyclohexyl)-3-methylsulfonylbenzene 865374-40-9P,  
 1-(1-Aminocyclohexyl)-3-methylsulfonylbenzene 865374-41-0P  
 865374-43-2P, 1-Iodo-3-isopropenylbenzene 865374-44-3P,  
 2-Methylpropane-2-sulfinic acid [1-(3-isopropenylphenyl)cyclohexyl]amide 865374-45-4P 865374-46-5P 865374-47-6P 865374-49-8P  
 865374-50-1P 865374-89-6P 865374-90-9P 865375-45-7P,  
 1-(1-Aminocyclohexyl)-3-(1,1-dimethylpropyl)benzene  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 56-37-1, Benzyltriethylammonium chloride 79-44-7, Dimethylcarbamoyl chloride 88-95-9, Phthaloyl dichloride 96-50-4, (Thiazol-2-yl)amine 28-59-9, p-Toluenesulfonyl chloride 100-52-7, Benzaldehyde, reactions 107-13-1, Acrylonitrile, reactions 108-55-4 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-12-6, (Pyrimidin-2-yl)amine 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 288-13-1, Pyrazole 288-32-4, 1H-Imidazole, reactions 462-08-8, (Pyridin-3-yl)amine 501-53-1, Benzyl chloroformate 504-29-0, (Pyridin-2-yl)amine 507-19-7, tert-Butyl bromide 507-20-0, tert-Butyl chloride 530-62-1, 1,1'-Carbonyldiimidazole 591-18-4, 1-Bromo-3-iodobenzene 618-51-9, 3-Iodobenzoic acid 618-89-3, Methyl 3-bromobenzoate 619-58-9, 4-Iodobenzoic acid 625-95-6, 3-Iodotoluene 629-04-9, 1-Bromoheptane 645-45-4, Benzenepropanoyl chloride 769-92-6, 4-tert-Butylaniline 872-31-1, 3-Bromothiophene 1007-26-7, Neopentylbenzene 1066-54-2, Trimethylsilylacetylene 1067-74-9, Methyl 2-(diethylphosphono)acetate 1121-76-2, 4-Chloropyridine 1-oxide 1461-22-9, Tributyltin chloride 1501-27-5 1643-29-4 1730-25-2, Allylmagnesium bromide 1795-48-8, Isopropyl isocyanate 1798-06-7, 4-Iodophenylacetic acid 1820-80-0, (1H-Pyrazol-3-yl)amine 1878-69-9, 3-Iodophenylacetic acid 2725-82-8, 1-Bromo-3-ethylbenzene 2916-68-9, 2-Trimethylsilylethanol 2938-48-9, 3,3-Dimethyldihydropyran-2,6-dione 3140-92-9, 2,4-Dibromothiophene 3141-27-3, 2,5-Dibromothiophene 3282-56-2, 1-tert-Butyl-4-nitrobenzene 3612-20-2, 1-Benzylpiperidin-4-one 3956-07-8, 4-Iodobenzamide 3972-64-3, 1-Bromo-3-tert-butylbenzene 4331-54-8, 4-Methylcyclohexanecarboxylic acid 4480-83-5, 1,4-Dioxane-2,6-dione 4630-82-4 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal 4883-67-4, 2-Nitrocyclohexanone 5049-61-6, (Pyrazin-2-yl)amine 5369-19-7, 3-(tert-Butyl)aniline 5433-01-2, 3-Isopropylbromobenzene 13132-23-5, Neopentylmagnesium chloride 13293-59-9, 3-Methylcyclohexanecarboxylic acid 13482-23-0, 4-Methoxycyclohexanone 13726-84-6 13939-69-0, 1-Piperidinecarbonyl chloride 14452-30-3, 3'-Iodoacetophenone 15486-96-1,  $\beta$ -Bromopropionyl chloride 15501-33-4, Neopentyl iodide 16205-98-4, 3-Oxocyclohexanecarboxylic acid 16664-12-3, Methyl N-(2-fluorophenyl)carbamate 19829-31-3, 3'-Bromopropiophenone 25252-00-0, 2-Bromo-5-iodobenzoic acid 28547-13-9 29943-42-8, Tetrahydropyran-4-one 31938-07-5, 3-Bromobenzyl nitrile 33252-30-1,

2-Chloro-4-cyanopyridine 34601-12-2 35779-04-5, 1-tert-Butyl-4-iodobenzene 36282-40-3, 3-Methoxyphenylmagnesium bromide 40114-49-6, 1-Benzylpiperidin-3-one 54149-17-6, 1-Bromo-2-(2-methoxyethoxy)ethane 56586-13-1, 2-Methylcyclohexanecarboxylic acid 66698-66-6, 6-tert-Butyl-2-mercaptopyrimidin-4-ol 75091-99-5, 4-Trifluoromethylcyclohexanone 80522-42-5, Triisopropylsilyl triflate 83631-23-6, Dioctyl (N,N-dimethylcarbamoylmethyl)phosphonate 89469-46-5, 89976-43-2, 4-Iodo-N-methylbenzamide 100073-15-2, Tributylisopropenylstannane 116212-82-9, Neopentylzinc chloride 141776-91-2, 1-Bromomethyl-3,5-difluorobenzene 146374-27-8, 2-Methylpropane-2-sulfinamide 162536-85-8, tert-Butyl [(1S)-2-(4-hydroxyphenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate 162541-58-4, tert-Butyl [(1S)-2-[3-(benzyloxy)phenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 162607-15-0, (4-Methylthien-2-yl)boronic acid 175476-52-5, 4-Sulfamoylbutyric acid 179897-89-3, 5-Bromo-2-fluorobenzonitrile 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid 262422-94-6, Neopentylzinc iodide 597562-66-8, 3-Acetyl-1-butyl-1H-indole-6-carboxylic acid 597564-17-5, tert-Butyl [(1S)-2-[3-(benzyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-02-7, tert-Butyl [(1S)-2-[4-(benzyloxy)-3-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-45-8, tert-Butyl [(1S)-2-[3-(allyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-48-1, tert-Butyl [(1S)-1-((2S)-oxiran-2-yl)-2-(thien-2-yl)ethyl]carbamate 676135-51-6, tert-Butyl [(1S)-2-(3-fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-55-0, (4R)-6-Ethyl-3,4-dihydro-1H-isothiochromen-4-amine 2,2-dioxide 676137-69-2, N-[(1S,2R)-3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 806643-60-7 861858-00-6, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-05-1, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-(methoxyimino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-10-8, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxyiminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-19-7, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-oxocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-24-4, [1-(3-tert-Butylphenyl)-4-methylsulfanylcyclohexyl]amine 861858-43-7, [8-(3-tert-Butylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine 861859-32-7, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol dihydrochloride 861921-72-4, 3-Amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(S)-(3,5-difluorophenyl)-(R)-butan-2-ol 365178-30-9, 2-(3-Iodophenyl)-N,N-dipropylacetamide 865374-60-3, [2-[[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(S)-(3,5-difluorobenzyl)-2-(S)-hydroxypropyl]carbamoyl]ethyl]carbamic acid tert-butyl ester 865374-63-6 865374-74-9 865374-83-0 865374-88-5 865374-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)  
 IT 2905-38-6P, N-Thioacetylphthalimide 3197-61-3P, 1-Formylimidazole 3438-49-1P, 6-tert-Butylpyrimidin-4-ol 6310-17-4P, 2-Bromo-1-tert-butyl-4-nitrobenzene 19136-36-8P, 4-Bromo-6-tert-butylpyrimidine 19235-89-3P, 4-Chloropyridine-2-carbonitrile 22428-87-1P, 1,4-Dioxaspiro[4.5]decan-8-ol 23510-98-7P, 4-Methylsulfanylcyclohexanone 23511-05-9P, Toluene-4-sulfonic acid 1,4-dioxaspiro[4.5]decan-8-yl ester 26110-96-3P, 1-(2,2-Dimethylpropyl)-4-nitrobenzene 27856-10-6P, 4-(2,2-Dimethylpropyl)phenylamine 29648-66-6P, 4-Methylenecyclohexanone 31590-84-8P, 2-Neopentylpyridine 42205-73-2P, 2-Cyano-4-tert-butylpyridine 51181-40-9P, 4-Methylcyclohexanecarboxylic acid methyl ester 51656-90-7P, 8-Methylene-1,4-dioxaspiro[4.5]decane 55103-51-0P, 8-Methylsulfanyl-1,4-dioxaspiro[4.5]decane 58164-02-6P,

1-tert-Butyl-3-iodobenzene 58313-23-8P, 3-Iodobenzoic acid ethyl ester 73812-15-4P, 1-(Thiophen-3-yl)cyclohexanecarboxylic acid 73858-68-1P, 1-(Thiophen-3-yl)cyclohexanecarboxylic acid methyl ester 94572-90-4P, 1-(3-tert-Butylphenyl)cyclohexanol 103275-21-4P, (3-Bromo-4-tert-butylphenyl)amine 111220-30-5P, 1-tert-Butyl-3-iodo-5-methylbenzene 125802-06-4P, [1-(3-Methoxyphenyl)cyclohexyl]amine hydrochloride 129373-04-2P, (4-tert-Butyl-2-fluorophenyl)amine 136811-68-2P, 1-(4-Bromothiophen-2-yl)cyclohexanol 136811-69-3P, 2-(1-Azidocyclohexyl)-4-bromothiophene 140410-47-5P, Benzyltriethylammonium Dichloroiodate 148209-54-5P, 1-tert-Butyl-3,5-diiodobenzene 161468-13-9P, 1-Fluoroacetylimidazole 173282-39-8P, (4-tert-Butyl-2,6-diiodophenyl)amine 388071-27-0P, [(1S)-2-(3,5-Difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamic acid tert-butyl ester 388072-77-3P, tert-Butyl [(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropyl]carbamate 388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 473567-47-4P, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid methyl ester 502649-73-2P, (3-Iodophenyl)acetic acid methyl ester 530080-31-0P, 5-Bromo-2-(1H-imidazol-1-yl)benzonitrile 623548-14-1P, (4-tert-Butyl-2-fluorophenyl)carbamic acid methyl ester 676133-28-1P, 1-(3-Ethylphenyl)cyclohexanol 676133-29-2P, 1-(1-Azidocyclohexyl)-3-ethylbenzene 676133-30-5P, [1-(3-Ethylphenyl)cyclohexyl]amine 676134-90-0P, 1-(3-Isopropylphenyl)cyclohexanamine hydrochloride 676134-91-1P, 1-(3-Isopropylphenyl)cyclohexanol 676134-92-2P, 1-(3-Isopropylphenyl)cyclohexyl azide 676134-94-4P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676134-95-5P, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-ol dihydrochloride 676134-96-6P, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-ol 676134-98-8P, tert-Butyl [(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676135-00-5P, N-[(1S,2R)-1-[3-(Benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-01-6P, N-[(1S,2R)-1-(3-Hydroxy-5-fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-08-3P, N-[(1S,2R)-1-[3-Fluoro-4-(benzyloxy)benzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-10-7P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-amine acetate 676135-11-8P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-ol 676135-12-9P, 8-(3-Isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl azide 676135-14-1P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]carbamate 676135-15-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 676135-74-3P, [1-(3-tert-Butylphenyl)cyclohexyl]amine 676135-76-5P, [1-(3-Ethynylphenyl)cyclohexyl]amine 676135-77-6P, 1-(3-Bromophenyl)cyclohexanamine 676136-14-4P, 2-Cyano-4-isopropylpyridine 676136-15-5P, 2-Cyano-6-neopentylpyridine 676136-16-6P, 2-Cyano-4-neopentylpyridine 676136-17-7P, 4-Cyano-2-neopentylpyridine 676136-54-2P, 6-(2,2-Dimethylpropyl)-4-oxo-3,4-dihydro-2H-quinoline-1-carboxylic acid benzyl ester 676136-66-6P, 2-(1H-Imidazol-1-yl)-5-isobutylbenzonitrile 676138-32-2P, 1-(3-Bromophenyl)cyclohexanecarbonitrile 676138-33-3P, 1-(3-Bromophenyl)cyclohexanecarboxamide 676138-34-4P, 729559-16-4P, 2-Methylpropane-2-sulfinic acid cyclohexylideneamide 853645-60-0P, 1-(5-Bromothiophen-2-yl)cyclohexanol 861857-49-0P, 5-(2,2-Dimethylpropyl)-2-(imidazol-1-yl)benzonitrile 861857-50-3P, 4-(S)-Amino-6-(2,2-dimethylpropyl)-3,4-dihydro-2H-quinoline-1-carboxylic

acid benzyl ester 861857-51-4P, 3-Bromo-N-[4-(2,2-dimethylpropyl)phenyl]propionamide 861857-52-5P, 1-[4-(2,2-Dimethylpropyl)phenyl]azetidin-2-one 861857-53-6P, 6-(2,2-Dimethylpropyl)-2,3-dihydro-1H-quinolin-4-one 861857-54-7P, 6-(2,2-Dimethylpropyl)-4-(R)-hydroxy-3,4-dihydro-2H-quinoline-1-carboxylic acid benzyl ester 861857-55-8P, 4-(S)-Azido-6-(2,2-dimethylpropyl)-3,4-dihydro-2H-quinoline-1-carboxylic acid benzyl ester 861857-56-9P, 2-Methylpropane-2-sulfinic acid [1-(3-tert-butyl-5-iodophenyl)cyclohexyl]amide 861857-57-0P, [1-(3-tert-Butyl-5-iodophenyl)cyclohexyl]amine hydrochloride 861857-58-1P, 3-(1-Aminocyclohexyl)benzoic acid ethyl ester 861857-59-2P, 3-[1-[(2-Methylpropan-2-ylsulfinyl)amino]cyclohexyl]benzoic acid ethyl ester 861857-60-5P, 2-Methylpropane-2-sulfinic acid [1-(3-methoxyphenyl)cyclohexyl]amide 861857-61-6P, 861857-62-7P, 2-Methylpropane-2-sulfinic acid N-(tetrahydropyran-4-ylidene)amide 861857-63-8P, N-(4-(3-tert-Butylphenyl)-2H-tetrahydropyran-4-yl)-2-methylpropane-2-sulfinamide 861857-64-9P, [5-(2,2-Dimethylpropyl)-2-(imidazol-1-yl)benzyl]amine dihydrochloride 861857-65-0P, 5-Neopentyl-2-fluorobenzonitrile 861857-66-1P, 4-Amino-4-(3-tert-butylphenyl)piperidine-1-carboxylic acid benzyl ester 861857-67-2P, 1-Benzyl-4-(3-tert-butylphenyl)piperidin-4-ol 861857-68-3P, N-[1-Benzyl-4-(3-tert-butylphenyl)piperidin-4-yl]-2-chloroacetamide 861857-69-4P, 4-(3-tert-Butylphenyl)-4-(2-chloroacetylamino)piperidine-1-carboxylic acid benzyl ester 861857-70-7P, [8-(3-tert-Butylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine hydrochloride 861857-71-8P, 2-Methylpropane-2-sulfinic acid N-(1,4-dioxaspiro[4.5]decan-8-ylidene)amide 861857-72-9P, 2-Methylpropane-2-sulfinic acid N-[8-(3-tert-butylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amide 861857-73-0P, [8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine 861857-74-1P, 2-Methylpropane-2-sulfinic acid N-[8-(3-bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amide 861857-75-2P, [1-[3-[1,1-Dimethyl-2-(triisopropylsilanyloxy)ethyl]phenyl]cyclohexyl]amine 861857-76-3P, 2-(3-Iodophenyl)-2-methylpropionic acid methyl ester 861857-77-4P, 2-(3-Iodophenyl)-2-methylpropan-1-ol 861857-78-5P, [2-(3-Iodophenyl)-2-methylpropoxy]triisopropylsilane 861857-79-6P, 2-Methylpropane-2-sulfinic acid [1-[3-[1,1-dimethyl-2-(triisopropylsilanyloxy)ethyl]phenyl]cyclohexyl]amide 861857-81-0P, [1-(4-tert-Butylphenyl)cyclohexyl]amine hydrochloride 861857-82-1P, [(1S,2R)-3-[[1-(4-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861857-84-3P, [(1S,2R)-3-[[1-(3-tert-Butyl-5-iodophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861857-85-4P, (2R,3S)-3-Amino-1-[[1-(3-tert-butyl-5-iodophenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861857-89-8P, [8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine Hydrochloride 861857-90-1P, [(1S,2R)-3-[[8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861857-91-2P, N-[(1S,2R)-3-[[1-(3-Bromophenyl)-4-oxocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-94-5P, 1-(3-tert-Butyl-5-methylphenyl)cyclohexanol 861857-95-6P, 1-(1-Azidocyclohexyl)-3-tert-butyl-5-methylbenzene 861857-96-7P, [1-(3-tert-Butyl-5-methylphenyl)cyclohexyl]amine hydrochloride 861857-97-8P, [(1S,2R)-3-[[1-(3-tert-Butyl-5-methylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861858-25-5P, [1-(4-Bromo-3-tert-butylphenyl)cyclohexyl]amine hydrochloride 861858-26-6P, (5-Bromo-4-tert-butyl-2-iodophenyl)amine 861858-27-7P, 1-Bromo-2-tert-butyl-4-iodobenzene 861858-28-8P, 1-(4-Bromo-3-tert-butylphenyl)cyclohexanol 861858-29-9P, 3-Amino-3-(3-tert-

butylphenyl)piperidine-1-carboxylic acid benzyl ester 861858-30-2P,  
1-Benzyl-3-(3-tert-butylphenyl)piperidin-3-ol 861858-31-3P,  
N-[1-Benzyl-3-(3-tert-butylphenyl)piperidin-3-yl]-2-chloroacetamide  
861858-32-4P, 3-(3-tert-Butylphenyl)-3-(2-chloroacetyl amino)piperidine-1-  
carboxylic acid benzyl ester 861858-33-5P, [1-(3-tert-Butylphenyl)-4-  
methoxycyclohexyl]amine 861858-38-0P, [1-(3-tert-Butylphenyl)-4-  
trifluoromethylcyclohexyl]amine 861858-39-1P, [1-(6-tert-Butylpyrimidin-  
4-yl)cyclohexyl]amine 861858-54-0P, [1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexyl]amine hydrochloride 861858-55-1P,  
(4-tert-Butyl-2-fluoro-6-iodophenyl)amine 861858-56-2P,  
1-tert-Butyl-3-fluoro-5-iodobenzene 861858-57-3P, 1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexanol 861858-58-4P, 1-(1-Azidocyclohexyl)-3-tert-  
butyl-5-fluorobenzene 861858-59-5P, [1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexyl]amine 861858-61-9P, 4-Amino-4-(3-tert-  
butylphenyl)cyclohexanone 861858-62-0P, [1-(3-tert-Butylphenyl)-4,4-  
difluorocyclohexyl]amine 861858-79-9P, 1-(3-tert-Butylphenyl)-4-  
methylcyclohexanecarboxylic acid methyl ester 861858-80-2P,  
1-(3-tert-Butylphenyl)-4-methylcyclohexanecarboxylic acid 861858-81-3P,  
[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amine 861858-82-4P,  
[1-(Thiophen-3-yl)cyclohexyl]amine 861858-83-5P,  
[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(thiophen-3-  
yl)cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861858-84-6P,  
(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(thiophen-3-  
yl)cyclohexyl]amino]butan-2-ol 861858-86-8P, 3-  
Methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester  
861858-87-9P, 1-(3-tert-Butylphenyl)-3-methylcyclohexanecarboxylic acid  
2-(trimethylsilyl)ethyl ester 861858-88-0P, 1-(3-tert-Butylphenyl)-3-  
methylcyclohexanecarboxylic acid 861858-89-1P, [1-(3-tert-Butylphenyl)-3-  
methylcyclohexyl]amine 861858-90-4P, [(1S,2R)-3-[[1-(3-tert-  
Butylphenyl)-3-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 861858-91-5P,  
(3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-3-methylcyclohexyl]amino]-4-  
(3,5-difluorophenyl)butan-2-ol 861858-93-7P, 2-  
Methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester  
861858-94-8P, 1-(3-tert-Butylphenyl)-2-methylcyclohexanecarboxylic acid  
2-(trimethylsilyl)ethyl ester 861858-95-9P, 1-(3-tert-Butylphenyl)-2-  
methylcyclohexanecarboxylic acid 861858-96-0P, [1-(3-tert-Butylphenyl)-2-  
methylcyclohexyl]amine 861858-97-1P, [(1S,2R)-3-[[1-(3-tert-  
Butylphenyl)-2-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 861858-98-2P,  
(3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-2-methylcyclohexyl]amino]-4-  
(3,5-difluorophenyl)butan-2-ol 861859-00-9P, 3-Oxocyclohexanecarboxylic  
acid 2-(trimethylsilyl)ethyl ester 861859-01-0P, 3-  
Methylenecyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester  
861859-02-1P, 1-(3-tert-Butylphenyl)-3-methylenecyclohexanecarboxylic acid  
2-(trimethylsilyl)ethyl ester 861859-03-2P, 1-(3-tert-Butylphenyl)-3-  
methylenecyclohexanecarboxylic acid 861859-04-3P, [1-(3-tert-  
Butylphenyl)-3-methylenecyclohexyl]amine 861859-05-4P,  
[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester  
861859-06-5P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-3-  
methylenecyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol  
861859-09-8P, 1-(2,5-Dibromothiophen-3-yl)cyclohexanecarboxylic acid  
methyl ester 861859-10-1P, 1-[2-Bromo-5-[(trimethylsilyl)ethynyl]thiop  
hen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-11-2P,  
1-[2-Bromo-5-(ethynyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl  
ester 861859-12-3P, 1-[5-(Ethyl)thiophen-3-yl]cyclohexanecarboxylic acid  
methyl ester 861859-13-4P, 1-[5-(Ethyl)thiophen-3-  
yl]cyclohexanecarboxylic acid 861859-14-5P, [1-[5-(Ethyl)thiophen-3-  
yl]cyclohexyl]amine 861859-15-6P, [(1S,2R)-1-(3,5-

Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-16-7P,  
(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]butan-2-ol 861859-18-9P, 1-(2,5-Dibromothiophen-3-yl)cyclohexanecarboxylic acid 861859-19-0P, [1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amine 861859-20-3P, [(1S,2R)-3-[[1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-21-4P,  
(3S,2R)-3-Amino-1-[[1-(2,5-dibromothiophen-3-yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-23-6P, 1-(5-Acetyl-2-bromothiophen-3-yl)cyclohexanecarboxylic acid methyl ester 861859-24-7P,  
1-[2-Bromo-5-(isopropenyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-25-8P, 1-[5-(Isopropyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-26-9P, 1-[5-(Isopropyl)thiophen-3-yl]cyclohexanecarboxylic acid 861859-27-0P, [1-[5-(Isopropyl)thiophen-3-yl]cyclohexyl]amine 861859-28-1P, [(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropyl)thiophen-3-yl]cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861859-29-2P,  
(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-[5-(isopropyl)thiophen-3-yl]cyclohexyl]amino]butan-2-ol 861859-33-8P, Tributyl(3-tert-butylphenyl)stannane 861859-34-9P, Triacetoxyl(3-tert-butylphenyl)lead 861859-35-0P, 2-(3-tert-Butylphenyl)-2-nitrocyclohexanone 861859-36-1P,  
2-Amino-2-(3-tert-butylphenyl)cyclohexanol 861859-37-2P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-hydroxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-38-3P, 2-[[[(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-2-(3-tert-butylphenyl)cyclohexanol 861859-40-7P,  
2-(1-Azidocyclohexyl)-5-bromothiophene 861859-41-8P, [1-(5-Bromothiophen-2-yl)cyclohexyl]amine 861859-42-9P, [(1S,2R)-3-[[1-(5-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-43-0P, (3S,2R)-3-Amino-1-[[1-(5-bromothiophen-2-yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-51-0P,  
1-(3-tert-Butylphenyl)-4-methylenecyclohexanol 861859-52-1P, 1-(1-Azido-4-methylenecyclohexyl)-3-tert-butylbenzene 861859-53-2P, [1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amine 861859-54-3P,  
[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-55-4P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-4-methylenecyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-57-6P, [4-Azido-4-(3-tert-butylphenyl)cyclohexyl]methanol 861859-58-7P, [4-Amino-4-(3-tert-butylphenyl)cyclohexyl]methanol 861859-59-8P, [1-(4-Bromothiophen-2-yl)cyclohexyl]amine 861859-60-1P, [(1S,2R)-3-[[1-(4-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-66-7P, (3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-[4-(trimethylsilanylethynyl)thiophen-2-yl]cyclohexyl]amino]butan-2-ol 861933-77-9P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861933-79-1P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-4-methylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861933-87-1P 861933-89-3P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-4-hydroxymethylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 865178-43-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 9025-26-7, Cathepsin D

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(selective inhibitors for  $\beta$ -secretase vs. CatD; preparation of as

aspartyl protease and  $\beta$ -secretase inhibitors)

IT 186142-26-7

RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(unclaimed sequence; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 288584-07-6, 6: PN: WO2005070407 PAGE: 353 unclaimed sequence

288584-08-7, 7: PN: WO2005070407 PAGE: 353 unclaimed sequence

478686-67-8, 3: PN: WO2005070407 PAGE: 352 unclaimed sequence

512797-10-3, 1: PN: WO2005070407 PAGE: 352 unclaimed sequence

512797-11-4, 2: PN: WO2005070407 PAGE: 352 unclaimed sequence

535952-73-9, 5: PN: WO2005070407 PAGE: 353 unclaimed sequence

790665-57-5, 4: PN: WO2005070407 PAGE: 353 unclaimed sequence

RL: PRP (Properties)

(unclaimed sequence; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

IT 865374-99-8P, N-[4-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]-2-[(methylsulfonyl)amino]thiazole-4-carboxamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PREP

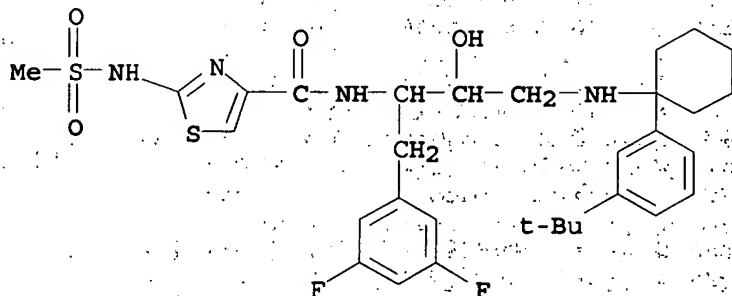
(Preparation); THU (Therapeutic use); PREP

(Preparation); PREP (Preparation); USES (Uses)

(drug candidate; preparation of as aspartyl protease and  $\beta$ -secretase inhibitors)

RN 865374-99-8 HCAPLUS

CN 4-Thiazolecarboxamide, N-[1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(1,1-dimethylethyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]-2-[(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 3 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:696731 HCAPLUS

DN 143:193724

TI Preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease

IN John, Varghese; Hom, Roy; Sealy, Jennifer; Aquino, Jose; Probst, Gary; Tung, Jay; Fang, Larry

PA Elan Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 499 pp.

CODEN: PIXXD2

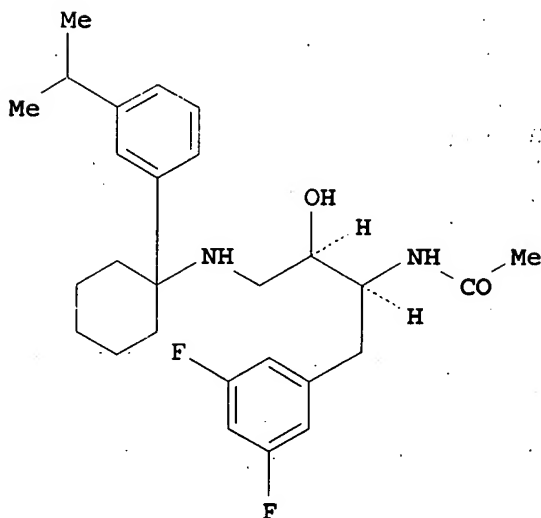
DT Patent

LA English

FAN.CNT 1



	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005070407	A1	20050804	WO 2005-US1875	20050121
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2004-537522P	P	20040121		
	US 2004-537551P	P	20040121		
	US 2004-537580P	P	20040121		
	US 2004-575798P	P	20040602		
	US 2004-575799P	P	20040602		
	US 2004-575858P	P	20040602		
	US 2004-591858P	P	20040729		
	US 2004-591885P	P	20040729		
	US 2004-591908P	P	20040729		
	US 2004-619917P	P	20041020		
	US 2004-619947P	P	20041020		
	US 2004-619948P	P	20041020		
OS	MARPAT 143:193724				
GI					



AB The invention relates to N-(3-amino-2-hydroxypropyl)acetamides ( $R_2CH_2C(O)NHCHR_1CH(OH)CH_2NHR_c$  (I);  $R_1$  = (un)substituted benzyl, thien-2-ylmethyl, etc.;  $R_2$  = H and F;  $R_c$  = carbocyclyl or heterocyclyl; addnl. details are given in the claims; e.g. N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride (free base shown as II)) that are useful in treating diseases, disorders, and conditions associated with amyloidosis. Amyloidosis refers to a collection of diseases, disorders, and conditions

associated with abnormal deposition of A-beta protein. Although the methods of preparation are not claimed, .apprx.200 example prepns. of I and intermediates are included. For example, II was prepared in 3 steps (77, unknown and 87% yields) starting from 1-(3-isopropylphenyl)cyclohexanamine hydrochloride and [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamic acid tert-Bu ester and involving intermediates tert-Bu [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]carbamate and (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[[1-(3-isopropylphenyl)cyclohexyl]amino]butan-2-ol dihydrochloride. Efficacy for 10 examples of I for inhibiting amyloid-beta peptide in the cortex and/or plasma are tabulated. The selectivity of I for  $\beta$ -secretase vs. cathepsin D for 92 examples of I are tabulated. Oral bioavailability for one I was determined in male rats. Brain uptake, total polar surface area and/or lipophilicity for 32 examples of I are tabulated.

IC ICM A61K031-165

ICS A61P025-28

CC 23-18 (Aliphatic Compounds)

Section cross-reference(s): 1, 63

ST aminohydroxypropyl acetamide prepn aspartyl protease beta secretase inhibitor; amyloidosis assocd condition drug aminohydroxypropyl acetamide prepn; Alzheimer's drug aminohydroxypropyl acetamide prepn

IT Brain, disease

Prion diseases

(Gerstmann-Straussler syndrome; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Alzheimer's disease

(Lewy-body variant; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Alcohols, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(amino, drug candidates; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Brain, disease

(amyloid angiopathy; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Brain, disease

(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Antibodies and Immunoglobulins

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-A-beta, codrugs; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Structure-activity relationship

(brain uptake; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Inflammation

- (chronic, due to amyloidosis; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Anti-inflammatory agents  
(chronic; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Anti-inflammatory agents  
Antioxidants  
(codrugs; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Neurotrophic factors  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(codrugs; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Parkinson's disease  
(dementia associated with; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Mental and behavioral disorders  
(dementia, degenerative; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidates; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloidosis  
Nerve, disease  
(familial amyloidotic polyneuropathy; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors of  $\beta$ -secretase-mediated cleavage of APP; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Surface area  
(mol., total polar surface area; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Combination chemotherapy

Down's syndrome

Drug bioavailability

Drug delivery systems

Human

Lipophilicity

Prion diseases

(preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Paralysis

(pseudobulbar, dementia associated with; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Brain, disease

Prion diseases

(scrapie; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

( $\beta$ -, production inhibitors; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 861859-71-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-

isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide

861859-85-0P, N-[3-[[4-(3-tert-Butylphenyl)tetrahydropyran-4-

yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide

861860-16-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-

(thiophen-3-yl)phenyl]cyclohexyl]amino]propyl]acetamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); USES (Uses)

(drug candidate, brain uptake; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 861859-72-5P, N-[3-[[1-(3-tert-Butylphenyl)-4-oxocyclohexyl]amino]-

1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-73-6P,

N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-(pyrazol-1-

yl)phenyl]cyclohexyl]amino]propyl]acetamide 861859-74-7P

861859-75-8P, N-[3-[[1-(3-tert-Butylphenyl)-4-

methoxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide

861859-78-1P, N-[3-[[1-(3-tert-Butylphenyl)-4-

methysulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-

hydroxypropyl]acetamide 861859-79-2P,

N-[4-[[1-(3-tert-Butyl-5-fluorophenyl)cyclohexyl]amino]-1-(3,5-

difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-04-0P,

N-[4-[[1-(3-tert-Butylphenyl)-4-(hydroxymethyl)cyclohexyl]amino]-1-(3,5-

difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-08-4P,

N-[3-[[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amino]-1-(3,5-

difluorobenzyl)-2-hydroxypropyl]acetamide 861860-18-6P,

N-[4-[[1-(3-Amino-5-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-

difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-19-7P,

N-[1-(3,5-Difluorobenzyl)-3-[[1-[3-(furan-3-yl)phenyl]cyclohexyl]amino]-2-

hydroxypropyl]acetamide 861860-20-0P, N-[1-(3,5-Difluorobenzyl)-

2-hydroxy-3-[[1-(thiophen-3-yl)cyclohexyl]amino]propyl]acetamide

861860-21-1P, N-[1-(3,5-Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-3-

yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861860-22-2P,

- N-[3-[[1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide **861860-23-3P**,  
N-[4-[[1-[5-(Isopropyl)thiophen-3-yl]cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide **861860-24-4P**,  
N-[4-[[1-(5-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide **861860-25-5P**, N-[4-[[1-[5-(Isopropyl)thiophen-2-yl]cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide **861860-26-6P**, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[1-(thiophen-2-yl)cyclohexyl]amino]butan-2-yl]acetamide **861860-27-7P**, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[1-[5-(prop-1-en-2-yl)thiophen-2-yl]cyclohexyl]amino]butan-2-yl]acetamide **861860-28-8P**, N-[4-[[1-(4-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide **861860-29-9P**,  
N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[1-[4-(isopropyl)thiophen-2-yl]cyclohexyl]amino]butan-2-yl]acetamide **861860-30-2P**,  
N-[1-(3,5-Difluorophenyl)-4-[[1-[4-(ethyl)thiophen-2-yl]cyclohexyl]amino]-3-hydroxybutan-2-yl]acetamide **861860-31-3P**, N-[1-(3,5-Difluorophenyl)-4-[[1-[4-(ethynyl)thiophen-2-yl]cyclohexyl]amino]-3-hydroxybutan-2-yl]acetamide **861860-32-4P**, N-[1-(3,5-Difluorophenyl)-4-[[1-[5-(ethyl)thiophen-2-yl]cyclohexyl]amino]-3-hydroxybutan-2-yl]acetamide **861860-33-5P**, N-[1-(3,5-Difluorophenyl)-3-hydroxy-4-[[1-[4-(prop-1-en-2-yl)thiophen-2-yl]cyclohexyl]amino]butan-2-yl]acetamide  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)  
(drug candidate, lipophilicity, total polar surface area; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT **861860-17-5P**, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isobutylphenyl)cyclohexyl]amino]propyl]acetamide  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)  
(drug candidate, lipophilicity; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT **861859-70-3P**, N-[3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)  
(drug candidate, oral bioavailability, brain uptake; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)
- IT **861859-76-9P**, N-[4-[[1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide **861859-77-0P**, N-[4-[[1-(3-tert-Butylphenyl)-4-(hydroxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)  
(drug candidate, total polar surface area; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 676135-73-2P, 1-(1-Azidocyclohexyl)-3-tert-butylbenzene  
861857-83-2P, N-[(1S,2R)-3-[[1-(3-tert-Butyl-5-iodophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-88-7P, N-[(1S,2R)-3-[[8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-65-3P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid benzyl ester 861858-66-4P 861858-72-2P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxamide 861859-07-6P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-44-1P, N-[(1S,2R)-3-[[1-(5-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-45-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropenyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-48-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(trimethylsilyl)ethynyl]thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-49-6P, N-[(1S,2R)-3-[[1-[5-(1-Chlorovinyl)thiophen-2-yl]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-56-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-62-3P, N-[(1S,2R)-3-[[1-(4-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-63-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(isopropenyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-67-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(trimethylsilyl)ethynyl]thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-68-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[4-(ethynyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861933-56-4P, N-[(1S,2R)-3-[[4-Amino-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-83-7P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxy-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide.

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 676134-93-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676134-97-7P, N-[(1S,2R)-1-[3-(Hexyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-06-1P, N-[(1S,2R)-1-(3-Fluoro-4-hydroxybenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-13-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide  
676135-27-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]formamide hydrochloride  
676135-28-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]-2-fluoroacetamide hydrochloride  
676135-32-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]ethanethioamide hydrochloride  
676135-43-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-44-7P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride

676135-46-9P, N-[(1S,2R)-2-Hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]-1-[(thien-2-yl)methyl]propyl]acetamide hydrochloride 676135-49-2P, N-[(1S,2R)-2-Hydroxy-1-(3-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-50-5P, N-[(1S,2R)-1-(3-Fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-52-7P, N-[(1S,2R)-1-[3-(Heptyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-53-8P, N-[(1S,2R)-1-[3-[2-(2-Methoxyethoxy)ethoxy]-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride 676135-54-9P, N-[(1S,2R)-1-[3-(Allyloxy)-5-fluorobenzyl]-3-[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]acetamide 676135-75-4P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 676135-79-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclohexyl]amino]-2-hydroxypropyl]ethanamide 676135-80-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[3-(2,2-dimethylpropyl)phenyl]cyclohexyl]amino]-2-hydroxypropyl]ethanamide 676137-68-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[3-[4-(methyl)thiophen-2-yl]phenyl]cyclohexyl]amino]propyl]acetamide 676138-35-5P, [(1S,2R)-3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861857-80-9P, N-[(1S,2R)-3-[[1-(4-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-86-5P, N-[(1S,2R)-3-[[1-(3-Acetyl-5-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-87-6P, N-[(1S,2R)-3-[[1-(3-Amino-5-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-92-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-[3-(pyrazol-1-yl)phenyl]-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 861857-93-4P, N-[(1S,2R)-3-[[1-(3-tert-Butyl-5-methylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-98-9P, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)-1-(2-hydroxyethyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861857-99-0P, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)-1-(2-cyanoethyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-01-7P, N-[(2S,3R)-4-[[cis-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-02-8P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-03-9P, N-[(2S,3R)-4-[[trans-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-04-0P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-(methoxyamino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-06-2P, N-[(2S,3R)-4-[[cis-1-(3-tert-Butylphenyl)-4-(hydroxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-07-3P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-hydroxyaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-08-4P, N-[(2S,3R)-4-[[trans-1-(3-tert-Butylphenyl)-4-(hydroxyamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-09-5P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-hydroxyaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-11-9P, N-[(2S,3R)-4-[[cis-1-(3-tert-Butylphenyl)-4-aminocyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-12-0P,



N-[(1S,2R)-3-[[cis-4-(Amino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-13-1P, N-[(2S,3R)-4-[[trans-1-(3-tert-butylphenyl)-4-aminocyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-14-2P, N-[(1S,2R)-3-[[trans-4-(Amino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-15-3P, N-[(2S,3R)-4-[[cis-1-(3-tert-butylphenyl)-4-(methylamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-16-4P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-methylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-17-5P, N-[(2S,3R)-4-[[trans-1-(3-tert-butylphenyl)-4-(methylamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-18-6P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-methylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-20-0P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-21-1P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-22-2P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-23-3P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-methylsulfanylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-34-6P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-methoxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-35-7P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-methoxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-36-8P, N-[4-[[1-(3-tert-butylphenyl)-4-(trifluoromethyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861858-37-9P, 861858-41-5P, N-[3-[[1-(6-tert-butylpyrimidin-4-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-42-6P, N-[(1S,2R)-3-[[1-(3-tert-butylphenyl)-4-oxocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-45-9P, N-[(1S,2R)-3-[[1-(3-tert-butylphenyl)-4-cyanomethylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861858-46-0P, [4-[[1-(2S,3R)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexylidene]acetic acid methyl ester 861858-47-1P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-(3-methylureido)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-48-2P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-(3-methylureido)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-49-3P, N-[(1S,2R)-3-[[cis-1-(3-tert-butylphenyl)-4-[(methylsulfonyl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-50-6P, N-[(1S,2R)-3-[[trans-1-(3-tert-butylphenyl)-4-[(methylsulfonyl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-51-7P, 2-[4-[[1-(2R,3S)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexylidene]-N,N-dimethylacetamide 861858-52-8P, [cis-4-[[1-(2R,3S)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]carbamic acid methyl ester 861858-53-9P, [trans-4-[[1-(2R,3S)-3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]carbamic acid methyl ester 861858-60-8P, N-[(1S,2R)-3-[[1-(3-tert-butyl-5-fluorophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]ethanamide 861858-64-2P, N-[(1S,2R)-3-[[1-(3-tert-butylphenyl)-4,4-difluorocyclohexyl]amino]-1-(3,5-

difluorobenzyl)-2-hydroxypropyl]ethanamide trifluoroacetate  
861858-67-5P 861858-68-6P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid methyl ester 861858-69-7P, N-[3-[[1-Acetyl-3-(3-tert-butylphenyl)piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-70-0P, N-[3-[[3-(3-tert-Butylphenyl)-1-methylsulfonylpiperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-71-1P, N-[3-[[3-(3-tert-Butylphenyl)-1-(3-phenylpropionyl)piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-73-3P, N-[3-[[3-(3-tert-Butylphenyl)-1-hydroxypiperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-74-4P, N-[3-[[3-(3-tert-Butylphenyl)-1-[(piperidin-1-yl)carbonyl]piperidin-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-75-5P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid dimethylamide 861858-76-6P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid isopropylamide 861858-77-7P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid methylamide 861858-78-8P, 3-[[3-Acetylamino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-3-(3-tert-butylphenyl)piperidine-1-carboxylic acid benzylamide 861858-85-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(thiophen-3-yl)cyclohexyl]amino]propyl]acetamide 861858-92-6P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-99-3P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-08-7P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-hydroxy-3-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-17-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861859-22-5P, N-[(1S,2R)-3-[[1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-30-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropyl)thiophen-3-yl]cyclohexyl]amino]propyl]acetamide 861859-31-6P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]urea 861859-39-4P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-hydroxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861859-46-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-47-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(thiophen-2-yl)cyclohexyl]amino]propyl]acetamide 861859-50-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861859-64-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-(isopropyl)thiophen-2-yl]cyclohexyl]amino]propyl]acetamide 861859-65-6P, [(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[4-[(trimethylsilyl)ethynyl]thiophen-2-yl]cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861859-69-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[4-(ethyl)thiophen-2-yl]cyclohexyl]amino]-2-hydroxypropyl]acetamide 861859-80-5P, N-[1-(3,5-Difluorobenzyl)-3-[[4-(3-ethylphenyl)tetrahydropyran-4-yl]amino]-2-hydroxypropyl]acetamide 861859-81-6P, N-[2-Hydroxy-1-(4-hydroxybenzyl)-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide 861859-82-7P, N-[1-(3-Fluorobenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide 861859-83-8P, N-[1-(3-Fluoro-4-hydroxybenzyl)-2-

hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide  
861859-84-9P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide  
861859-86-1P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[4-(3-isopropylphenyl)-1,1-dioxohexahydrothiopyran-4-yl]amino]propyl]acetamide  
861859-87-2P, N-[3-[[1-Acetyl-4-(3-isopropylphenyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-88-3P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[4-(3-isopropylphenyl)-1-methylsulfonylpiperidin-4-yl]amino]propyl]acetamide  
861859-89-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[4-(3-isopropylphenyl)-1-(2,2,2-trifluoroacetyl)piperidin-4-yl]amino]propyl]acetamide  
861859-90-7P, N-[1-(3,5-Difluorobenzyl)-3-[[1-formyl-4-(3-isopropylphenyl)piperidin-4-yl]amino]-2-hydroxypropyl]acetamide  
861859-91-8P, N-[3-[[4-(3-tert-Butylphenyl)-1-methylsulfonylpiperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-92-9P, N-[3-[[4-(3-tert-Butylphenyl)-1-ethylsulfonylpiperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-93-0P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-hydroxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-94-1P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-hydroxycyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-95-2P 861859-96-3P, N-[4-[[4-(3-tert-Butylphenyl)piperidin-4-yl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861859-97-4P, 4-[[3-Acetyl-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)piperidine-1-carboxamide  
861859-98-5P, N-[3-[[4-Acetyl-amino-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861859-99-6P, N-[4-[[1-(3-tert-Butylphenyl)-4-(methylsulfinyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-00-6P, N-[(1S,2R)-3-[[cis-1-(3-tert-Butylphenyl)-4-hydroxy-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-01-7P, N-[(1S,2R)-3-[[trans-1-(3-tert-Butylphenyl)-4-hydroxy-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-02-8P 861860-03-9P, N-[4-[[1-(3-tert-Butylphenyl)-4-formamidocyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-05-1P, N-[3-[[8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-06-2P, N-[3-[[1-(3-tert-Butylphenyl)-4-cyanocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-07-3P, N-[4-[[1-(3-tert-Butylphenyl)-4-(methylsulfonyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-09-5P, N-[3-[[3-(3-tert-Butylphenyl)-8-oxabicyclo[3.2.1]oct-3-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-10-8P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-(3-(pyrazol-1-yl)phenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide  
861860-11-9P, N-[(2S,3R)-4-[[cis-1-(3-tert-Butylphenyl)-4-(trifluoromethyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-12-0P, N-[(2S,3R)-4-[[trans-1-(3-tert-Butylphenyl)-4-(trifluoromethyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-13-1P, N-[(2S,3R)-4-[[cis-1-(3-tert-Butylphenyl)-4-(methylsulfinyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-14-2P, N-[(2S,3R)-4-[[trans-1-(3-tert-Butylphenyl)-4-(methylsulfinyl)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide  
861860-15-3P, N-[3-[[4-(3-tert-Butylphenyl)-1-(2-cyanoethyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide  
861860-34-6P, N-[4-[[1-(3-tert-

Butylphenyl)-4-(methylamino)cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-35-7P, N-[4-[[1-(3-tert-Butylphenyl)-4-aminocyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-36-8P, N-[3-[[1-(3-tert-Butylphenyl)-4-hydroxy-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861860-37-9P, Methyl [4-[[3-acetamido-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]carbamate 861860-38-0P, N-[4-[[1-(3-tert-Butylphenyl)-4-[(methylsulfonylamino)methyl]cyclohexyl]amino]-1-(3,5-difluorophenyl)-3-hydroxybutan-2-yl]acetamide 861860-39-1P, 1-[4-[[3-Acetamido-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino]-4-(3-tert-butylphenyl)cyclohexyl]-3-methylurea 861860-40-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(4-methoxyphenyl)cyclohexyl]amino]propyl]acetamide 861860-41-5P, N-[1-(3-Fluoro-5-hydroxybenzyl)-2-hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide 861933-52-0P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-cyanocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861933-54-2P, N-[(1S,2R)-3-[[4-Acetylamino-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-58-6P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-formylaminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-61-1P, N-[(1S,2R)-3-[[4-(Acetylhydroxyamino)-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide trifluoroacetate 861933-63-3P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(thiazol-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-65-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyridin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-67-7P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyrimidin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-69-9P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(1H-pyrazol-3-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-71-3P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyrazin-2-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-73-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-[(pyridin-3-yl)amino]cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-75-7P, N-[(1S,2R)-3-[[4-[(3-Bromo-[1,2,4]thiadiazol-5-yl)amino]-1-(3-tert-butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-81-5P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-85-9P, N-[(1S,2R)-3-[[8-(3-tert-Butylphenyl)-2-oxo-1,3-dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861933-91-7P, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxymethylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 861859-61-2P, (3S,2R)-3-Amino-1-[[1-(4-bromothiophen-2-yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(drug candidate; preparation of N-(3-amino-2-hydroxypropyl)acetamides as

aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 9000-81-1, Acetyl cholinesterase 338454-52-7,  $\gamma$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors, codrugs; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 9028-35-7  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(inhibitors, statins, codrugs; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 78169-47-8, Aspartyl protease 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 56-37-1, Benzyltriethylammonium chloride 79-44-7, Dimethylcarbamoyl chloride 88-95-9, Phthaloyl dichloride 96-50-4, (Thiazol-2-yl)amine 98-59-9, p-Toluenesulfonyl chloride 100-52-7, Benzaldehyde, reactions 107-13-1, Acrylonitrile, reactions 108-94-1, Cyclohexanone, reactions 109-04-6, 2-Bromopyridine 109-12-6, (Pyrimidin-2-yl)amine 111-24-0, 1,5-Dibromopentane 111-25-1, 1-Bromohexane 288-13-1, Pyrazole 288-32-4, 1H-Imidazole, reactions 462-08-8, (Pyridin-3-yl)amine 501-53-1, Benzyl chloroformate 504-29-0, (Pyridin-2-yl)amine 507-19-7, tert-Butyl bromide 507-20-0, tert-Butyl chloride 530-62-1, 1,1'-Carbonyldiimidazole 591-18-4, 1-Bromo-3-iodobenzene 618-51-9, 3-Iodobenzoic acid 625-95-6, 3-Iodotoluene 629-04-9, 1-Bromoheptane 645-45-4, Benzenepropanoyl chloride 769-92-6, 4-tert-Butylaniline 872-31-1, 3-Bromothiophene 1007-26-7, Neopentylbenzene 1066-54-2, Trimethylsilylacetylene 1067-74-9, Methyl 2-(diethylphosphono)acetate 1121-76-2, 4-Chloropyridine 1-oxide 1461-22-9, Tributyltin chloride 1795-48-8, Isopropyl isocyanate 1820-80-0, (1H-Pyrazol-3-yl)amine 1878-69-9, 3-Iodophenylacetic acid 2725-82-8, 1-Bromo-3-ethylbenzene 2916-68-9, 2-Trimethylsilylethanol 3140-92-9, 2,4-Dibromothiophene 3141-27-3, 2,5-Dibromothiophene 3282-56-2, 1-tert-Butyl-4-nitrobenzene 3612-20-2, 1-Benzylpiperidin-4-one 3972-64-3, 1-Bromo-3-tert-butylbenzene 4331-54-8, 4-Methylcyclohexanecarboxylic acid 4630-82-4 4746-97-8, 1,4-Cyclohexanedione monoethylene ketal 4883-67-4, 2-Nitrocyclohexanone 5049-61-6, (Pyrazin-2-yl)amine 5369-19-7, 3-(tert-Butyl)aniline 5433-01-2, 3-Isopropylbromobenzene 13132-23-5, Neopentylmagnesium chloride 13293-59-9, 3-Methylcyclohexanecarboxylic acid 13482-23-0, 4-Methoxycyclohexanone 13939-69-0, 1-Piperidinecarbonyl chloride 14452-30-3, 3'-Iodoacetophenone 15486-96-1,  $\beta$ -Bromopropionyl chloride 15501-33-4, Neopentyl iodide 16205-98-4, 3-Oxocyclohexanecarboxylic acid 16664-12-3, Methyl N-(2-fluorophenyl)carbamate 29943-42-8, Tetrahydropyran-4-one 31938-07-5, 3-Bromobenzyl nitrile 33252-30-1, 2-Chloro-4-cyanopyridine 35779-04-5, 1-tert-Butyl-4-iodobenzene 36282-40-3, 3-Methoxyphenylmagnesium bromide 40114-49-6, 1-Benzylpiperidin-3-one 54149-17-6, 1-Bromo-2-(2-methoxyethoxy)ethane 56586-13-1, 2-Methylcyclohexanecarboxylic acid 66698-66-6, 6-tert-Butyl-2-mercaptopyrimidin-4-ol 75091-99-5, 4-Trifluoromethylcyclohexanone 80522-42-5, Triisopropylsilyl triflate 83631-23-6, Dioctyl (N,N-dimethylcarbamoylmethyl)phosphonate 100073-15-2, Tributylisopropenylstannane 116212-82-9, Neopentylzinc chloride 146374-27-8, 2-Methylpropane-2-sulfinamide 162536-85-8, tert-Butyl [(1S)-2-(4-hydroxyphenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate

162541-58-4, tert-Butyl [(1S)-2-[3-(benzyloxy)phenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 162607-15-0, (4-Methylthien-2-yl)boronic acid  
 179897-89-3, 5-Bromo-2-fluorobenzonitrile 205445-52-9,  
 (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid  
 597564-17-5, tert-Butyl [(1S)-2-[3-(benzyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-02-7, tert-Butyl [(1S)-2-[4-(benzyloxy)-3-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate  
 676135-45-8, tert-Butyl [(1S)-2-[3-(allyloxy)-5-fluorophenyl]-1-((2S)-oxiran-2-yl)ethyl]carbamate 676135-48-1, tert-Butyl [(1S)-1-((2S)-oxiran-2-yl)-2-(thien-2-yl)ethyl]carbamate 676135-51-6, tert-Butyl [(1S)-2-(3-fluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamate  
 676135-55-0, (4R)-6-Ethyl-3,4-dihydro-1H-isothiochromen-4-amine  
 2,2-dioxide 676137-69-2, N-[(1S,2R)-3-[[1-(3-Bromophenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-00-6, N-[(1S,2R)-3-[[4-(3-tert-Butylphenyl)piperidin-4-yl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-05-1, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-(methoxyimino)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-10-8, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-hydroxyiminocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-19-7, N-[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-oxocyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]acetamide 861858-24-4, [1-(3-tert-Butylphenyl)-4-methylsulfanylcyclohexyl]amine 861858-43-7, [8-(3-tert-Butylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine 861859-32-7, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol  
 dihydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

IT 2905-38-6P, N-Thioacetylphthalimide 3197-61-3P, 1-Formylimidazole  
 3438-49-1P, 6-tert-Butylpyrimidin-4-ol 6310-17-4P, 2-Bromo-1-tert-butyl-4-nitrobenzene 19136-36-8P, 4-Bromo-6-tert-butylpyrimidine  
 19235-89-3P, 4-Chloropyridine-2-carbonitrile 22428-87-1P,  
 1,4-Dioxaspiro[4.5]decan-8-ol 23510-98-7P, 4-Methylsulfanylcyclohexanone  
 23511-05-9P, Toluene-4-sulfonic acid 1,4-dioxaspiro[4.5]decan-8-yl ester  
 26110-96-3P, 1-(2,2-Dimethylpropyl)-4-nitrobenzene 27856-10-6P,  
 4-(2,2-Dimethylpropyl)phenylamine 29648-66-6P, 4-Methylenecyclohexanone  
 31590-84-8P, 2-Neopentylpyridine 42205-73-2P, 2-Cyano-4-tert-butylpyridine 51181-40-9P, 4-Methylcyclohexanecarboxylic acid methyl ester 51656-90-7P, 8-Methylene-1,4-dioxaspiro[4.5]decane 55103-51-0P,  
 8-Methylsulfanyl-1,4-dioxaspiro[4.5]decane 58164-02-6P,  
 1-tert-Butyl-3-iodobenzene 58313-23-8P, 3-Iodobenzoic acid ethyl ester  
 73812-15-4P, 1-(Thiophen-3-yl)cyclohexanecarboxylic acid 73858-68-1P,  
 1-(Thiophen-3-yl)cyclohexanecarboxylic acid methyl ester 94572-90-4P,  
 1-(3-tert-Butylphenyl)cyclohexanol 103275-21-4P, (3-Bromo-4-tert-butylphenyl)amine 111220-30-5P, 1-tert-Butyl-3-iodo-5-methylbenzene  
 125802-06-4P, [1-(3-Methoxyphenyl)cyclohexyl]amine hydrochloride  
 129373-04-2P, (4-tert-Butyl-2-fluorophenyl)amine 136811-68-2P,  
 1-(4-Bromothiophen-2-yl)cyclohexanol 136811-69-3P, 2-(1-Azidocyclohexyl)-4-bromothiophene 140410-47-5P, Benzyltriethylammonium Dichloriodate  
 148209-54-5P, 1-tert-Butyl-3,5-diiodobenzene 161468-13-9P,  
 1-Fluoroacetylimidazole 173282-39-8P, (4-tert-Butyl-2,6-diiodophenyl)amine 388071-27-0P, [(1S)-2-(3,5-Difluorophenyl)-1-((2S)-oxiran-2-yl)ethyl]carbamic acid tert-butyl ester 388072-77-3P,  
 tert-Butyl [(1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropyl]carbamate  
 388072-80-8P, tert-Butyl [(1S,2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 473567-47-4P, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propionic acid methyl ester



502649-73-2P, (3-Iodophenyl)acetic acid methyl ester 530080-31-0P,  
5-Bromo-2-(1H-imidazol-1-yl)benzonitrile 623548-14-1P,  
(4-tert-Butyl-2-fluorophenyl)carbamic acid methyl ester 676133-28-1P,  
1-(3-Ethylphenyl)cyclohexanol 676133-29-2P, 1-(1-Azidocyclohexyl)-3-  
ethylbenzene 676133-30-5P, [1-(3-Ethylphenyl)cyclohexyl]amine  
676134-90-0P, 1-(3-Isopropylphenyl)cyclohexanamine hydrochloride  
676134-91-1P, 1-(3-Isopropylphenyl)cyclohexanol 676134-92-2P,  
1-(3-Isopropylphenyl)cyclohexyl azide 676134-94-4P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676134-95-5P,  
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]butan-2-ol dihydrochloride  
676134-96-6P, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]butan-2-ol 676134-98-8P,  
tert-Butyl [(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]propyl]carbamate 676135-00-5P,  
N-[(1S,2R)-1-[3-(Benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[[1-(3-  
isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-01-6P, N-[(1S,2R)-1-(3-Hydroxy-5-fluorobenzyl)-2-hydroxy-3-  
[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide hydrochloride  
676135-08-3P, N-[(1S,2R)-1-[3-Fluoro-4-(benzyloxy)benzyl]-2-  
hydroxy-3-[[1-(3-isopropylphenyl)cyclohexyl]amino]propyl]acetamide  
hydrochloride 676135-10-7P, 8-(3-Isopropylphenyl)-1,4-  
dioxaspiro[4.5]decan-8-amine acetate 676135-11-8P, 8-(3-Isopropylphenyl)-  
1,4-dioxaspiro[4.5]decan-8-ol 676135-12-9P, 8-(3-Isopropylphenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl azide 676135-14-1P, tert-Butyl  
[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl]amino]propyl]carbamate 676135-15-2P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[8-(3-isopropylphenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl]amino]propyl]acetamide 676135-74-3P,  
[1-(3-tert-Butylphenyl)cyclohexyl]amine 676135-76-5P,  
[1-(3-Ethynylphenyl)cyclohexyl]amine 676135-77-6P, 1-(3-  
Bromophenyl)cyclohexanamine 676136-14-4P, 2-Cyano-4-isopropylpyridine  
676136-15-5P, 2-Cyano-6-neopentylpyridine 676136-16-6P,  
2-Cyano-4-neopentylpyridine 676136-17-7P, 4-Cyano-2-neopentylpyridine  
676136-54-2P, 6-(2,2-Dimethylpropyl)-4-oxo-3,4-dihydro-2H-quinoline-1-  
carboxylic acid benzyl ester 676136-66-6P, 2-(1H-Imidazol-1-yl)-5-  
isobutylbenzonitrile 676138-32-2P, 1-(3-Bromophenyl)cyclohexanecarbonitr  
ile 676138-33-3P, 1-(3-Bromophenyl)cyclohexanecarboxamide 676138-34-4P  
729559-16-4P, 2-Methylpropane-2-sulfinic acid cyclohexylideneamide  
853645-60-0P, 1-(5-Bromothiophen-2-yl)cyclohexanol 861857-49-0P,  
5-(2,2-Dimethylpropyl)-2-(imidazol-1-yl)benzonitrile 861857-50-3P,  
4-(S)-Amino-6-(2,2-dimethylpropyl)-3,4-dihydro-2H-quinoline-1-carboxylic  
acid benzyl ester 861857-51-4P, 3-Bromo-N-[4-(2,2-  
dimethylpropyl)phenyl]propionamide 861857-52-5P, 1-[4-(2,2-  
Dimethylpropyl)phenyl]azetidin-2-one 861857-53-6P, 6-(2,2-  
Dimethylpropyl)-2,3-dihydro-1H-quinolin-4-one 861857-54-7P,  
6-(2,2-Dimethylpropyl)-4-(R)-hydroxy-3,4-dihydro-2H-quinoline-1-carboxylic  
acid benzyl ester 861857-55-8P, 4-(S)-Azido-6-(2,2-dimethylpropyl)-3,4-  
dihydro-2H-quinoline-1-carboxylic acid benzyl ester 861857-56-9P,  
2-Methylpropane-2-sulfinic acid [1-(3-tert-butyl-5-  
iodophenyl)cyclohexyl]amide 861857-57-0P, [1-(3-tert-Butyl-5-  
iodophenyl)cyclohexyl]amine hydrochloride 861857-58-1P,  
3-(1-Aminocyclohexyl)benzoic acid ethyl ester 861857-59-2P,  
3-[1-[(2-Methylpropan-2-ylsulfinyl)amino]cyclohexyl]benzoic acid ethyl  
ester 861857-60-5P, 2-Methylpropane-2-sulfinic acid [1-(3-  
methoxyphenyl)cyclohexyl]amide 861857-61-6P, 861857-62-7P,  
2-Methylpropane-2-sulfinic acid N-(tetrahydropyran-4-ylidene)amide  
861857-63-8P, N-(4-(3-tert-Butylphenyl)-2H-tetrahydropyran-4-yl)-2-  
methylpropane-2-sulfinamide 861857-64-9P, [5-(2,2-Dimethylpropyl)-2-

(imidazol-1-yl)benzyl]amine dihydrochloride 861857-65-0P,  
5-Neopentyl-2-fluorobenzonitrile 861857-66-1P, 4-Amino-4-(3-tert-  
butylphenyl)piperidine-1-carboxylic acid benzyl ester 861857-67-2P,  
1-Benzyl-4-(3-tert-butylphenyl)piperidin-4-ol 861857-68-3P,  
N-[1-Benzyl-4-(3-tert-butylphenyl)piperidin-4-yl]-2-chloroacetamide  
861857-69-4P, 4-(3-tert-Butylphenyl)-4-(2-chloroacetyl amino)piperidine-1-  
carboxylic acid benzyl ester 861857-70-7P, [8-(3-tert-Butylphenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl]amine hydrochloride 861857-71-8P,  
2-Methylpropane-2-sulfinic acid N-(1,4-dioxaspiro[4.5]decan-8-  
ylidene)amide 861857-72-9P, 2-Methylpropane-2-sulfinic acid  
N-[8-(3-tert-butylphenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amide  
861857-73-0P, [8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine  
861857-74-1P, 2-Methylpropane-2-sulfinic acid N-[8-(3-bromophenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl]amide 861857-75-2P, [1-[3-[1,1-Dimethyl-2-  
(triisopropylsilyloxy)ethyl]phenyl]cyclohexyl]amine 861857-76-3P,  
2-(3-Iodophenyl)-2-methylpropionic acid methyl ester 861857-77-4P,  
2-(3-Iodophenyl)-2-methylpropan-1-ol 861857-78-5P, [2-(3-Iodophenyl)-2-  
methylpropoxy]triisopropylsilane 861857-79-6P, 2-Methylpropane-2-  
sulfinic acid [1-[3-[1,1-dimethyl-2-(triisopropylsilyloxy)ethyl]phenyl]c  
yclohexyl]amide 861857-81-0P, [1-(4-tert-Butylphenyl)cyclohexyl]amine  
hydrochloride 861857-82-1P, [(1S,2R)-3-[[1-(4-tert-  
Butylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 861857-84-3P,  
[(1S,2R)-3-[[1-(3-tert-Butyl-5-iodophenyl)cyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester  
861857-85-4P, (2R,3S)-3-Amino-1-[[1-(3-tert-butyl-5-  
iodophenyl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol  
861857-89-8P, [8-(3-Bromophenyl)-1,4-dioxaspiro[4.5]decan-8-yl]amine  
Hydrochloride 861857-90-1P, [(1S,2R)-3-[[8-(3-Bromophenyl)-1,4-  
dioxaspiro[4.5]decan-8-yl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 861857-91-2P,  
N-[(1S,2R)-3-[[1-(3-Bromophenyl)-4-oxocyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]acetamide 861857-94-5P,  
1-(3-tert-Butyl-5-methylphenyl)cyclohexanol 861857-95-6P,  
1-(1-Azidocyclohexyl)-3-tert-butyl-5-methylbenzene 861857-96-7P,  
[1-(3-tert-Butyl-5-methylphenyl)cyclohexyl]amine hydrochloride  
861857-97-8P, [(1S,2R)-3-[[1-(3-tert-Butyl-5-  
methylphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]carbamic acid tert-butyl ester 861858-25-5P,  
[1-(4-Bromo-3-tert-butylphenyl)cyclohexyl]amine hydrochloride  
861858-26-6P, (5-Bromo-4-tert-butyl-2-iodophenyl)amine 861858-27-7P,  
1-Bromo-2-tert-butyl-4-iodobenzene 861858-28-8P, 1-(4-Bromo-3-tert-  
butylphenyl)cyclohexanol 861858-29-9P, 3-Amino-3-(3-tert-  
butylphenyl)piperidine-1-carboxylic acid benzyl ester 861858-30-2P,  
1-Benzyl-3-(3-tert-butylphenyl)piperidin-3-ol 861858-31-3P,  
N-[1-Benzyl-3-(3-tert-butylphenyl)piperidin-3-yl]-2-chloroacetamide  
861858-32-4P, 3-(3-tert-Butylphenyl)-3-(2-chloroacetyl amino)piperidine-1-  
carboxylic acid benzyl ester 861858-33-5P, [1-(3-tert-Butylphenyl)-4-  
methoxycyclohexyl]amine 861858-38-0P, [1-(3-tert-Butylphenyl)-4-  
trifluoromethylcyclohexyl]amine 861858-39-1P, [1-(6-tert-Butylpyrimidin-  
4-yl)cyclohexyl]amine 861858-54-0P, [1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexyl]amine hydrochloride 861858-55-1P,  
(4-tert-Butyl-2-fluoro-6-iodophenyl)amine 861858-56-2P,  
1-tert-Butyl-3-fluoro-5-iodobenzene 861858-57-3P, 1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexanol 861858-58-4P, 1-(1-Azidocyclohexyl)-3-tert-  
butyl-5-fluorobenzene 861858-59-5P, [1-(3-tert-Butyl-5-  
fluorophenyl)cyclohexyl]amine 861858-61-9P, 4-Amino-4-(3-tert-  
butylphenyl)cyclohexanone 861858-62-0P, [1-(3-tert-Butylphenyl)-4,4-  
difluorocyclohexyl]amine 861858-79-9P, 1-(3-tert-Butylphenyl)-4-  
methylcyclohexanecarboxylic acid methyl ester 861858-80-2P,



1-(3-tert-Butylphenyl)-4-methylcyclohexanecarboxylic acid 861858-81-3P,  
[1-(3-tert-Butylphenyl)-4-methylcyclohexyl]amine 861858-82-4P,  
[1-(Thiophen-3-yl)cyclohexyl]amine 861858-83-5P,  
[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(thiophen-3-yl)cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861858-84-6P,  
(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(thiophen-3-yl)cyclohexyl]amino]butan-2-ol 861858-86-8P, 3-Methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861858-87-9P, 1-(3-tert-Butylphenyl)-3-methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861858-88-0P, 1-(3-tert-Butylphenyl)-3-methylcyclohexanecarboxylic acid 861858-89-1P, [1-(3-tert-Butylphenyl)-3-methylcyclohexyl]amine 861858-90-4P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861858-91-5P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-3-methylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861858-93-7P, 2-Methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861858-94-8P, 1-(3-tert-Butylphenyl)-2-methylcyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861858-95-9P, 1-(3-tert-Butylphenyl)-2-methylcyclohexanecarboxylic acid 861858-96-0P, [1-(3-tert-Butylphenyl)-2-methylcyclohexyl]amine 861858-97-1P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861858-98-2P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-2-methylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-00-9P, 3-Oxocyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861859-01-0P, 3-Methylenecyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861859-02-1P, 1-(3-tert-Butylphenyl)-3-methylenecyclohexanecarboxylic acid 2-(trimethylsilyl)ethyl ester 861859-03-2P, 1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amine 861859-04-3P, [1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amine 861859-05-4P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-3-methylenecyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-06-5P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-3-methylenecyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-09-8P, 1-(2,5-Dibromothiophen-3-yl)cyclohexanecarboxylic acid methyl ester 861859-10-1P, 1-[2-Bromo-5-((trimethylsilyl)ethynyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-11-2P, 1-[2-Bromo-5-(ethynyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-12-3P, 1-[5-(Ethyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-13-4P, 1-[5-(Ethyl)thiophen-3-yl]cyclohexanecarboxylic acid 861859-14-5P, [1-[5-(Ethyl)thiophen-3-yl]cyclohexyl]amine 861859-15-6P, [(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-16-7P, (3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-[5-(ethyl)thiophen-3-yl]cyclohexyl]amino]butan-2-ol 861859-18-9P, 1-(2,5-Dibromothiophen-3-yl)cyclohexanecarboxylic acid 861859-19-0P, [1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amine 861859-20-3P, [(1S,2R)-3-[[1-(2,5-Dibromothiophen-3-yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester 861859-21-4P, (3S,2R)-3-Amino-1-[[1-(2,5-dibromothiophen-3-yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-23-6P, 1-(5-Acetyl-2-bromothiophen-3-yl)cyclohexanecarboxylic acid methyl ester 861859-24-7P, 1-[2-Bromo-5-(isopropenyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-25-8P, 1-[5-(Isopropyl)thiophen-3-yl]cyclohexanecarboxylic acid methyl ester 861859-26-9P, 1-[5-(Isopropyl)thiophen-3-yl]cyclohexanecarboxylic acid 861859-27-0P, [1-[5-(Isopropyl)thiophen-3-yl]cyclohexyl]amine 861859-28-1P, [(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-[5-(isopropyl)thiophen-3-

yl]cyclohexyl]amino]propyl]carbamic acid tert-butyl ester 861859-29-2P,  
(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(5-(isopropyl)thiophen-3-  
yl]cyclohexyl]amino]butan-2-ol 861859-33-8P, Tributyl(3-tert-  
butylphenyl)stannane 861859-34-9P, Triacetoxy(3-tert-butylphenyl)lead  
861859-35-0P, 2-(3-tert-Butylphenyl)-2-nitrocyclohexanone 861859-36-1P,  
2-Amino-2-(3-tert-butylphenyl)cyclohexanol 861859-37-2P,  
[(1S,2R)-3-[[1-(3-tert-Butylphenyl)-2-hydroxycyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester  
861859-38-3P, 2-[[[(3S,2R)-3-Amino-4-(3,5-difluorophenyl)-2-  
hydroxybutyl]amino]-2-(3-tert-butylphenyl)cyclohexanol 861859-40-7P,  
2-(1-Azidocyclohexyl)-5-bromothiophene 861859-41-8P,  
[1-(5-Bromothiophen-2-yl)cyclohexyl]amine 861859-42-9P,  
[(1S,2R)-3-[[1-(5-Bromothiophen-2-yl)cyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester  
861859-43-0P, (3S,2R)-3-Amino-1-[[1-(5-bromothiophen-2-  
yl)cyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol 861859-51-0P,  
1-(3-tert-Butylphenyl)-4-methylenecyclohexanol 861859-52-1P,  
1-(1-Azido-4-methylenecyclohexyl)-3-tert-butylbenzene 861859-53-2P,  
[1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amine 861859-54-3P  
, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-methylenecyclohexyl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]carbamic acid tert-butyl ester  
861859-55-4P, (3S,2R)-3-Amino-1-[[1-(3-tert-butylphenyl)-4-  
methylenecyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol  
861859-57-6P, [4-Azido-4-(3-tert-butylphenyl)cyclohexyl]methanol  
861859-58-7P, [4-Amino-4-(3-tert-butylphenyl)cyclohexyl]methanol  
861859-59-8P, [1-(4-Bromothiophen-2-yl)cyclohexyl]amine  
861859-60-1P, [(1S,2R)-3-[[1-(4-Bromothiophen-2-  
yl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic acid  
tert-butyl ester 861859-66-7P, (3S,2R)-3-Amino-4-(3,5-difluorophenyl)-1-  
[[1-[4-(trimethylsilanylethynyl)thiophen-2-yl]cyclohexyl]amino]butan-2-ol  
861933-77-9P, [(1S,2R)-3-[[1-(3-tert-Butylphenyl)-4-  
methylcyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamic  
acid tert-butyl ester 861933-79-1P, (3S,2R)-3-Amino-1-[[1-(3-tert-  
butylphenyl)-4-methylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-2-ol  
861933-87-1P 861933-89-3P, (3S,2R)-3-Amino-1-[[1-(3-tert-  
butylphenyl)-4-hydroxymethylcyclohexyl]amino]-4-(3,5-difluorophenyl)butan-  
2-ol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent).

(preparation of N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease  
and beta secretase inhibitors for treating conditions associated with  
amyloidosis such as Alzheimer's disease)

IT 9025-26-7, Cathepsin D

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(selective inhibitors for  $\beta$ -secretase vs. CatD; preparation of  
N-(3-amino-2-hydroxypropyl)acetamides as aspartyl protease and beta  
secretase inhibitors for treating conditions associated with amyloidosis  
such as Alzheimer's disease)

IT 288584-07-6 288584-08-7 478686-67-8 512797-10-3 512797-11-4  
535952-73-9 790665-57-5

RL: PRP (Properties)

(unclaimed sequence; preparation of N-(3-amino-2-hydroxypropyl)acetamides as  
aspartyl protease and beta secretase inhibitors for treating conditions  
associated with amyloidosis such as Alzheimer's disease)

IT 861859-71-4P, N-[1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-  
isopropylphenyl)-4-oxocyclohexyl]amino]propyl]acetamide

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PREP

(Preparation); THU (Therapeutic use); PREP

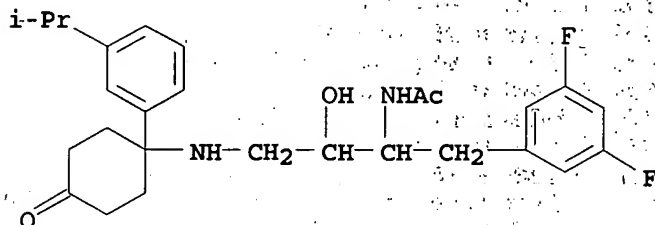
(Preparation); PREP (Preparation); USES (Uses)

(drug candidate, brain uptake; preparation of N-(3-amino-2-

hydroxypropyl)acetamides as aspartyl protease and beta secretase inhibitors for treating conditions associated with amyloidosis such as Alzheimer's disease)

RN 861859-71-4 HCAPLUS

CN Acetamide, N-[1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[1-[3-(1-methylethyl)phenyl]-4-oxocyclohexyl]amino]propyl]- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 4 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:564675 HCAPLUS

DN 143:97337

TI Preparation of tricyclic indole hydroxyethylamine derivatives and their use in the treatment of Alzheimer's disease

IN Redshaw, Sally; Demont, Emmanuel Hubert; Walter, Daryl Simon

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 61 pp

CODEN: PIXXD2

DT Patent

LA English

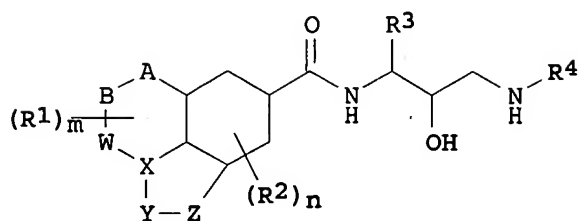
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005058915	A1	20050630	WO 2004-EP14076	20041209
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI GB 2003-28900 A 20031212

OS MARPAT 143:97337

GI



I

- AB The present invention relates to novel hydroxyethylamine compds. having Asp2 ( $\beta$ -secretase, BACE1 or Memapsin) inhibitory activity of formula I, processes for their preparation, to compns. containing them and to their use in the treatment of diseases characterized by elevated  $\beta$ -amyloid levels or  $\beta$ -amyloid deposits, particularly Alzheimer's disease (no data). The variables for I are A-B = -NR5-SO2- or -NR5-CO-; R5 = H, alkyl, alkenyl, alkynyl, cycloalkyl, alkylaryl, alkyl-heteroaryl, alkyl-heterocyclyl, cycloalkyl-aryl or cycloalkyl-heteroaryl; -W- = -CH2-, -(CH2)2-, -(CH2)3-, -C(H)=C(H)- or -CH2-C(H)=C(H)-; X-Y-Z = -C=CR8-NR9-; R8 = H, C1-6 alkyl or C3-10 cycloalkyl; R9 = any group given for R5, COOR12a, OR12a, OONR12aR13a, SO2NR12aR13a, CO-alkyl, CO-rings, SO2-alkyl and -SO2-rings (wherein R12a and R13a independently represent H, C1-6 alkyl or C3-10 cycloalkyl); R3 = alkyl, alkenyl, alkynyl, alkyl-cycloalkyl, alkylaryl, alkylheteroaryl or alkylheterocyclyl; R4 = any group given for R3, other ring systems, C(RaRb), CONH-alkyl, C(RaRb)-CONH-alkyl/ring, alkyl-S-C alkyl, C2-6 alkyl-NRcRd, C(RaRb)-alkyl/ring, alkyl-O-alkylaryl/alkyl/ring; Ra and Rb independently = H, C1-6 alkyl or Ra and Rb together with the carbon atom to which they are attached may form a C3-10 cycloalkyl or heterocyclyl group; Rc and Rd independently = H, C1-6 alkyl, C3-10 cycloalkyl or Rc and Rd together with the nitrogen atom to which they are attached form a heterocyclyl group; or a pharmaceutically acceptable salt or solvate thereof.
- IC ICM C07D513-06  
ICS A61K031-554; A61P025-28; C07D281-00; C07D209-00
- CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1
- ST tricyclic indole hydroxyethylamine deriv prepn Alzheimer disease treatment
- IT Drug delivery systems  
(preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of Alzheimer's disease)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Disease, animal  
(preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of diseases characterized by elevated  $\beta$ -amyloid levels)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -; preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of diseases characterized by elevated  $\beta$ -amyloid levels)
- IT 856696-20-3P, 1,6-Diethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of tricyclic indole hydroxyethylamine derivs.)

and their use in treatment of Alzheimer's disease)

IT 856696-21-4P, 1,6-Diethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-(methyloxy)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-23-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-(methyloxy)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-25-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-29-2P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl]methyl]amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-31-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-33-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(4-pyridinylmethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-35-0P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(3-pyridinylmethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-37-2P 856696-38-3P, 6-Ethyl-N-[(1S,2R)-3-[[[3-ethyl-5-isoxazolyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-40-7P, N-[(1S,2R)-3-(Cyclobutylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-42-9P, N-[(1S,2R)-3-[(4,4-Difluorocyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-44-1P, 6-Ethyl-N-[(1S,2R)-3-[(2-fluoroethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-45-2P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(2,2,3,3,3-pentafluoropropyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-47-4P, 6-Ethyl-N-[(1S,2R)-3-[[[5-ethyl-3-thienyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-49-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[2-(methyloxy)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-50-9P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(2,2,2-trifluoroethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-52-1P, 6-Ethyl-N-[(1S,2R)-3-(ethylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-54-3P, N-[(1S,2R)-3-[(Cyclopropylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-56-5P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-58-7P, N-[(1S,2R)-3-(3-Cyclopenten-1-ylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-60-1P, 6-Ethyl-N-[(1S,2R)-3-[[[2-(ethylthio)ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-62-3P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-

(trifluoromethyl)phenyl]methyl]amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-64-5P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-propylbutyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-66-7P, N-[(1S,2R)-3-[(4,4-Dimethylcyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-67-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(2-propyn-1-ylamino)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-69-0P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(2-propen-1-ylamino)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-71-4P, N-[(1S,2R)-3-[(3,3-Dimethylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-73-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(3,3,5,5-tetramethylcyclohexyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-74-7P 856696-75-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(propylamino)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-76-9P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(3,3,3-trifluoropropyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-77-0P, N-[(1S,2R)-3-[(2,2-Difluoroethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-79-2P, 6-Ethyl-N-[(1S,2R)-3-[(2-ethylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-81-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-83-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(2,2,6,6-tetramethylcyclohexyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-85-0P 856696-86-1P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(2-methylthio)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-87-2P 856696-89-4P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(2-methyl-2-propen-1-yl)amino]-1-(phenylmethyl)propyl]methyl]-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-91-8P, N-[(1S,2R)-3-(3-Buten-1-ylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-92-9P, N-[(1S,2R)-3-(Cycloheptylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856696-93-0P 856696-94-1P 856696-96-3P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(2-(propyloxy)ethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-98-5P, 6-Ethyl-N-[(1S,2R)-3-[(1-ethynylcyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856696-99-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(4-methylphenyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856697-01-3P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(1-methylcyclohexyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-

tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-03-5P, 6-Ethyl-N-[(1S,2R)-3-[(1-ethylcyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-05-7P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-propylcyclohexyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-07-9P, N-[(1S,2R)-3-[[2-[(1,1-Dimethylethyl)thio]ethyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-09-1P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[2-[(2,2,2-trifluoroethyl)oxy]ethyl]amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-11-5P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-(phenylamino)-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-13-7P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylphenyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-15-9P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(2-methylphenyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-17-1P, 6-Ethyl-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-19-3P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(3-methyl-2-buten-1-yl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-21-7P, 6-Butyl-N-[(1S,2R)-3-(cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-23-9P, N-[(1S,2R)-3-[(2-Chlorophenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-25-1P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[2-(methyloxy)phenyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-27-3P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[4-(methyloxy)phenyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-29-5P, N-[(1S,2R)-3-[(3-Chlorophenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-31-9P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-(methyloxy)phenyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-33-1P, N-[(1S,2R)-3-[(4-Chlorophenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-35-3P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-6-propyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-37-5P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-6-(1-methylethyl)-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-38-6P, N-[(1S,2R)-3-(Cyclopropylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856697-40-0P, N-[(1S,2R)-2-Hydroxy-3-[[[3-(methyloxy)phenyl)methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-6-propyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate



856697-42-2P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1,6-diethyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-44-4P,  
 N-[(1S,2R)-3-[(2,4-Dimethylphenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-46-6P,  
 N-[(1S,2R)-3-[[4-(Dimethylamino)phenyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-48-8P, N-[(1S,2R)-3-(2-Butyn-1-ylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-50-2P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-52-4P, N-[(1S,2R)-3-(Butylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-54-6P,  
 N-[(1S,2R)-3-[[2,3-Bis(methyloxy)phenyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-56-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)oxy]phenyl]methyl]amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-58-0P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[6-methyl-2-pyridinyl]methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-60-4P 856697-62-6P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[(1R)-1-methylpropyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-64-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[(1S)-1-methylpropyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-66-0P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(2-pyridinylmethyl)amino]propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-68-2P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[2-methyl-4-(methyloxy)phenyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-70-6P, 6-Ethyl-N-[(1S,2R)-3-[(1-ethylcyclopropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-72-8P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-(2-pentyn-1-ylamino)-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-74-0P, 6-Ethyl-N-[(1S,2R)-3-[(3-fluoropropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-75-1P, 6-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(1-methylcyclopropyl)amino]-1-(phenylmethyl)propyl]-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 856697-76-2P, 1,6-Diethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 856697-78-4P, N-[(1S,2R)-3-[(1,1-Dimethyl-2-propyn-1-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide formate 856697-79-5P, N-[(1S,2R)-3-(Cyclooctylamino)-2-hydroxy-1-(phenylmethyl)propyl]-6-ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide 857052-39-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of Alzheimer's disease)

IT 62-53-3, Aniline; reactions 75-03-6, Iodoethane 75-04-7, Ethylamine, reactions 75-30-9, 2-Iodopropane 90-04-0, 2-Methoxyaniline 95-51-2, 2-Chloroaniline 95-53-4, 2-Methylaniline, reactions 95-68-1, 2,4-Dimethylaniline 99-98-9, N,N-Dimethyl-1,4-benzenediamine 100-46-9, Benzylamine, reactions 102-50-1, 2-Methyl-4-(methyloxy)aniline 104-94-9, 4-Methoxyaniline 106-47-8, 4-Chloroaniline, reactions 106-49-0, 4-Methylaniline, reactions 106-94-5, 1-Bromopropane 107-10-8, Propylamine, reactions 107-11-9, 2-Propen-1-amine 107-85-7, (3-Methylbutyl)amine 108-42-9, 3-Chloroaniline 108-44-1, 3-Methylaniline, reactions 108-91-8, Cyclohexylamine, reactions 109-73-9, Butylamine, reactions 109-85-3, 406-34-8, (2-Fluoroethyl)amine 422-03-7, (2,2,3,3,3-Pentafluoropropyl)amine 430-67-1, (2,2-Difluoroethyl)amine 460-39-9, (3,3,3-Trifluoropropyl)amine 462-41-9, (3-Fluoropropyl)amine 513-49-5, ((1S)-1-Methylpropyl)amine 536-90-3, 3-Methoxyaniline 542-69-8, 1-Iodobutane 543-82-8, (1,5-Dimethylhexyl)amine 617-79-8, (2-Ethylbutyl)amine 753-90-2, (2,2,2-Trifluoroethyl)amine 765-30-0, Cyclopropylamine 822-98-0, [Bicyclo[2.2.1]hept-2-yl]amine 1622-32-8, 2-Chloro-1-ethanesulfonyl chloride 2450-71-7, 2-Propyn-1-amine 2516-34-9, Cyclobutylamine 2516-47-4, (Cyclopropylmethyl)amine 2524-49-4, 3-Buten-1-amine 2626-60-0, (1-Ethylcyclohexyl)amine 2740-83-2, [[3-(Trifluoromethyl)phenyl]methyl]amine 2878-14-0, 2-Methyl-2-propen-1-amine 2978-58-7, (1,1-Dimethyl-2-propyn-1-yl)amine 3731-51-9, (2-Pyridinylmethyl)amine 3731-52-0, (3-Pyridinylmethyl)amine 3731-53-1, (4-Pyridinylmethyl)amine 4442-85-7, (2-Cyclohexylethyl)amine 4637-24-5, 5071-96-5, 3-Methoxybenzylamine 5452-35-7, Cycloheptylamine 5452-37-9, Cyclooctylamine 6299-67-8, [2,3-Bis(methyloxy)phenyl]amine 6321-23-9, (4-Methylcyclohexyl)amine 6526-78-9, (1-Methylcyclohexyl)amine 6627-60-7, [(6-Methyl-2-pyridinyl)methyl]amine 13074-39-0, Tricyclo[3.3.1.1,3,7]decan-2-amine 13250-12-9, ((1R)-1-Methylpropyl)amine 13822-06-5, (3-Methyl-2-buten-1-yl)amine 15673-00-4, (3,3-Dimethylbutyl)amine 16533-71-4, 4-Methyl-3,5-dinitrobenzoic acid 16751-59-0, (1-Propylbutyl)amine 18542-42-2, [2-(Methylthio)ethyl]amine 20615-18-3, (4,4-Dimethylcyclohexyl)amine 22572-38-9, [2-[(1,1-Dimethylethyl)thio]ethyl]amine 22936-83-0, (1-Methylcyclopropyl)amine 24247-77-6, (2,2-Dimethylcyclohexyl)amine 25850-22-0, (2,2-Dimethyltetrahydro-2H-pyran-4-yl)amine 25952-53-8, 1-(3-Dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 27721-59-1, 3-Cyclopenten-1-ylamine 29765-86-4, (2,2,6,6-Tetramethylcyclohexyl)amine 30389-18-5, (1-Ethynylcyclohexyl)amine 32163-53-4, 1,1,5-Trimethylhexylamine 32939-18-7, (3,3,5,5-Tetramethylcyclohexyl)amine 36489-03-9, 2-(Ethylthio)ethanamine 38041-19-9, (Tetrahydro-2H-pyran-4-yl)amine 41282-40-0, 2-Butyn-1-amine 41282-41-1, 2-Pentyn-1-amine 42185-03-5, [2-(Propyloxy)ethyl]amine 56146-83-9, Methyl (chlorosulfonyl)acetate 68288-34-6, (1-Propylcyclohexyl)amine 93071-75-1, [[3-[(Trifluoromethyl)oxy]phenyl]methyl]amine 98737-29-2, 105939-65-9, [2-[(2,2,2-Trifluoroethyl)oxy]ethyl]amine 145689-96-9, [(3-Ethyl-5-isoxazolyl)methyl]amine 458566-84-2, (4,4-Difluorocyclohexyl)amine 785754-29-2, (1-Ethylcyclopropyl)amine 856696-07-6, [[1-(2,2,2-Trifluoroethyl)-1H-pyrazol-4-yl]methyl]amine 856696-08-7, [(5-Ethyl-3-thienyl)methyl]amine 856696-09-8, [[1-(Ethyl)-1H-pyrazol-4-yl]methyl]amine 856696-14-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of Alzheimer's disease)

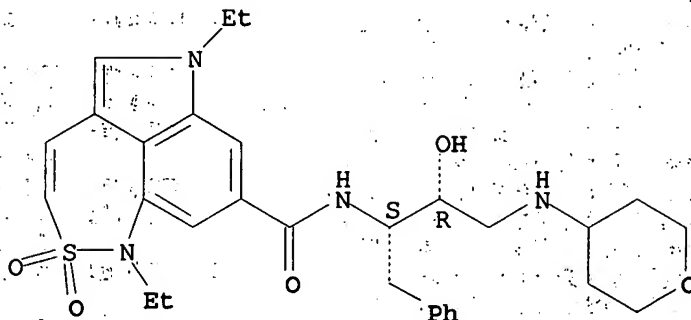
- IT 49592-71-4P, Methyl 4-methyl-3,5-dinitrobenzoate 121561-15-7P, Methyl 4-amino-1H-indole-6-carboxylate 388072-87-5P, [(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]carbamic acid tert-butyl ester 597562-13-5P, Methyl 4-[(E)-2-(dimethylamino)ethenyl]-3,5-dinitrobenzoate 790254-95-4P 856695-72-2P, Methyl 4-[(ethenylsulfonyl)amino]-1H-indole-6-carboxylate 856695-73-3P, Methyl 4-[(ethenylsulfonyl)(methyl)amino]-1H-indole-6-carboxylate 856695-74-4P, Methyl 4-[(ethenylsulfonyl)(ethyl)amino]-1H-indole-6-carboxylate 856695-75-5P, Methyl 3-bromo-4-[(ethenylsulfonyl)(methyl)amino]-1H-indole-6-carboxylate 856695-76-6P, Methyl 3-bromo-4-[(ethenylsulfonyl)(ethyl)amino]-1H-indole-6-carboxylate 856695-77-7P, Methyl 1-acetyl-3-bromo-4-[(ethenylsulfonyl)(methyl)amino]-1H-indole-6-carboxylate 856695-78-8P, Methyl 1-acetyl-3-bromo-4-[(ethenylsulfonyl)(ethyl)amino]-1H-indole-6-carboxylate 856695-80-2P 856695-81-3P 856695-82-4P 856695-83-5P, Methyl 4-[[[2-(methyloxy)-2-oxoethyl]sulfonyl]amino]-1H-indole-6-carboxylate 856695-84-6P 856695-85-7P 856695-86-8P 856695-87-9P 856695-88-0P 856695-89-1P 856695-90-4P, 6-Ethyl-1-methyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-3,8-dicarboxylic acid 2,2-dioxide 856695-91-5P, 1-Methyl-6-(1-methylethyl)-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-3,8-dicarboxylic acid 2,2-dioxide 856695-92-6P, 1-Methyl-6-propyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-3,8-dicarboxylic acid 2,2-dioxide 856695-93-7P, 6-Butyl-1-methyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-3,8-dicarboxylic acid 2,2-dioxide 856695-94-8P 856695-95-9P 856695-96-0P, 6-Ethyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856695-97-1P, 6-Ethyl-1-methyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856695-98-2P, 1,6-Diethyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856695-99-3P, 1-Methyl-6-(1-methylethyl)-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-00-9P, 1-Methyl-6-propyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-01-0P, 6-Butyl-1-methyl-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-02-1P, 1-Methyl-6-(1-methylethyl)-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-03-2P, 1-Methyl-6-propyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-04-3P, 6-Butyl-1-methyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-05-4P, 1,6-Diethyl-1,3,4,6-tetrahydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxylic acid 2,2-dioxide 856696-06-5P, 1,1-Dimethylethyl [(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]carbamate.
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of tricyclic indole hydroxyethylamine derivs. and their use in treatment of Alzheimer's disease)
- IT 158736-49-3,  $\beta$ -Secretase
- RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of tricyclic indole hydroxyethylamine derivs. with Asp2 protease inhibitory activity and use in treatment of diseases characterized by elevated  $\beta$ -amyloid levels)
- IT 856696-20-3P, 1,6-Diethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]-1,6-dihydro-[1,2]thiazepino[5,4,3-cd]indole-8-carboxamide 2,2-dioxide
- RL: PAC (Pharmacological activity); RCT (Reactant); PREP (Preparation); THU (Therapeutic use); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of tricyclic indole hydroxyethylamine derivs.)

and their use in treatment of Alzheimer's disease)

RN 856696-20-3 HCAPLUS

CN Pyrrolo[4,3,2-ef]-2,1-benzothiazepine-8-carboxamide, 1,6-diethyl-1,6-dihydro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(tetrahydro-2H-pyran-4-yl)amino]propyl]-, 2,2-dioxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 5 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:347017 HCAPLUS

DN 142:411343

TI Preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1

IN Auberson, Yves; Glatthar, Ralf; Salter, Rhys; Simic, Oliver; Tintelnot-Blomley, Marina

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA English

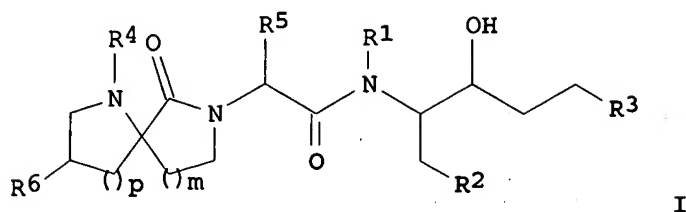
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005035535	A1	20050421	WO 2004-EP11054	20041004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

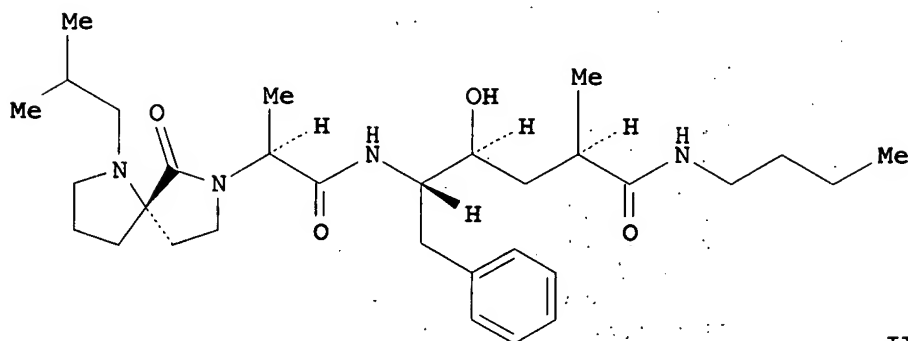
PRAI GB 2003-23204 A 20031003

OS MARPAT 142:411343

GI



I



II

- AB Title compds. I [R1 = H, alkyl; R2 = (cyclo)alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, alkoxy, etc.; R5 = H, alkyl; R6 = H, OH, halo; m, p = 1-2] are prepared For instance, II is prepared by the coupling of the saponified (2S)-2-[(5S)-1-isobutyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionic acid Me ester and (2R,4S,5S)-5-amino-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide (CH<sub>2</sub>Cl<sub>2</sub>, HOBT, Et<sub>3</sub>N, EDCI). In at least one assay of proteinase BACE1, BACE2, cathepsin D and inhibition of amyloid peptide, example compds. show activity at or below 20 μM and are useful in the treatment of vascular disorders.
- IC ICM C07D487-10
- CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s): 1, 63
- ST spirocyclic lactam bace1 amyloid peptide inhibitor cathepsin prepn
- IT Imaging agents  
(contrast, radiog.; preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)
- IT Blood vessel, disease  
Human  
Imaging agents  
Nervous system, disease  
(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(β-; preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)
- IT 9025-26-7, Cathepsin D 158736-49-3, Proteinase BACE1  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)
- IT 850426-73-2P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

IT 850426-28-7P, (2R,4S,5S)-4-Hydroxy-5-[[[(2S)-2-[(5S)-1-isobutyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-35-6P, (2R,4S,5S)-5-[[[(2S)-2-[(5S)-1-Cyclopropylmethyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-36-7P, (2R,4S,5S)-5-[[[(2S)-2-[(5S)-1-Propyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-37-8P, (2R,4S,5S)-5-[[[(2S)-2-[(5S)-1-Phenyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-38-9P, (2R,4S,5S)-5-[[[(2S)-2-[(5R)-1-Phenyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-39-0P, (2R,4S,5S)-4-Hydroxy-2-methyl-5-[[[(2S)-2-[(5S)-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-6-phenylhexanoic acid (2,2-dimethylpropyl)amide 850426-40-3P, (2R,4S,5S)-5-[[[(2S)-2-[(5S)-1-(2,2-Dimethylpropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-41-4P, (2R,4S,5S)-4-Hydroxy-5-[[[(2S)-2-[(5S)-1-(3-methoxypropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propanoyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-42-5P, (2R,4S,5S)-4-Hydroxy-5-[[[(2S)-2-[(5R)-1-(3-methoxypropyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-43-6P, (2R,4S,5S)-5-[[[(2S)-2-[(5R)-1-Propyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-44-7P, (2R,4S,5S)-4-Hydroxy-5-[[[(2S)-2-[(5S)-1-(2-fluoroethyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-45-8P, (2R,4S,5S)-5-[[[(2S)-2-[(5R)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-50-5P, (2S,4R,5R)-5-[[[(2S)-2-[(5R)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-51-6P, (2S,4R,5R)-5-[[[(2S)-2-[(5S)-1-Allyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-52-7P, (2S,4R,5R)-4-Hydroxy-5-[[[(2S)-2-[(5R)-1-(4-hydroxybutyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-55-0P, (2R,4S,5S)-4-Hydroxy-5-[[[(2S)-2-[(5S)-1-(4-hydroxybutyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-2-methyl-6-phenylhexanoic acid butylamide 850426-56-1P, 4-Hydroxy-5-[2-[1-(4-hydroxybut-2-enyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionylamino]-2-methyl-6-phenylhexanoic acid butylamide 850426-59-4P, (2R,4S,5S)-5-[[[(2S)-2-[(3S,5S)-3-Fluoro-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionyl]amino]-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 850426-63-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-6-oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionamide 850426-67-4P 850426-68-5P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(3S,5S)-1-cyclopropylmethyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-69-6P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(3S,5S)-1-propyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionamide 850426-70-9P, (2S)-N-[(1S,2R)-1-Benzyl-3-[1-(3-bromophenyl)cyclopropylamino]-2-hydroxypropyl]-2-[(3S,5S)-1-cyclopropylmethyl-3-fluoro-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-71-0P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-1-(2-fluoroethyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide 850426-72-1P, (2S)-N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-2-[(5S)-1-cyclopropylmethyl-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propaneamide

850426-76-5P 850552-36-2P 850552-37-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

IT 78-84-2, Isobutyraldehyde 106-95-6, Allyl bromide, reactions 106-96-7, Prop-2-ynyl bromide 2491-20-5, L-Alanine methyl ester hydrochloride 6000-00-6, trans-4-Bromobut-2-enoic acid methyl ester 98737-29-2 104293-55-2, [(1S)-1-((2S,4R)-4-Methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamic acid tert-butyl ester 110207-94-8, 3-Isopropylbenzylamine 400724-21-2, (2R,4R)-1-(tert-Butoxycarbonyl)-2-allyl-4-(tert-butyldimethylsilyloxy)pyrrolidine-2-carboxylic acid methyl ester 850426-34-5, 1-(tert-Butoxycarbonyl)-2-(2-oxoethyl)pyrrolidine-2-carboxylic acid methyl ester 850426-49-2, 5-(1-Amino-2-phenylethyl)-3-methyldihydrofuran-2-one 850426-58-3, 4-Bromobut-2-en-1-ol 850426-65-2, (2S)-2-[(5S)-6-Oxo-1-propyl-1,7-diazaspiro[4.4]non-7-yl]propionic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

IT 537658-23-4P, (3R,5S)-5-((1S)-1-Amino-2-phenylethyl)-3-methyldihydrofuran-2-one 850426-29-8P, (2S)-2-[(5S)-1-Isobutyl-6-oxo-1,7-diazaspiro[4.4]nonan-7-yl]propionic acid methyl ester 850426-30-1P, (2R,4S,5S)-5-Amino-4-hydroxy-2-methyl-6-phenylhexanoic acid butylamide 950426-31-2P, (5S)-7-[(1S)-1-(Methoxycarbonyl)ethyl]-6-oxo-1,7-diazaspiro[4.4]nonan-1-carboxylic acid tert-butyl ester 850426-32-3P, (5R)-7-[(1S)-1-(Methoxycarbonyl)ethyl]-6-oxo-1,7-diazaspiro[4.4]nonane-1-carboxylic acid tert-butyl ester 850426-33-4P 850426-46-9P 850426-47-0P, (5R)-7-[(1S)-1-[(1S)-1-((2S,4R)-4-Methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamoyl]ethyl]-6-oxo-1,7-diazaspiro[4.4]nonane-1-carboxylic acid tert-butyl ester 850426-48-1P, (5R)-7-[(1S)-1-[(1R)-1-((2R,4S)-4-Methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamoyl]ethyl]-6-oxo-1,7-diazaspiro[4.4]nonane-1-carboxylic acid tert-butyl ester 850426-53-8P, 4-[(5R)-7-[(1S)-1-[(1S)-1-((2S,4R)-4-Methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamoyl]ethyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]butyric acid methyl ester 850426-54-9P, trans-4-[(5R)-7-[(1S)-1-[(1S)-1-((2S,4R)-4-Methyl-5-oxotetrahydrofuran-2-yl)-2-phenylethyl]carbamoyl]ethyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]but-2-enoic acid methyl ester 850426-57-2P, 2-[1-(4-Hydroxybut-2-enyl)-6-oxo-1,7-diazaspiro[4.4]non-7-yl]propionic acid methyl ester 850426-60-7P, (2R,4S)-1-(tert-Butoxycarbonyl)-4-fluoro-2-(2-oxoethyl)pyrrolidine-2-carboxylic acid methyl ester 850426-61-8P, (2R,4S)-1-(tert-Butoxycarbonyl)-2-Allyl-4-fluoropyrrolidine-2-carboxylic acid methyl ester 850426-62-9P, (2R,4R)-1-(tert-Butoxycarbonyl)-2-allyl-4-hydroxypyrrolidine-2-carboxylic acid methyl ester 850426-64-1P, (2R,3S)-3-Amino-1-[(3-isopropylbenzyl)amino]-4-phenylbutan-2-ol dihydrochloride 850426-66-3P, [(1S,2R)-1-Benzyl-2-hydroxy-3-(3-isopropylbenzylamino)propyl]carbamic acid tert-butyl ester 850426-74-3P, (2S)-2-[(5S)-6-Oxo-1-(prop-2-ynyl)-1,7-diazaspiro[4.4]non-7-yl]propionic acid methyl ester 850426-75-4P, (2S)-2-[(5S)-6-Oxo-1,7-diazaspiro[4.4]non-7-yl]propionic acid methyl ester hydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

IT 850426-67-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

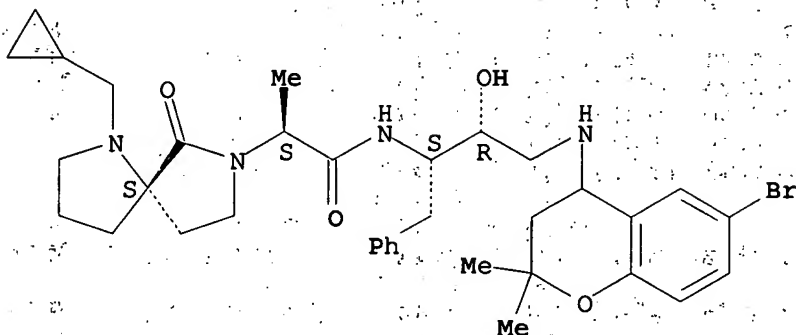


(preparation of substituted spirocyclic lactams as inhibitors of proteinase BACE1)

RN 850426-67-4 HCAPLUS

CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[(1S,2R)-3-[(6-bromo-3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-4-yl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1-(cyclopropylmethyl)- $\alpha$ -methyl-6-oxo-, ( $\alpha$ S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 6 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2005:281807 HCAPLUS

DN 142:349026

TI Inhibitors of serine proteases, particularly hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection

IN Cottrell, Kevin M.; Perni, Robert P.; Pitlik, Janos; Schairer, Wayne C.

PA Vertex Pharmaceuticals, Incorporated, USA

SO PCT Int. Appl., 141 pp.

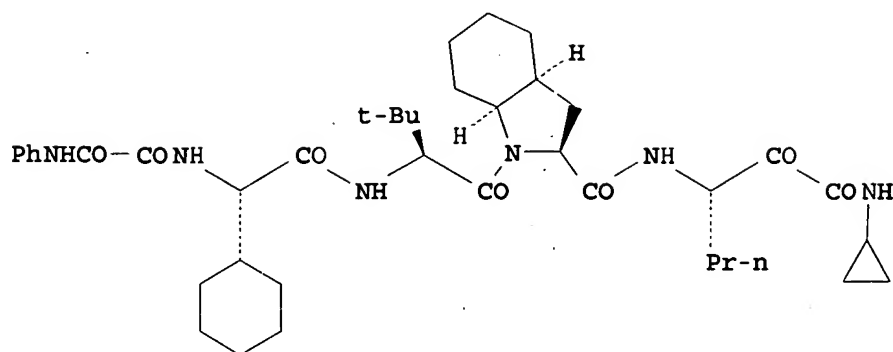
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005028502	A1	20050331	WO 2004-US30428	20040917
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2005119189	A1	20050602	US 2004-943265	20040917
PRAI US 2003-504405P	P	20030918		
OS MARPAT 142:349026				
GI				



AB The invention discloses compds., e.g. I, that inhibit serine protease activity, particularly the activity of hepatitis C virus NS3-NS4A protease. As such, they act by interfering with the life cycle of the hepatitis C virus and are useful as antiviral agents. The invention further discloses pharmaceutically acceptable compns. comprising the compds. of the invention either for ex vivo use or for administration to a patient suffering from HCV infection, as well as processes for preparing the compds. The invention also discloses methods for treating an HCV infection in a patient by administering a pharmaceutical composition comprising a compound of the invention.

IC ICM C07K005-10

ICS C07K005-08; A61K038-07; A61P031-12

CC 1-5 (Pharmacology)

Section cross-reference(s): 27, 63

ST hepatitis C virus treatment NS3 NS4A protease inhibitor; phenyloxalamide deriv prepn HCV protease inhibitor antiviral

IT Enzymes, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(RNA helicase, inhibitors; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)

IT Laboratory ware

(and garments; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)

IT Laboratories

(equipment; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)

IT Animal tissue

Antiviral agents

Biological materials

Blood

Blood preservation

Body fluid

Combination chemotherapy

Disinfectants

Drug delivery systems

Hepatitis C virus

Human

Immunomodulators

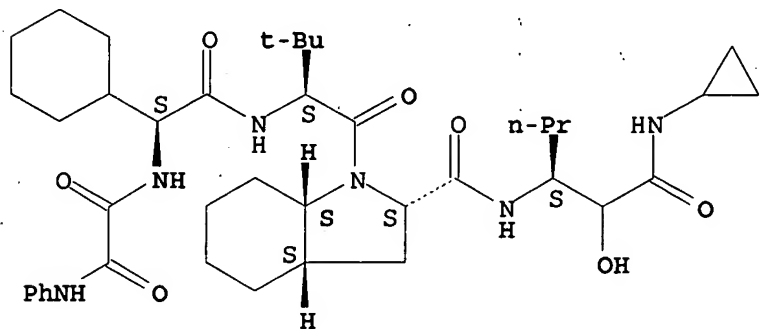
Medical goods

(serine protease inhibitors, particularly for hepatitis C virus

- NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT Surgery  
(surgical instruments and garments; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT Infection  
(viral; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT Interferons  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\alpha$ ; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT Interferons  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\beta$ ; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT Interferons  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
( $\gamma$ ; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 9026-28-2, RNA-dependent RNA polymerase 9035-51-2, Cytochrome P 450, biological studies 37259-58-8, Serine protease 149885-80-3, Hepatitis C protease  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 81669-70-7, Metalloproteinase  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (of HCV, inhibitors; serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 615583-64-7P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 849101-06-0 849101-07-1 849101-08-2 849101-09-3 849101-10-6  
849101-11-7 849101-12-8 849101-13-9 849101-14-0 849101-15-1  
849101-16-2  
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 849101-17-3P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(serine protease inhibitors, particularly for hepatitis C virus

- NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 768-94-5, Amantadine 3424-98-4, Telbivudine 36791-04-5, Ribavirin 61512-21-8, Thymosin 155213-67-5, Ritonavir  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 62965-10-0 69901-75-3 109523-13-9 402960-19-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 1457-85-8P, Ethyl oxanilate 615583-12-5P 849101-21-9P 849101-24-2P 849101-25-3P 849101-26-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 500-72-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- IT 849101-17-3P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(serine protease inhibitors, particularly for hepatitis C virus NS3-NS4A protease, preparation methods, and use in treatment of HCV infection)
- RN 849101-17-3 HCAPLUS
- CN 1H-Indole-2-carboxamide, 2-oxo-N-phenylglycyl-(2S)-2-cyclohexylglycyl-3-methyl-L-valyl-N-[(1S)-1-[2-(cyclopropylamino)-1-hydroxy-2-oxoethyl]butyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 7 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2005:141008 HCAPLUS  
DN 142:240329

TI A preparation of dibenz[b,f]oxepincarboxamide derivatives, useful for the treatment of neurological and vascular disorders related to  $\beta$ -amyloid generation and aggregation

IN Auberson, Yves; Betschart, Claudia; Flohr, Stefanie; Glatthar, Ralf; Simic, Oliver; Tintelnot-Blomley, Marina; Troxler, Thomas J.; Vangrevelinghe, Eric; Veenstra, Siem Jacob

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 47 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005014517	A2	20050217	WO 2004-EP8283	20040723
	WO 2005014517	A3	20050428		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	GB 2003-17491	A	20030725		
OS	MARPAT 142:240329				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a preparation of dibenz[b,f]oxepincarboxamide derivs. of formula I [wherein: X is O, NH, C(O), or N(alkyl), etc.; Y is CH or N; A and B are each H or together form a second bond between the carbon atoms to which they are attached; R1 is H or alkyl; R2 is (cyclo)alkyl, (hetero)aryl, or cycloalkylalkyl; R3 is CH(alkyl)C(O)-1-piperidinyl, (CH2)0-2-4-morpholinyl, or CH(alkyl)C(O)-NH(aryl), etc.; R4, R5, R6, R7, R8, and R9 are independently selected from H, alkyl, alkoxy, CN, or halogen, etc.], useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation (no biol. data). For instance, dibenz[b,f]oxepincarboxylic acid amide derivative II was prepared via Pd-catalyzed hydrogenation of III. The invention compds. are inhibitors of human BACE, BACE-2, and Cathepsin D, etc.

IC ICM C07C061-00

CC 27-21 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63

ST benzoxepin carboxamide prepn neurol vascular beta amyloid generation aggregation

IT Human  
Hydrogenation  
(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT Blood vessel, disease  
Nervous system, disease

(treatment of; preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

( $\beta$ -, inhibition; preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT 845671-12-7P 845671-17-2P 845671-18-3P 845671-20-7P 845671-21-8P  
 845671-22-9P 845671-23-0P 845671-24-1P 845671-26-3P 845671-27-4P  
 845671-28-5P 845671-29-6P 845671-30-9P 845671-31-0P 845671-32-1P  
 845671-34-3P 845671-36-5P 845671-38-7P 845671-39-8P 845671-40-1P  
 845671-41-2P 845671-42-3P 845671-44-5P 845671-45-6P 845671-46-7P  
 845671-47-8P 845671-48-9P 845671-49-0P 845671-50-3P 845671-51-4P  
 845671-52-5P 845671-53-6P 845671-54-7P 845671-58-1P 845671-60-5P  
 845671-62-7P 845671-63-8P 845671-64-9P 845671-65-0P 845671-67-2P  
 845671-68-3P 845671-69-4P 845671-70-7P 845671-72-9P 845671-74-1P  
 845671-76-3P 845671-78-5P 845671-80-9P 845671-81-0P 845671-82-1P  
 845671-83-2P 845671-84-3P 845671-85-4P 845671-86-5P 845671-87-6P  
 845671-89-8P 845671-91-2P 845671-93-4P 845671-94-5P  
 845671-95-6P 845671-96-7P 845671-98-9P 845672-00-6P  
 845672-02-8P 845672-03-9P 845672-04-0P 845672-05-1P 845672-06-2P  
 845672-07-3P 845672-08-4P 845672-09-5P 845672-10-8P  
 845672-11-9P 845672-12-0P 845672-13-1P  
 845672-14-2P 845672-15-3P 845672-16-4P  
 845672-18-6P 845672-19-7P 845672-20-0P  
 845672-22-2P 845672-24-4P 845672-26-6P  
 845672-28-8P 845672-30-2P 845672-32-4P 845672-41-5P  
 845672-54-0P 845672-57-3P 845672-60-8P 845673-27-0P 845673-28-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT 75-86-5 100-81-2, 3-Methylbenzylamine 495-69-2, Hippuric acid  
 497-23-4, 2(5H)-Furanone 4748-78-1, 4-Ethylbenzaldehyde 5586-73-2,  
 3,3-Diphenylpropylamine 32281-97-3 53921-70-3, Dibenz[b,f]oxepin-10-  
 carboxylic acid 63592-85-8 98737-29-2 109342-71-4 160721-23-3  
 165453-05-4 181716-68-7 819059-93-3 845671-25-2 845671-33-2  
 845671-35-4 845671-37-6 845671-43-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT 114077-83-7P 388073-95-8P 790255-52-6P 824429-03-0P 824429-06-3P  
 824429-07-4P 824429-12-1P 845671-13-8P 845671-14-9P 845671-15-0P  
 845671-16-1P 845671-19-4P 845671-55-8P 845671-56-9P 845671-57-0P  
 845671-61-6P 845672-34-6P 845672-39-1P 845672-43-7P 845672-44-8P  
 845672-47-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT 845672-51-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

IT 845671-93-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dibenz[b,f]oxepincarboxamide derivs. useful for the treatment of neurol. and vascular disorders related to  $\beta$ -amyloid generation and aggregation)

RN 845671-93-4 HCAPLUS

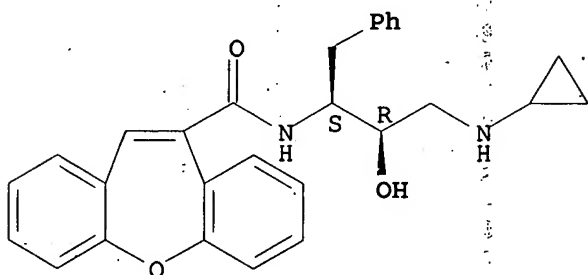
CN Dibenz[b,f]oxepin-10-carboxamide, N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-1-(phenylmethyl)propyl]-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 845671-92-3

CMF C28 H28 N2 O3

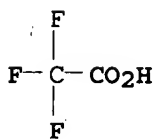
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L35 ANSWER 8 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1068279 HCAPLUS

DN 142:177112

TI Design and synthesis of highly active Alzheimer's  $\beta$ -secretase (BACE1) inhibitors, KMI-420 and KMI-429, with enhanced chemical stability

AU Kimura, Toru; Shuto, Daisuke; Hamada, Yoshio; Igawa, Naoto; Kasai, Soko; Liu, Ping; Hidaka, Koushi; Hamada, Takashi; Hayashi, Yoshio; Kiso, Yoshiaki

CS Department of Medicinal Chemistry, Center for Frontier Research in Medicinal Science, Kyoto Pharmaceutical University, Yamashina-ku, Kyoto, 607-8412, Japan

SO Bioorganic & Medicinal Chemistry Letters (2005), 15(1), 211-215  
CODEN: BMCLE8; ISSN: 0960-894X



PB Elsevier B.V.  
DT Journal  
LA English  
AB Recently, we reported potent and small-sized BACE1 inhibitors KMI-358 and KMI-370 in which the Glu residue is replaced by a  $\beta$ -N-oxalyl-DAP ( $-\alpha,\beta$ -diaminopropionyl) residue at the P4 position. The  $\beta$ -N-oxalyl-DAP group is important for enhancing BACE1 inhibitory activity, but these inhibitors isomerized to  $\alpha$ -N-oxalyl-DAP derivs. in solvents. Hence, we used a tetrazole moiety as a bioisostere of the free carboxylic acid of the oxalyl group. KMI-420 and KMI-429, containing a tetrazole ring, showed improved stability and potent enzyme inhibitory activity.

CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 7

ST pseudopeptide solid phase synthesis Alzheimer secretase inhibitor stability isomerization; Alzheimer secretase inhibiting structure activity peptide BACE1 complex modeling

IT Structure-activity relationship  
(enzyme-inhibiting; synthesis and Alzheimer's beta secretase-inhibiting structure-activity relationship of prepared pseudopeptides)

IT Simulation and Modeling  
(modeled structure of complex of BACE1 with peptide KMI-429)

IT Solid phase synthesis  
(peptide; solid phase peptide synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT Peptides, preparation  
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(pseudopeptides; synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT Alzheimer's disease  
Anti-Alzheimer's agents  
Isomerization  
Stability  
(synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT 158736-49-3,  $\beta$ -Secretase 677325-38-1  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT 677325-37-0 832738-73-5  
RL: BSU (Biological study, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); BIOL (Biological study); PROC (Process)  
(synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT 753029-65-1P 753029-73-1P  
RL: BSU (Biological study, unclassified); CPS (Chemical process); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

IT 753029-61-7P 753030-11-4P 753030-13-6P 832738-74-6P 832738-75-7P  
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis of pseudopeptides as Alzheimer's beta secretase inhibitors with enhanced stability toward isomerization)

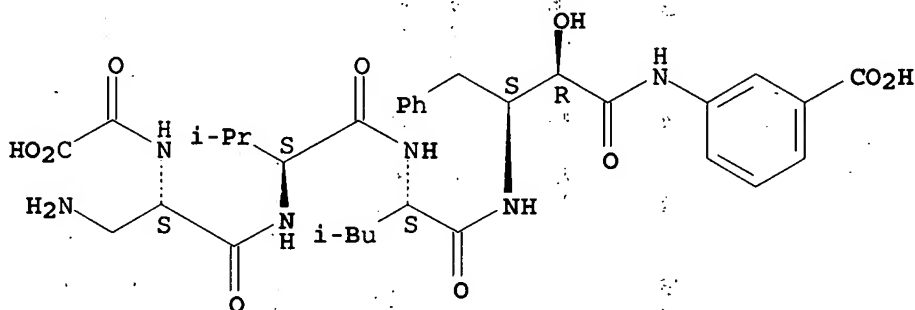
IT 515-94-6,  $\alpha,\beta$ -Diaminopropionic acid 75773-99-8,  
 1H-Tetrazole-5-carboxylic acid 122235-70-5 152699-63-3 185116-42-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (synthesis of pseudopeptides as Alzheimer's beta secretase  
 inhibitors with enhanced stability toward isomerization)

IT 753029-65-1P  
 RL: BSU (Biological study, unclassified); CPS (Chemical process); PEP  
 (Physical, engineering or chemical process); PREP (Preparation);  
 BIOL (Biological study); PREP (Preparation); PROC (Process)  
 (synthesis of pseudopeptides as Alzheimer's beta secretase  
 inhibitors with enhanced stability toward isomerization)

RN 753029-65-1 HCAPLUS

CN L-Leucinamide, 3-amino-N-(carboxycarbonyl)-L-alanyl-L-valyl-N-[(1S,2R)-3-  
 [(3-carboxyphenyl)amino]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 9 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1037102 HCAPLUS

DN 142:23513

TI Preparation of pyrrolopyridine-2-carboxylic acid amide as inhibitors of  
 glycogen phosphorylase

IN Bradley, Stuart Edward; Krulle, Thomas Martin; Murray, Peter John;  
 Procter, Martin James; Rowley, Robert John; Sambrook Smith, Colin Peter;  
 Thomas, Gerard Hugh

PA Osi Pharmaceuticals, Inc., USA; Schofield, Karen Lesley

SO PCT Int. Appl., 188 pp.  
 CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

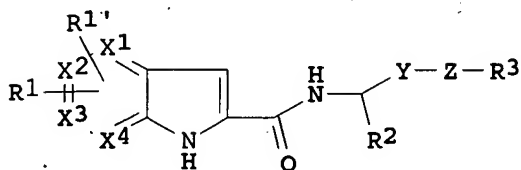
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004104001	A2	20041202	WO 2004-US16243	20040520
WO 2004104001	A3	20050303		

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 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

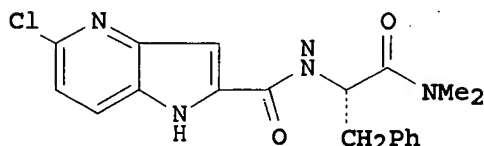
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EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

US 2005261272 A1 20051124 US 2004-851902 20040520  
 PRAI US 2003-472375P P 20030521  
 US 2004-551256P P 20040308  
 OS MARPAT 142:23513  
 GI



I



II

AB Heterocyclyl acyl amino acid derivs. I [one of X1-X4 is N and the others are C; R1, R1' are each independently halo, hydroxy, cyano, alkyl, alkoxy, fluoromethyl, ethenyl or ethynyl; R2 is alkyl or substituted alkyl, carboxy ester or acyl; Y is alkyl or CH(OH); Z is CH2, CO, O, (cyclo)alkylamino or absent, but when Y is CH(OH), Z or R3 must be bonded to Y through a carbon-carbon bond; R3 is H, carbalkoxy, alkoxy, alkyl, arylalkyl, alkylamino, etc.] or their stereoisomers or pharmaceutically-acceptable salts were prepared as inhibitors of glycogen phosphorylase and are useful in the prophylactic or therapeutic treatment of diabetes, hyperglycemia, hypercholesterolemia, hyperinsulinemia, hyperlipidemia, hypertension, atherosclerosis, etc. Thus, pyrrolo[3,2-b]pyridine-2-carboxylic acid L-phenylalaninamide derivative II was prepared via peptide coupling reaction and showed IC50 < 1 mM in the glycogen phosphorylase assay in vitro.

IC ICM C07D471-00

CC 34-2 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 7, 28

ST pyrrolopyridinecarboxylic acid amide amino acid prepn inhibitor glycogen phosphorylase; pyridinecarboxylic amide pyrrolo amino acid prepn inhibitor glycogen phosphorylase

IT Antiarteriosclerotics

(antiatherosclerotics; preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT Ischemia

(cardiac; preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT Lipids, biological studies

RL: BSU (Biological study, unclassified); BIOL (Biological study);  
(hyperlipidemia; preparation of pyrrolopyridinecarboxylic acid amide as  
inhibitors of glycogen phosphorylase)

IT Heart, disease  
(ischemia; preparation of pyrrolopyridinecarboxylic acid amide as inhibitors  
of glycogen phosphorylase)

IT Anticholesteremic agents  
Antidiabetic agents  
Atherosclerosis  
Diabetes mellitus  
Hypercholesterolemia  
Hyperglycemia  
(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of  
glycogen phosphorylase)

IT Peptides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of  
glycogen phosphorylase)

IT 50-99-7, Glucose, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study);  
(impaired glucose tolerance; preparation of pyrrolopyridinecarboxylic acid  
amide as inhibitors of glycogen phosphorylase)

IT 9035-74-9, Glycogen phosphorylase  
RL: BSU (Biological study, unclassified); BIOL (Biological study);  
(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of  
glycogen phosphorylase)

IT 800397-99-3P 800398-33-8P 800398-34-9P 800398-35-0P 800398-36-1P  
800398-37-2P 800398-38-3P 800398-42-9P 800399-22-8P 800399-23-9P  
800399-85-3P 800400-37-7P 800400-46-8P 800400-49-1P 800400-52-6P  
800400-69-5P 800400-84-4P 800400-89-9P 800400-95-7P 800400-97-9P  
800400-98-0P 800401-07-4P 800401-08-5P 800401-17-6P 800401-18-7P  
800401-22-3P 800401-35-8P 800401-36-9P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of  
glycogen phosphorylase)

IT 800397-93-7P 800397-96-0P 800397-97-1P 800397-98-2P 800398-00-9P  
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800400-88-8P	800400-90-2P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT 800400-91-3P	800400-92-4P	800400-93-5P	800400-94-6P	800400-96-8P
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800402-16-8P	800402-17-9P	800402-19-1P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT 62-53-3, Aniline, reactions	64-04-0, Phenethylamine	75-31-0,
Isopropylamine, reactions	75-65-0, 2 Methyl 2 propanol, reactions	
95-92-1, Diethyl oxalate	103-67-3, n Benzylmethylamine	103-76-4,
1-Piperazineethanol	104-94-9, p Anisidine	108-00-9,
2-Dimethylaminoethylamine	108-91-8, Cyclohexylamine, reactions	
109-01-3, 1 Methylpiperazine	109-55-7, n n-Dimethyl 1 3 propanediamine	
109-85-3	109-89-7, Diethylamine, reactions	109-96-6
Piperidine, reactions	110-91-8, Morpholine, reactions	111-42-2,
Iminodiethanol, reactions	111-95-5	120-43-4
4-Morpholinepropanamine	123-75-1, Pyrrolidine, reactions	123-90-0,
Thiomorpholine	124-40-3, Dimethylamine, reactions	127-17-3, Pyruvic
acid, reactions	141-43-5, Ethanolamine, reactions	156-87-6, 3-Amino 1

propanol 177-11-7, 1,4-Dioxo-8-azaspiro[4.5]decane 503-29-7, Azetidine 504-78-9, Thiazolidine 506-59-2, Dimethylamine hydrochloride 551-09-7 557-21-1, Zinc cyanide 613-89-8, 2-Oxophenethylamine 616-30-8, 3 Amino 1 2 propanediol 617-89-0, 2 Aminomethylfuran 622-26-4, 4-Piperidineethanol 623-33-6 657-36-3, 4 Trifluoromethylpiperidine 694-05-3 765-30-0, Cyclopropylamine 823-96-1 1003-03-8, Cyclopentylamine 1066-54-2, Trimethylsilylacetylene 1072-98-6, 2 Amino 5 chloropyridine 1074-82-4, Potassium phthalimide 1126-09-6 1534-90-3 1583-88-6, 4-Fluorophenethylamine 1664-40-0 1694-92-4, 2 Nitrophenylsulfonyl chloride 1758-46-9, 2 Phenoxyethylamine 2038-03-1, 2 Morpholinoethylamine 2045-79-6, 2 Methoxyphenethylamine 2516-34-9, Cyclobutylamine 2577-48-2 2632-13-5, 4-Methoxyphenacyl bromide 2799-21-5 3182-93-2 3312-60-5 3367-95-1 3378-71-0, 2 5 Dimethylpyrrolidine 3433-37-2, 2-Piperidinemethanol 3644-18-6 3731-52-0, 3 Aminomethylpyridine 4138-26-5, 3-Piperidinecarboxamide 4152-09-4, n Benzylethylenediamine 4318-37-0 4442-59-5 4543-96-8, n,n,n' Trimethyl 1 3 propanediamine 4553-21-3 4795-29-3 4897-50-1, 1,4'-Bipiperidine 5004-07-9 5006-62-2 5317-32-8, 1-Piperazinepropanol 5332-73-0, 3-Methoxypropylamine 5382-16-1, 4 Hydroxypiperidine 5382-17-2, 4-Hydroxypiperidine hydrochloride 5468-37-1, 2 Aminoacetophenone hydrochloride 5470-18-8, 2 Chloro 3 nitropyridine 5625-67-2, 2 Piperazinone 5832-44-0, 4 Methyl 3 nitropyridine 6221-12-1 6482-24-2, 1 Bromo 2 methoxyethane 6859-99-0, 3-Piperidinol 7663-77-6 13325-10-5, 4 Amino 1 butanol 13484-40-7, 1 2 Methoxyethylpiperazine 13734-34-4 13889-98-0, 1 Acetyl piperazine 14432-12-3, 4-Amino 2 chloropyridine 18542-42-2, 2-Methylthioethylamine 18621-18-6, 3 Hydroxyazetidine hydrochloride 21901-41-7, 2 Hydroxy 4 methyl 5 nitropyridine 23056-33-9, 2 Chloro 4 methyl 5 nitropyridine 23356-96-9 23995-88-2 26250-84-0 27757-85-3, 2 Aminomethylthiophene 28143-91-1 29943-42-8 30433-91-1, 2-Thiopheneethanamine 30818-11-2, 4 Acetyl piperidine 31970-04-4 34376-54-0, 1 4 Diazepan 5 one 37535-57-2 38041-19-9, 4 Aminotetrahydropyran 38533-61-8, 2 Chloro 6 methoxy 3 nitropyridine 39546-32-2, 4-Piperidinecarboxamide 41153-30-4 41661-47-6, 4-Piperidinone 41994-45-0 45644-21-1, 2 Amino 6 chloropyridine 50541-93-0, 1 Benzyl 4 piperidinamine 50800-92-5 51594-34-4 53427-65-9 53617-35-9 57260-71-6 59878-57-8 61903-11-5 62414-68-0 62937-45-5, D-Prolinamide 68327-03-7 68832-13-3 71239-85-5 72155-45-4 73874-95-0 74111-21-0 78197-27-0, 4 Fluoropiperidine 79099-07-3, 1 tert Butoxycarbonyl 4 piperidone 84025-81-0 87120-72-7 88708-40-1, 1 3 Methoxypropylpiperazine 90481-32-6 98977-36-7 100243-39-8, s 3 Hydroxypyrrolidine 101469-92-5 107438-51-7 109384-19-2 109431-87-0 112275-50-0 117142-26-4 122536-76-9 122536-77-0 131565-87-2, cis-3,4 Dihydroxypyrrolidine 131900-62-4 135632-53-0 175136-76-2 186393-31-7 202861-97-0 213993-31-8 388077-01-8 800402-13-5 800402-14-6 800402-15-7 800402-18-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT 970-56-9P 1074-99-3P 3239-85-8P 3239-86-9P 4045-25-4P, 4-Methoxypiperidine hydrochloride 5467-69-6P 7462-86-4P 17288-28-7P 17288-30-1P 17288-32-3P 17288-33-4P 17288-35-6P 17322-90-6P 18699-87-1P 22280-60-0P 22280-62-2P 23056-47-5P 24334-19-8P 24334-20-1P 28489-45-4P 39093-93-1P 40369-91-3P, 1,4-Dioxo-7-azaspiro[4.5]decane 50533-97-6P 64168-08-7P 65645-52-5P 70724-72-0P 74730-53-3P 78058-41-0P 78058-42-1P 78800-68-7P 82585-50-0P 82585-51-1P 86847-84-9P 92990-44-8P 98400-69-2P 122225-42-7P 123665-42-9P 131472-79-2P 136818-50-3P 139069-60-6P 139163-87-4P 147539-41-1P 171178-45-3P 180253-65-0P 186431-67-4P

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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

IT 800399-32-0P

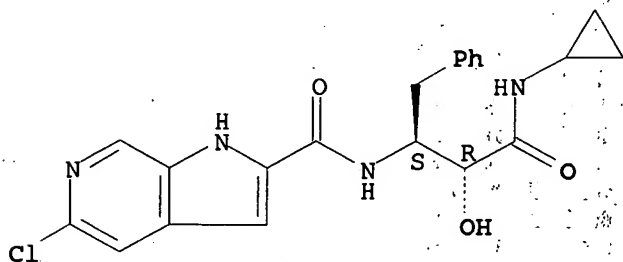
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolopyridinecarboxylic acid amide as inhibitors of glycogen phosphorylase)

RN 800399-32-0 HCAPLUS

CN 1H-Pyrrolo[2,3-c]pyridine-2-carboxamide, 5-chloro-N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 10 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:956793 HCAPLUS

DN 142:16237

TI Structure-Based Design of Potent and Selective Cell-Permeable Inhibitors of Human  $\beta$ -Secretase (BACE-1)

AU Stachel, Shawn J.; Coburn, Craig A.; Steele, Thomas G.; Jones, Kristen G.; Loutzenhiser, Elizabeth F.; Gregro, Alison R.; Rajapakse, Hemaka A.; Lai, Ming-Tain; Crouthamel, Ming-Chih; Xu, Min; Tugusheva, Katherine; Lineberger, Janet E.; Pietrak, Beth L.; Espeseth, Amy S.; Shi, Xiao-Ping; Chen-Dodson, Elizabeth; Holloway, M. Katharine; Munshi, Sanjeev; Simon, Adam J.; Kuo, Lawrence; Vacca, Joseph P.

CS Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SO Journal of Medicinal Chemistry (2004), 47(26), 6447-6450  
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 142:16237  
AB We describe the development of cell-permeable  $\beta$ -secretase inhibitors that demonstratively inhibit the production of the secreted amino terminal fragment of an artificial amyloid precursor protein in cell culture. In addition to potent inhibition in a cell-based assay ( $IC_{50} < 100$  nM), these inhibitors display impressive selectivity against other biol. relevant aspartyl proteases.

CC 1-3 (Pharmacology)  
Section cross-reference(s): 25

ST structure prepn benzylpropyl isophthalamide deriv beta secretase BACE1 inhibitor

IT Structure-activity relationship  
(enzyme-inhibiting; structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT Alzheimer's disease  
Anti-Alzheimer's agents  
Human  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 695216-22-9P 797035-11-1P 797035-13-3P  
797035-14-4P 797035-15-5P 797035-16-6P 797035-17-7P  
797035-18-8P  
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 787620-93-3DP, derivs.  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 62814-45-3P 695215-92-0P 695215-93-1P 695215-94-2P 695215-95-3P  
769119-45-1P  
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 74-88-4, Methyl iodide, reactions 99-27-4, Dimethyl 5-aminoisophthalate 124-63-0, Methanesulfonyl chloride 765-30-0, Cyclopropyl amine 3886-69-9, (R)-(+)- $\alpha$ -Methylbenzylamine 10147-37-2, Isopropylsulfonyl chloride 13360-57-1, Sulfamoyl chloride, dimethyl- 98737-29-2 374898-01-8, Benzenemethanamine, 4-fluoro- $\alpha$ -methyl-, ( $\alpha$ )- 388074-06-4 790255-27-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

IT 695215-96-4P 695215-98-6P



RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

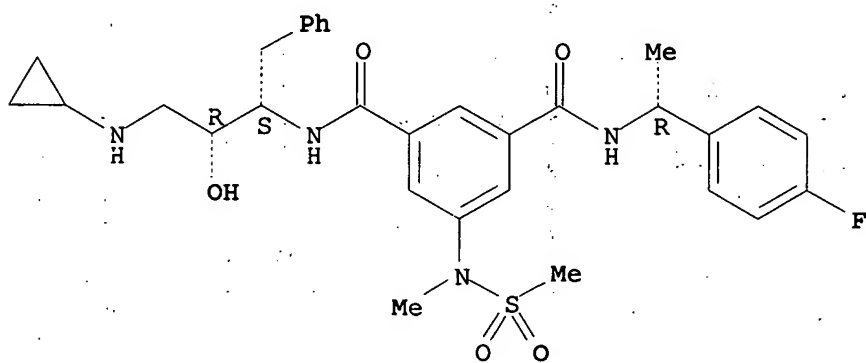
IT 695216-22-9P

RL: PAC (Pharmacological activity); PRP (Properties); PREP (Preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(structure-based design of potent and selective cell-permeable inhibitors of human  $\beta$ -secretase (BACE-1))

RN 695216-22-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-1-(phenylmethyl)propyl]-N'-[(1R)-1-(4-fluorophenyl)ethyl]-5-[methyl(methylsulfonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 11 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:927212 HCAPLUS

DN 141:395588

TI Preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease.

IN Demont, Emmanuel Hubert; Redshaw, Sally; Walter, Daryl Simon

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

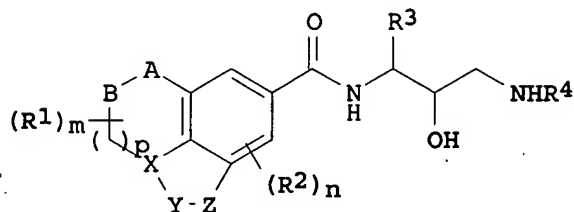
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004094430	A1	20041104	WO 2004-EP4244	20040421
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				

TD, TG  
 PRAI GB 2003-9221 A 20030423  
 OS MARPAT 141:395588  
 GI



AB Title compds. [I; R1, R2 = alkyl, alkenyl, halo, alkoxy, amino, cyano, OH; m, n = 0-2; p = 1, 2; AB = NR5SO2, NR5CO; R5 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, arylcycloalkyl, heteroaryl, heterocyclyl, etc.]; R3 = (substituted) alkyl, alkenyl, alkynyl, alkylcycloalkyl, alkylaryl, alkylheteroaryl, alkylheterocyclyl; R4 = H, (substituted) alkyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heteroaryl, heterocyclyl, alkylcycloalkyl, cycloalkylaryl, heterocyclylaryl, etc.], were prepared. Thus, 7-ethyl-2-oxo-1,2,3,4-tetrahydro[1,4]diazepino[3,2,1-hi]indole-9-carboxylic acid (preparation given), (2R,3S)-3-amino-1-(3-methoxybenzylamino)-4-phenylbutan-2-ol ditosylate, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole hydrate, and 4-ethylmorpholine were stirred 4 h in CH2Cl2/DMF to give 7-ethyl-2-oxo-1,2,3,4-tetrahydro[1,4]diazepino[3,2,1-hi]indole-9-carboxylic acid [(1S,2R)-1-benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]amide. I inhibited Asp-2 with IC50 <10  $\mu$ M.

IC ICM C07D487-14  
 ICS C07D513-06; A61K031-55; A61K031-554

CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))  
 Section cross-reference(s): 1, 63

ST hydroxydiaminopropyl tricyclic indolecarboxamide prepn amyloid related disease treatment; Asp2 inhibitor hydroxydiaminopropyl tricyclic indolecarboxamide prepn; diazepinoindolecarboxylic acid hydroxyaminopropylamide prepn

IT Drug delivery systems  
 Human  
 (preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease)

IT Amyloid  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 ( $\beta$ -, disease treatment; preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease)

IT 158736-49-3,  $\beta$ -Secretase  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors; preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease)

IT 790252-01-6P 790252-02-7P 790252-03-8P 790252-04-9P 790252-06-1P  
 790252-08-3P 790252-10-7P 790252-12-9P 790252-14-1P  
 790252-16-3P 790252-18-5P 790252-20-9P 790252-22-1P 790252-24-3P  
 790252-26-5P 790252-28-7P 790252-30-1P 790252-32-3P  
 790252-34-5P 790252-36-7P 790252-38-9P  
 790252-40-3P 790252-42-5P 790252-44-7P

790252-46-9P 790252-48-1P 790252-50-5P 790252-52-7P  
 790252-54-9P 790252-56-1P 790252-58-3P 790252-60-7P  
 790252-62-9P 790252-64-1P 790252-66-3P  
 790252-68-5P 790252-70-9P 790252-72-1P  
 790252-74-3P 790252-75-4P 790252-77-6P  
 790252-78-7P 790252-79-8P 790252-81-2P 790252-83-4P  
 790252-85-6P 790252-87-8P 790252-89-0P 790252-91-4P  
 790252-93-6P 790252-96-9P 790252-99-2P 790253-02-0P  
 790253-05-3P 790253-08-6P 790253-11-1P 790253-13-3P  
 790253-15-5P 790253-17-7P 790253-19-9P 790253-21-3P  
 790253-23-5P 790253-26-8P 790253-29-1P 790253-32-6P 790253-35-9P  
 790253-37-1P 790253-39-3P 790253-41-7P 790253-43-9P 790253-45-1P  
 790253-47-3P 790253-49-5P 790253-51-9P 790253-53-1P  
 790253-55-3P 790253-57-5P 790253-59-7P 790253-61-1P  
 790253-63-3P 790253-65-5P 790253-67-7P 790253-70-2P  
 790253-73-5P 790253-76-8P 790253-79-1P 790253-82-6P  
 790253-84-8P 790253-85-9P 790253-87-1P 790253-89-3P  
 790253-91-7P 790253-93-9P 790253-94-0P 790253-95-1P 790253-97-3P  
 790253-99-5P 790254-01-2P 790254-03-4P 790254-05-6P 790254-07-8P  
 790254-09-0P 790254-11-4P 790254-12-5P 790254-13-6P  
 790254-15-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease)

IT 74-89-5, Methylamine, reactions 75-03-6, Iodoethane 75-30-9, Isopropyl  
 iodide 79-08-3, Bromoacetic acid 98-80-6, Phenylboronic acid  
 100-39-0, Benzyl bromide 108-91-8, Cyclohexylamine, reactions  
 123-38-6, Propanal, reactions 141-53-7, Sodium formate 353-83-3,  
 1-Iodo-2,2,2-trifluoroethane 407-25-0, Trifluoroacetic anhydride  
 498-62-4, 3-Thiophenecarboxaldehyde 625-36-5, 3-Chloropropionyl chloride  
 1588-83-6, 4-Amino-3-nitrobenzoic acid 1622-32-8, 2-Chloroethanesulfonyl  
 chloride 2450-71-7, 2-Propynylamine 3518-65-8, Chloromethanesulfonyl  
 chloride 4784-77-4, 1-Bromo-2-butene 5042-29-5, (2,2,2-  
 Trifluoroethyl)hydrazine hydrochloride 5071-96-5, 3-Methoxybenzylamine  
 5292-43-3 5400-81-7, 4-Amino-3,5-diiodobenzoic acid ethyl ester  
 15761-38-3 34160-40-2, 6-Bromo-2-pyridinecarboxaldehyde 35553-92-5,  
 Ethyl 3-methoxyphenylacetate 95010-17-6 98737-29-2 179321-49-4,  
 1,1-Dimethylethyl (4-oxocyclohexyl)carbamate 388071-75-8 706795-07-5  
 761432-91-1 761432-94-4 790254-93-2 790254-94-3, 1,1-Dimethylethyl  
 [(1S,2R)-3-[(5-ethenyl-3-thienyl)methyl]amino]-2-hydroxy-1-  
 (phenylmethyl)propyl]carbamate 790254-95-4 790254-96-5 790254-97-6  
 790254-98-7 790254-99-8 790255-00-4 790255-02-6 790255-04-8  
 790255-06-0 790255-08-2 790255-10-6 790255-12-8 790255-14-0  
 790255-16-2 790255-18-4 790255-19-5 790255-21-9 790255-22-0  
 790255-24-2 790255-26-4 790255-28-6 790255-30-0 790255-31-1  
 790255-32-2 790255-34-4 790255-35-5 790255-36-6 790255-38-8  
 790255-39-9 790255-40-2 790255-42-4 790255-44-6 790255-45-7  
 790255-46-8 790255-48-0 790255-49-1 790255-50-4 790255-51-5  
 790255-53-7 790255-54-8 790255-56-0 790255-58-2 790255-59-3  
 790255-60-6 790255-61-7 790255-62-8 790255-64-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for treatment of  $\beta$ -amyloid related disease)

IT 627-39-4P 3987-92-6P, Methyl 4-amino-3-nitrobenzoate 17653-94-0P,  
 2-(3-Methoxyphenyl)-2-methylpropanoic acid 18791-79-2P,  
 5-Bromo-3-thiophenecarboxaldehyde 33958-26-8P, 1,1-Dimethylethyl  
 [(1S)-2-(cyclohexylamino)-1-methyl-2-oxoethyl]carbamate 92136-39-5P,  
 1,1-Dimethylethyl (2-propyn-1-yl)carbamate 105655-17-2P, Methyl

4-amino-3-bromo-5-nitrobenzoate 109138-28-5P, [1-(3-Methoxyphenyl)-1-methylethyl]amine 117820-89-0P 120186-97-2P 160232-54-2P,  
1,1-Dimethylethyl [(1S,2R)-2-hydroxy-3-(methylamino)-1-(phenylmethyl)propyl]carbamate 162536-42-7P, 1,1-Dimethylethyl [(1S,2R)-3-amino-2-hydroxy-1-(phenylmethyl)propyl]carbamate 162537-27-1P, Phenylmethyl [(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]carbamate hydrochloride 162541-78-8P 388072-87-5P,  
[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]carbamic acid tert-butyl ester 388072-98-8P, tert-Butyl [(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]carbamate 675112-67-1P,  
1,1-Dimethylethyl (4,4-difluorocyclohexyl)carbamate 706792-50-9P, Benzyl [1-(3-methoxyphenyl)-1-methylethyl]carbamate 706792-89-4P,  
3-Ethyl-7-iodo-1H-indole-5-carboxylic acid ethyl ester 706793-35-3P,  
1,1-Dimethylethyl [(3-ethyl-5-isoxazolyl)methyl]carbamate 706795-03-1P,  
(2R,3S)-3-Amino-1-(cyclohexylamino)-4-phenylbutan-2-ol dihydrochloride 706819-04-7P, 7-Amino-3-ethyl-1H-indole-5-carboxylic acid ethyl ester 728912-67-2P, Ethyl 2-(3-methoxyphenyl)-2-methylpropanoate 761432-06-8P,  
4-(But-2-enylamino)-3,5-diodobenzoic acid ethyl ester 761432-09-1P,  
7-Benzyloxycarbonylamino-3-ethyl-1H-indole-5-carboxylic acid ethyl ester 790254-16-9P, Methyl 3-bromo-5-nitro-4-[(trifluoroacetyl)amino]benzoate 790254-17-0P 790254-18-1P 790254-19-2P 790254-20-5P 790254-21-6P,  
Methyl 7-nitro-3-propyl-1H-indole-5-carboxylate 790254-22-7P, Methyl 7-amino-3-ethyl-1H-indole-5-carboxylate 790254-23-8P, Methyl 7-amino-3-propyl-1H-indole-5-carboxylate 790254-24-9P, Methyl 7-amino-3-(1-methylethyl)-1H-indole-5-carboxylate 790254-25-0P, Methyl 7-[(ethenylsulfonyl)amino]-3-propyl-1H-indole-5-carboxylate 790254-26-1P, Methyl 7-[(ethenylsulfonyl)amino]-3-(1-methylethyl)-1H-indole-5-carboxylate 790254-27-2P 790254-28-3P 790254-29-4P 790254-30-7P 790254-31-8P 790254-32-9P, 5-Ethenyl-3-thiophenecarboxaldehyde 790254-33-0P, 1-(2,2,2-Trifluoroethyl)-1H-pyrazole-4-carboxaldehyde 790254-34-1P, 7-(3-Chloropropanoylamino)-3-ethyl-1H-indole-5-carboxylic acid ethyl ester 790254-35-2P 790254-36-3P, [(3-Ethyl-5-isoxazolyl)methyl]amine hydrochloride 790254-37-4P 790254-38-5P, Methyl 7-ethyl-2-oxo-1,2,3,4-tetrahydro[1,4]diazepino[3,2,1-hi]indole-9-carboxylate 790254-39-6P 790254-40-9P 790254-42-1P 790254-43-2P 790254-44-3P 790254-45-4P 790254-46-5P 790254-47-6P 790254-48-7P 790254-49-8P 790254-50-1P, 6-Ethyl-1H-[1,2,5]thiadiazino[3,4,5-hi]indole-8-carboxylic acid methyl ester 2,2-dioxide 790254-51-2P, 6-Ethyl-1-methyl-1H-[1,2,5]thiadiazino[3,4,5-hi]indole-8-carboxylic acid methyl ester 2,2-dioxide 790254-52-3P, 7-Ethyl-2-oxo-1,2,3,4-tetrahydro[1,4]diazepino[3,2,1-hi]indole-9-carboxylic acid ethyl ester 790254-53-4P 790254-55-6P 790254-56-7P 790254-57-8P, 1,1-Dimethylethyl [(1S,2R)-1-[(3-chlorophenyl)methyl]-2-hydroxy-3-(methylamino)propyl]carbamate 790254-58-9P, 1,1-Dimethylethyl [(1S,2R)-1-[(3-fluorophenyl)methyl]-2-hydroxy-3-(methylamino)propyl]carbamate 790254-59-0P 790254-60-3P 790254-61-4P 790254-62-5P, 7-Ethyl-2-oxo-1,2,3,4-tetrahydro[1,4]diazepino[3,2,1-hi]indole-9-carboxylic acid 790254-63-6P, 7-Ethyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-64-7P, 7-Ethyl-1-methyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-65-8P, 7-Ethyl-1-phenyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-67-0P, 7-Ethyl-1,3-dimethyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-68-1P, 7-Ethyl-1-(phenylmethyl)-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-70-5P, 7-Ethyl-1-(1-methylethyl)-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide 790254-71-6P, 1,7-Diethyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-

hi]indole-9-carboxylic acid 2,2-dioxide 790254-73-8P,  
 1-Methyl-7-(1-methylethyl)-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-  
 hi]indole-9-carboxylic acid 2,2-dioxide 790254-74-9P,  
 7-Ethyl-1-(2,2,2-trifluoroethyl)-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-  
 hi]indole-9-carboxylic acid 2,2-dioxide 790254-75-0P,  
 1-Methyl-7-propyl-3,4-dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-  
 carboxylic acid 2,2-dioxide 790254-78-3P, 1-Ethyl-7-propyl-3,4-dihydro-  
 1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid 2,2-dioxide  
 790254-79-4P, 1-[2-[(1,1-Dimethylethyl)oxyl]-2-oxoethyl]-7-ethyl-3,4-  
 dihydro-1H-[1,2,5]thiadiazepino[3,4,5-hi]indole-9-carboxylic acid  
 2,2-dioxide 790254-81-8P, 6-Ethyl-1H-[1,2,5]thiadiazino[3,4,5-hi]indole-  
 8-carboxylic acid 2,2-dioxide 790254-83-0P, 6-Ethyl-1,3-dimethyl-1H-  
 [1,2,5]thiadiazino[3,4,5-hi]indole-8-carboxylic acid 2,2-dioxide  
 790254-85-2P, 6-Ethyl-1-methyl-1H-[1,2,5]thiadiazino[3,4,5-hi]indole-8-  
 carboxylic acid 2,2-dioxide 790254-86-3P, Phenylmethyl  
 [(2R,3S)-3-amino-4-(3-chlorophenyl)-2-hydroxybutyl]-N-methylcarbamate  
 hydrochloride 790254-87-4P, Phenylmethyl [(2R,3S)-3-amino-4-(3-  
 fluorophenyl)-2-hydroxybutyl]-N-methylcarbamate hydrochloride  
 790254-88-5P, Methyl 3-ethyl-7-nitro-1H-indole-5-carboxylate  
 790254-89-6P 790254-91-0P, Methyl 7-[(3-chloropropanoyl)amino]-3-ethyl-  
 1H-indole-5-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for  
 treatment of  $\beta$ -amyloid related disease)

IT 790252-10-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of hydroxydiaminopropyl tricyclic indolecarboxamides for  
 treatment of  $\beta$ -amyloid related disease)

RN 790252-10-7 HCAPLUS

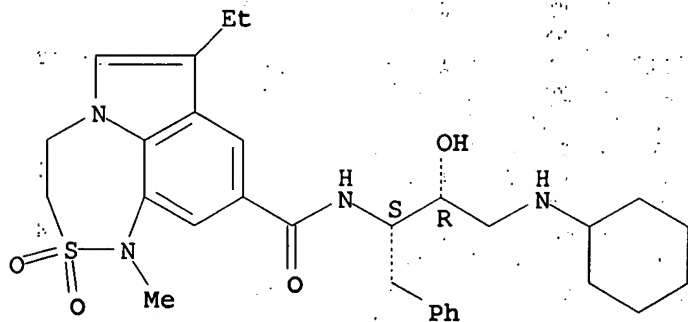
CN Formic acid, compd. with N-[(1S,2R)-3-(cyclohexylamino)-2-hydroxy-1-  
 (phenylmethyl)propyl]-7-ethyl-3,4-dihydro-1-methyl-1H-pyrrolo[1,2,3-ef]-  
 2,1,5-benzothiadiazepine-9-carboxamide 2,2-dioxide (1:1) (9CI) (CA INDEX  
 NAME)

CM 1

CRN 790252-09-4

CMF C30 H40 N4 O4 S

Absolute stereochemistry.



CM 2

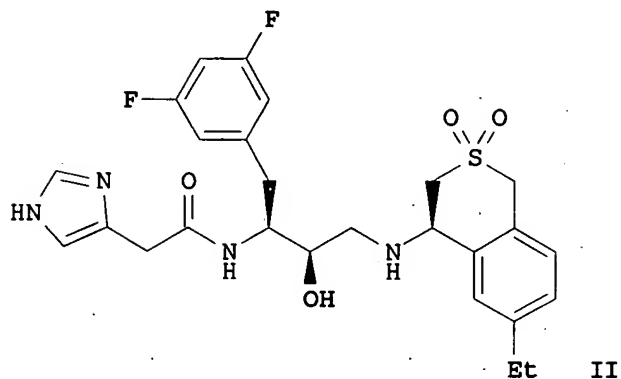
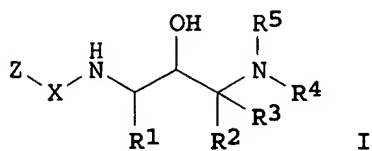
CRN 64-18-6  
CMF C H2 O2

O=CH-OH

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 12 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:927201 HCAPLUS  
DN 141:395188  
TI Preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
inhibitors of  $\beta$ -secretase  
IN Aquino, Jose; John, Varghese; Tucker, John A.; Hom, Roy; Pulley, Shon;  
Tenbrink, Ruth  
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
SO PCT Int. Appl., 106 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004094413	A1	20041104	WO 2004-US12384	20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054690	A1	20050310	US 2004-828582	20040421
PRAI US 2003-464676P	P	20030421		
OS MARPAT 141:395188				
GI				



- AB Title compds. I [Z = divalent (un)substituted alkyl; X = CO, SO<sub>2</sub>; R<sub>1</sub> = alkyl; R<sub>2-3</sub> = H, F, alkyl, etc.; R<sub>4</sub> = alkyl, cycloalkyl, etc.; R<sub>5</sub> = H, alkyl, alkoxy, etc.] are prepared For instance, the preparation of II from (R)-7-bromo-1,2,3,4-tetrahydro-1-naphthylamine•HCl (preparation given) is described in general procedures. I are inhibitors of  $\beta$ -secretase and useful for the treatment of Alzheimer's disease and other similar diseases and other diseases characterized by deposition of A $\beta$  peptide.
- IC ICM C07D409-12  
ICS C07D335-06; C07D413-12; C07D311-68; C07D215-42; C07C233-00; C07D213-40; C07D209-20; A61K031-382; A61K031-4025; A61K031-4436; A61K031-5377; A61K031-35; A61P025-28; A61P025-00
- CC 23-4 (Aliphatic Compounds)  
Section cross-reference(s): 1, 27, 63
- ST phenacyl hydroxy diaminoalkane secretase inhibitor prepn
- IT Brain, disease  
(amyloid angiopathy; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)
- IT Hemorrhage  
(cerebral; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)
- IT Mental and behavioral disorders  
(dementia; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)
- IT Mental and behavioral disorders  
(diffuse Lewy body disease; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)
- IT Brain, disease  
(hemorrhage; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)
- IT Alzheimer's disease  
Amyloidosis

Anti-Alzheimer's agents  
Antiparkinsonian agents  
Cognitive disorders  
Down's syndrome  
Human  
Parkinson's disease  
    (preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT Paralysis  
    (pseudobulbar; preparation of phenacyl-substituted 2-hydroxy-3-  
    diaminoalkanes as inhibitors of  $\beta$ -secretase)

IT Amyloid  
    RL: BSU (Biological study, unclassified); BIOL (Biological study)  
    ( $\beta$ -; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT 785829-17-6P 785829-19-8P 785829-21-2P  
    785829-23-4P 785829-25-6P 785829-27-8P  
    785829-29-0P 785829-31-4P 785829-33-6P  
    785829-35-8P 785829-37-0P 785829-39-2P  
    785829-41-6P 785829-43-8P 785829-45-0P 785829-47-2P  
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
    (Therapeutic use); BIOL (Biological study); PREP  
    (Preparation); USES (Uses)  
    (claimed compound; preparation of phenacyl-substituted 2-hydroxy-3-  
    diaminoalkanes as inhibitors of  $\beta$ -secretase)

IT 158736-49-3,  $\beta$ -Secretase  
    RL: BSU (Biological study, unclassified); BIOL (Biological study)  
    (preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT 527732-56-5P 527732-60-1P 527733-13-7P  
    785828-93-5P 785828-95-7P 785828-97-9P  
    785828-99-1P 785829-01-8P 785829-03-0P  
    785829-05-2P 785829-07-4P 785829-09-6P  
    785829-11-0P 785829-13-2P 785829-15-4P  
    785829-49-4P 785829-51-8P 785829-53-0P  
    785829-55-2P 785829-57-4P 785829-59-6P  
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
    (Therapeutic use); BIOL (Biological study); PREP  
    (Preparation); USES (Uses)  
    (preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT 108-94-1, Cyclohexanone, reactions 2725-82-8  
    RL: RCT (Reactant); RACT (Reactant or reagent)  
    (preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT 32281-97-3P, 7-Bromo-1-tetralone 651735-60-3P 676133-21-4P  
    676133-22-5P 676133-23-6P 676133-24-7P 676133-28-1P 676133-29-2P  
    676133-30-5P  
    RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
    (Reactant or reagent)  
    (preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as  
    inhibitors of  $\beta$ -secretase)

IT 790347-57-8 790347-58-9  
    RL: PRP (Properties)  
    (unclaimed protein sequence; preparation of phenacyl-substituted  
    2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8  
    478799-42-7 478799-43-8  
    RL: PRP (Properties)  
    (unclaimed sequence; preparation of phenacyl-substituted



2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)

IT 785829-17-6P

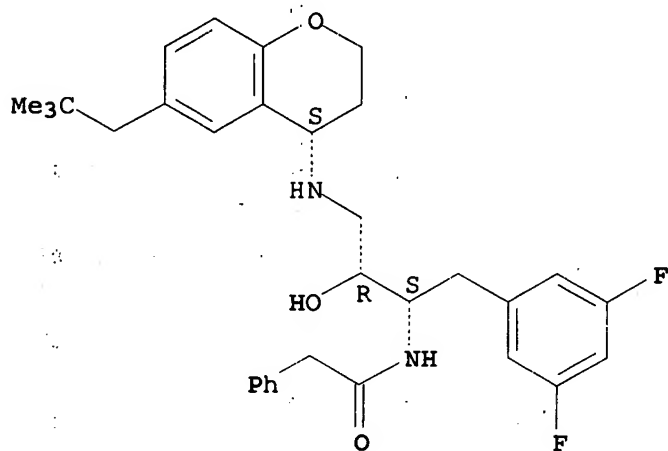
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of phenacyl-substituted 2-hydroxy-3-diaminoalkanes as inhibitors of  $\beta$ -secretase)

RN 785829-17-6 HCAPLUS

CN Benzeneacetamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[(4S)-6-(2,2-dimethylpropyl)-3,4-dihydro-2H-1-benzopyran-4-yl]amino]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 13 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:927177 HCAPLUS

DN 141:395294

TI Preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease

IN Aquino, Jose; John, Varghese; Tucker, John A.; Hom, Roy; Pulley, Shon; Tenbrink, Ruth

PA Elan Pharmaceuticals, Inc., USA; Pharmacia &amp; Upjohn Company

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

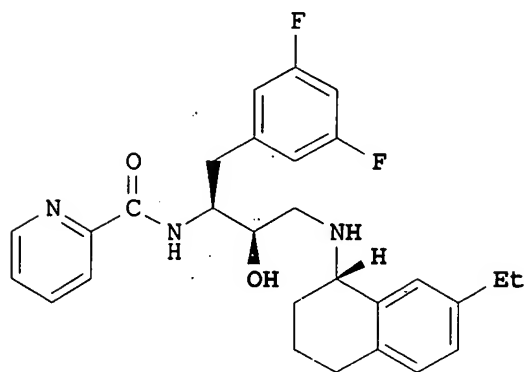
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094384	A2	20041104	WO 2004-US12197	20040421
WO 2004094384	A3	20050203		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,			

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
TD, TG

US 2005032848 A1 20050210 US 2004-829106 20040421  
PRAI US 2003-464687P P 20030421  
OS MARPAT 141:395294  
GI



- AB The present invention relates to 2-hydroxy-3-aminoalkylbenzamides, Z-X-NH-C(R1)-C(OH)-C(R2R3)-NR15Rc [I; Z = (un)substituted hetero/aryl, heterocyclyl; X = CO, SO<sub>2</sub>; R1 = (un)substituted alkyl; R2, R3 = independently H, F, (un)substituted alk(en/yn)yl, cycloalkyl; or R2CR3 = C3-C7-carbocycle, wherein one carbon is optionally replaced by O, S, SO<sub>2</sub>, etc.; R15 = H, (un)substituted alkoxy/hydroxy/halo/alkyl, alkoxy; Rc = (un)substituted (CH<sub>2</sub>)0-3-cycloalkyl, monocyclic or bicyclic ring, alkenyl, etc.] useful in treating Alzheimer's disease and similar diseases. These compds. include inhibitors of the beta-secretase enzyme (no data) that are useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal. The compds. of the invention are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation. 8 Synthetic examples of intermediates, characterization data for 11 examples, e.g. II, and another 18 claimed examples of I are included. General procedures for the preparation of compds. I are given. I displayed IC<sub>50</sub> values < 50 μM in a β-secretase inhibition assay. Selected I exhibited IC<sub>50</sub> < 5 μM in a cell free β-secretase inhibition assay.
- IC ICM C07D213-81  
ICS C07D241-24; C07D231-14; C07D249-10; C07D261-18; C07D233-90; C07D213-82; C07D333-38; C07D311-68; C07D215-46; C07C233-78; C07D335-06; A61K031-455; A61K031-47; A61K031-4995; A61P025-28
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1, 63
- ST hydroxyaminoalkyl benzamide prepn beta secretase inhibitor anti Alzheimers
- IT Alzheimer's disease  
(Lewy-body variant; preparation of hydroxyaminoalkyl benzamides as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease  
(amyloid angiopathy; preparation of hydroxyaminoalkyl benzamides as β-secretase inhibitors for treatment of Alzheimer's

- disease)
- IT Brain, disease  
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Amides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(aryl, drug candidate; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease  
(cortex, dementia associate with cortical basal degeneration; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Parkinson's disease  
(dementia associated with; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Mental and behavioral disorders  
(dementia, of mixed vascular and degenerative origin; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Cognition enhancers  
Cognitive disorders  
Down's syndrome  
Drug delivery systems  
Human  
(preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Paralysis  
(pseudobulbar, dementia associated with; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -, formation inhibitors; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT 527731-85-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl)amino]-2-hydroxypropyl]-3,5-dimethylbenzamide 527733-19-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl)amino]-2-hydroxypropyl]-4-(2-methoxyethyl)benzamide 789490-66-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]pyridine-2-carboxamide 789490-67-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]pyrazine-2-carboxamide 789490-68-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-1-ethyl-3-methyl-1H-pyrazole-5-carboxamide 789490-69-3P, 3-Amino-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-

2-hydroxypropyl]-1H-1,2,4-triazole-5-carboxamide 789490-70-6P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-5-methylisoxazole-3-  
carboxamide 789490-71-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-  
[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-6-  
hydroxypyridine-2-carboxamide 789490-72-8P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-  
2-hydroxypropyl]-1H-imidazole-4-carboxamide 789490-73-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-  
tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]nicotinamide  
789490-74-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1S)-7-ethyl-  
1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-hydroxypropyl]-1H-pyrazole-4-  
carboxamide 789490-75-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-  
[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-2-  
hydroxypropyl]isonicotinamide 789490-76-2P, 5-Chloro-N-[(1S,2R)-  
1-(3,5-difluorobenzyl)-3-[(1S)-7-ethyl-1,2,3,4-tetrahydronaphthalen-1-  
yl)amino]-2-hydroxypropyl]thiophene-2-carboxamide 789490-77-3P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-3,4-  
dihydro-2H-chromen-4-yl)amino]propyl]benzamide 789490-78-4P,  
N-[(1S,2R)-3-[(4S)-6-tert-Butoxy-3,4-dihydro-2H-chromen-4-yl)amino]-1-  
(3,5-difluorobenzyl)-2-hydroxypropyl]benzamide 789490-79-5P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4S)-6-neopentyl-1,2,3,4-  
tetrahydroquinolin-4-yl)amino]propyl]benzamide 789490-80-8P,  
N-[(1S,2R)-3-[(4S)-6-tert-Butoxy-1,2,3,4-tetrahydroquinolin-4-yl)amino]-1-  
(3,5-difluorobenzyl)-2-hydroxypropyl]benzamide 789490-81-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-7-neopentyl-1,2,3,4-  
tetrahydronaphthalen-1-yl)amino]propyl]benzamide 789490-82-0P,  
N-[(1S,2R)-3-[(1S)-7-tert-Butoxy-1,2,3,4-tetrahydronaphthalen-1-yl)amino]-  
1-(3,5-difluorobenzyl)-2-hydroxypropyl]benzamide 789490-83-1P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4R)-6-neopentyl-2,2-  
dioxido-3,4-dihydro-1H-isothiochromen-4-yl)amino]propyl]benzamide  
789490-84-2P, N-[(1S,2R)-3-[(4R)-6-tert-Butoxy-2,2-dioxido-3,4-  
dihydro-1H-isothiochromen-4-yl)amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]benzamide 789490-85-3P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[[1-(3-neopentylphenyl)cyclohexyl]amino]propyl  
]benzamide 789490-86-4P, N-[(1S,2R)-3-[[1-(3-tert-  
Butoxyphenyl)cyclohexyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]benzamide 789490-87-5P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[[1-(3-neopentylphenyl)cyclopropyl]amino]propyl  
]benzamide 789490-88-6P, N-[(1S,2R)-3-[[1-(3-tert-  
Butoxyphenyl)cyclopropyl]amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]benzamide 789490-89-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-  
2-hydroxy-3-[[4-neopentyl-1,1'-biphenyl-2-yl)methyl]amino]propyl]benzamid  
e 789490-90-0P, N-[(1S,2R)-3-[[4-tert-Butoxy-1,1'-biphenyl-2-  
yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]benzamide  
789490-91-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-  
neopentyl-9H-fluoren-9-yl)amino]propyl]benzamide 789490-92-2P,  
N-[(1S,2R)-3-[(2-tert-Butoxy-9H-fluoren-9-yl)amino]-1-(3,5-difluorobenzyl)-  
2-hydroxypropyl]benzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(drug candidate; preparation of 2-hydroxy-3-aminoalkylbenzamides as  
 $\beta$ -secretase inhibitors for treatment of Alzheimer's  
disease)

IT 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; preparation of hydroxyaminoalkyl benzamides as  $\beta$ -secretase  
inhibitors for treatment of Alzheimer's disease)

IT 32281-97-3P, 7-Bromo-1-tetralone 676133-21-4P, (R)-7-Ethyltetralin-1-ol

676133-22-5P, ((S)-7-Ethyl-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride 676133-23-6P, (R)-7-Bromotetralin-1-ol 676133-24-7P, ((S)-7-Bromo-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride 676133-28-1P, 1-(3-Ethylphenyl)cyclohexanol 676133-29-2P, 1-(1-Azidocyclohexyl)-3-ethylbenzene 676133-30-5P, [1-(3-Ethylphenyl)cyclohexyl]amine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

IT 108-94-1, Cyclohexanone; reactions 529-34-0, 1-Tetralone 2725-82-8, 1-Bromo-3-ethylbenzene 22531-06-2, 7-Ethyl-1-tetralone

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

IT 68449-30-9P, 5-Bromo-1-tetralone 789490-62-6P, (S)-7-Ethyltetralin-1-ol 789490-63-7P, ((R)-7-Ethyl-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride 789490-64-8P, (S)-7-Bromotetralin-1-ol 789490-65-9P, ((R)-7-Bromo-1,2,3,4-tetrahydro-1-naphthyl)amine hydrochloride

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 478686-67-8

512797-10-3 512797-11-4 535952-73-9 790665-57-5

RL: PRP (Properties)

(unclaimed sequence; preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 527731-85-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[(4R)-6-ethyl-2,2-dioxido-3,4-dihydro-1H-isothiochromen-4-yl]amino]-2-hydroxypropyl]-3,5-dimethylbenzamide

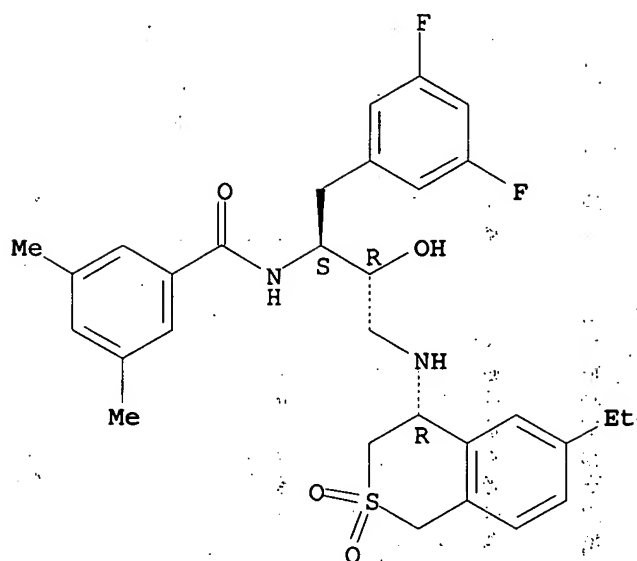
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 2-hydroxy-3-aminoalkylbenzamides as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

RN 527731-85-7 HCAPLUS

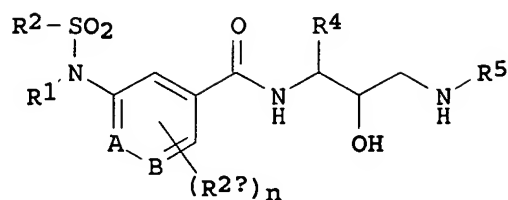
CN Benzamide, N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[[(4R)-6-ethyl-3,4-dihydro-2,2-dioxido-1H-2-benzothiopyran-4-yl]amino]-2-hydroxypropyl]-3,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

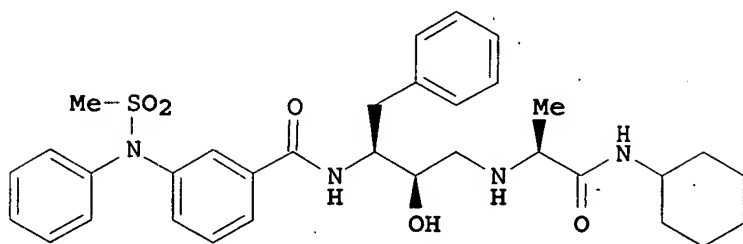


L35 ANSWER 14 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:775885 HCAPLUS  
 DN 141:295745  
 TI Preparation of hydroxyethylamine derivatives for the treatment of  
 Alzheimer's disease  
 IN Demont, Emmanuel Hubert; Redshaw, Sally; Walter, Daryl Simon  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 70 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004080376	A2	20040923	WO 2004-EP2644	20040311
	WO 2004080376	A3	20041111		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	GB 2003-5918	A	20030314		
OS	MARPAT 141:295745				
GI					



I



II

AB The invention relates to novel hydroxyethylamine compds. I [R1 is aryl or heteroaryl; R2 is alkyl or cycloalkyl; R2a is H, halo, alkyl or alkoxy; n is 0-2; A is -CR2b= or -N=, where R2b is H, alkyl, alkenyl, halo, alkoxy, amino, cyano or hydroxy; B is -CR3= or -N=, where R3 is H, halo, (un)substituted alkyl, aryl, carboxy, etc.; R4 is alkyl, cycloalkyl-, aryl-, heteroaryl- or heterocyclalkyl; R5 is H, (un)substituted alkyl, aryl, -CRaRb-CONH-alkyl (Ra, Rb are H, alkyl or cycloalkyl), etc.] having Asp2 ( $\beta$ -secretase, BACE1 or Memapsin) inhibitory activity for use in the treatment of diseases characterized by elevated  $\beta$ -amyloid levels or  $\beta$ -amyloid deposits, particularly Alzheimer's disease. Thus, compound II was prepared by EDC/1-hydroxybenzotriazole-mediated coupling of 3-[(methanesulfonyl)phenylamino]benzoic acid with (S)-2-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutylamino]-N-cyclohexylpropionamide dihydrogen chloride.

IC ICM A61K

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1, 34

ST benzoic hydroxyethylamide amino acid deriv prepn inhibitor beta secretase; Alzheimers treatment benzoic hydroxyethylamide amino acid deriv prepn

IT Alzheimer's disease

Anti-Alzheimer's agents

(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT Amino acids, preparation

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

( $\beta$ -; preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT 158736-49-3,  $\beta$  Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT 761431-27-0P 761431-33-8P 761431-80-5P 761431-81-6P 761431-83-8P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT 761430-85-7P 761430-86-8P 761430-87-9P 761430-88-0P 761430-89-1P  
761430-90-4P 761430-91-5P 761430-92-6P 761430-93-7P 761430-94-8P  
761430-95-9P 761430-96-0P 761430-97-1P 761430-98-2P 761430-99-3P  
761431-00-9P 761431-01-0P 761431-02-1P 761431-03-2P 761431-04-3P  
761431-05-4P 761431-06-5P 761431-07-6P 761431-08-7P 761431-10-1P  
761431-11-2P 761431-12-3P 761431-13-4P 761431-15-6P  
761431-16-7P 761431-17-8P 761431-18-9P 761431-19-0P 761431-21-4P  
761431-23-6P 761431-24-7P 761431-25-8P 761431-26-9P  
761431-28-1P 761431-29-2P 761431-30-5P 761431-31-6P  
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761431-38-3P 761431-39-4P 761431-40-7P 761431-41-8P  
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761431-49-6P 761431-50-9P 761431-51-0P 761431-52-1P 761431-53-2P  
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761431-59-8P 761431-60-1P 761431-62-3P 761431-64-5P 761431-66-7P  
761431-68-9P 761431-70-3P 761431-72-5P 761431-74-7P 761431-76-9P  
761431-78-1P 761431-79-2P 761431-82-7P 761431-84-9P 761431-85-0P  
761431-86-1P 761431-87-2P 761431-88-3P 761431-90-7P 761431-92-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT 75-03-6, Iodoethane 98-80-6, Phenylboronic acid 103-67-3 108-91-8, Cyclohexylamine, reactions 110-83-8, Cyclohexene, reactions 115-19-5, 2 Methyl 3 butyne 2 ol 142-29-0, Cyclopentene 142-84-7, Dipropylamine 402-43-7, 4 Bromotrifluoromethylbenzene 582-33-2, 3 Aminobenzoic acid ethyl ester 616-45-5, Pyrrolidin 2 one 618-84-8, 3 Amino 5 nitrobenzoic acid 621-84-1, Benzyl carbamate 626-55-1, 3 Bromopyridine 1633-82-5, 3 Chloro 1 propanesulfonyl chloride 1955-46-0, 5 Nitroisophthalic acid monomethyl ester 4518-10-9 4635-59-0, 4 Chlorobutyryl chloride 4784-77-4, Crotyl bromide 5400-81-7 13036-02-7, 5 Hydroxyisophthalic acid dimethyl ester 13362-30-6, 2 Aminoisonicotinic acid ethyl ester 15761-38-3 16419-60-6, 2 Methylphenylboronic acid 16420-13-6, Dimethylthiocarbamoyl chloride 35553-92-5 58656-99-8 95010-17-6 98737-29-2 100379-00-8, 2 6 Dimethylphenylboronic acid 153108-30-6 761432-98-8 762297-19-8 762297-20-1 762297-21-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of benzoic acid hydroxyethylamide derivs. for treatment of Alzheimer's disease)

IT 6307-83-1P, 3 Bromo 5 nitrobenzoic acid 17653-94-0P 23218-93-1P  
32087-05-1P 33958-26-8P 40872-87-5P 50826-00-1P 92146-82-2P  
99066-80-5P 109138-28-5P 115884-68-9P 199536-01-1P 202470-11-9P  
202470-22-2P 328284-59-9P 388071-13-4P, 5-Amino-N,N-dipropyl-isophthalamic acid methyl ester 388072-46-6P 388072-98-8P  
474407-10-8P 632625-96-8P, 3-Nitro-5-(2-oxo-pyrrolidin-1-yl)-benzoic acid methyl ester 632625-97-9P, 3-Amino-5-(2-oxo-pyrrolidin-1-yl)-



benzoic acid methyl ester 632626-88-1P 632626-89-2P 632626-90-5P  
 690260-92-5P 706791-78-8P 706792-00-9P 706792-02-1P 706792-04-3P  
 706792-09-8P 706792-10-1P 706792-11-2P 706792-12-3P,  
 3-tert-Butoxycarbonylamino-5-methylsulfanyl-benzoic acid methyl ester  
 706792-13-4P, 3-tert-Butoxycarbonylamino-5-ethylsulfanyl-benzoic acid  
 ethyl ester 706792-14-5P, 3-Amino-5-methylsulfanyl-benzoic acid methyl  
 ester hydrochloride 706792-15-6P, 3-Amino-5-ethylsulfanyl-benzoic acid  
 ethyl ester hydrochloride 706792-50-9P 706792-89-4P 706795-03-1P  
 706795-11-1P 706795-12-2P 706795-55-3P 706819-04-7P 728912-67-2P  
 761431-93-0P 761431-94-1P 761431-95-2P 761431-96-3P 761431-97-4P  
 761431-98-5P 761431-99-6P 761432-00-2P 761432-06-8P 761432-09-1P  
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 761432-99-9P 761433-69-6P 761433-71-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of benzoic acid hydroxyethylamide derivs. for treatment of  
 Alzheimer's disease)

IT 761431-13-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); PREP

(Preparation); BIOL (Biological study); PREP (Preparation);

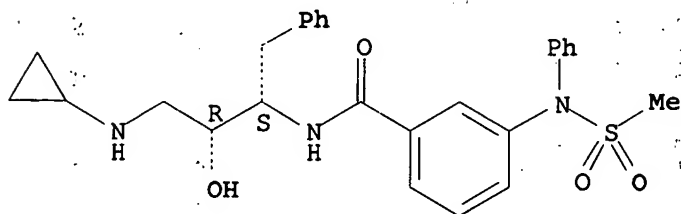
USES (Uses)

(preparation of benzoic acid hydroxyethylamide derivs. for treatment of  
 Alzheimer's disease)

RN 761431-13-4 HCAPLUS

CN Benzamide, N-[(1S,2R)-3-(cyclopropylamino)-2-hydroxy-1-  
 (phenylmethyl)propyl]-3-[(methylsulfonyl)phenylamino]- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



L35 ANSWER 15 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

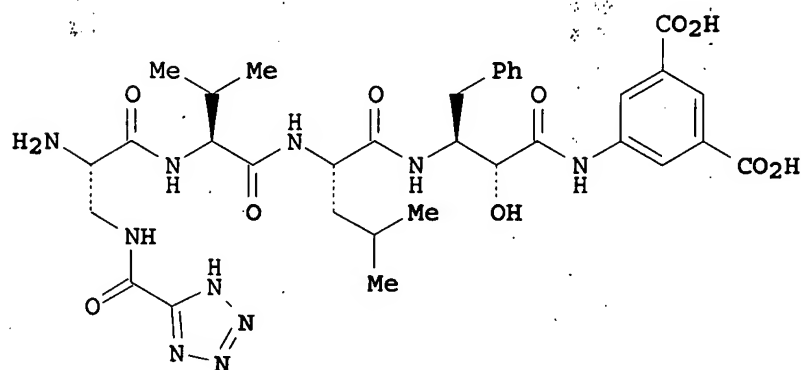
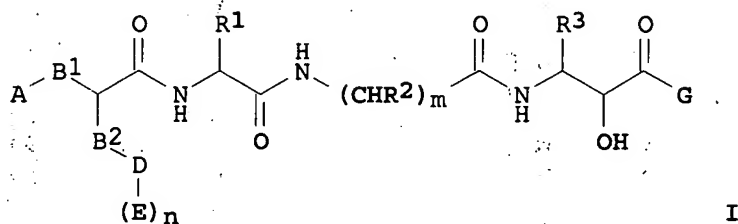
AN 2004:740349 HCAPLUS

DN 141:261070

TI Preparation of peptide derivatives having  $\beta$ -secretase inhibitory

activity  
 IN Kiso, Yoshiaki  
 PA Japan  
 SO PCT Int. Appl., 143 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004076478	A1	20040910	WO 2004-JP2438	20040227
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2515975	AA	20040910	CA 2004-2515975	20040227
	EP 1600457	A1	20051130	EP 2004-715564	20040227
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	JP 2003-52926	A	20030228		
	WO 2004-JP2438	W	20040227		
OS	MARPAT 141:261070				
GI					



AB Peptide compds. represented by the general formula (I) or pharmacol. acceptable salts or prodrugs thereof wherein [A = NH<sub>2</sub> optionally protected by a protecting group degradable in vivo; B1, B2 = a single bond, (un)substituted C1-3 alkylene; R4 = halo, C1-6 alkyl, C2-6 alkenyl or alkynyl, C3-7 cycloalkyl, each (un)substituted heterocyclyl, aralkyl, or

aryl; D = a single bond, NHCO, -NHCO-R5-; wherein R5 = C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, C3-7 cycloalkylene, each (un)substituted heterocycle, aralkylene, or arylene; E = CO2H or its equivalent group, H, HO, NH2, halo, CO2Me; n, m = 1-3; G = HO, NH2, peptide containing 4-2 amino acid, -Z-L; wherein Z = NH, Asp-Ala-NH, Asp-Ala, NHCH2, Asp-NH, Asp-NHCH2, NHCH2CH2, etc.; L = (un)substituted 5- to 10-membered ring optionally containing a heteroatom and/or an unsatd. bond; R1 -R3 = CO2H or its equivalent group, C1-8 alkyl, C2-6 alkenyl or alkynyl, C3-7 cycloalkyl, Ph, PhS, C1-6 alkylthio, carboxy-C1-6 alkyl, heterocyclyl-C1-6 alkyl (wherein Ph, PhS, or heterocyclyl is optionally substituted)] are prepared Disclosed is a  $\beta$ -secretase inhibitor, a sAPP $\alpha$  secretion promotor, a  $\beta$  amyloid protein production and secretion inhibitor, or a neurotrophic factor-like agent containing the compound I as the active ingredient. Also disclosed is a preventive and/or therapeutic agent containing the compound I as the active ingredient which promotes secretion of secreted amyloid precursor protein  $\alpha$  (sAPP $\alpha$ ) and inhibits the production and secretion of  $\beta$  amyloid proteins, for  $\beta$  secretase-related diseases such as (1) neurodegenerative diseases, in particular Alzheimer's disease or Parkinson's disease, (2) nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae, (3) memory disorders, and (4) psychiatric disorders. For example, peptide (II) inhibited 100% recombinant human  $\beta$ -secretase (BACE-1).

- IC ICM C07K005-08
- ICS C07K005-02; C07K007-02; C07K007-06; A61K038-55; A61P025-00;  
A61P025-16; A61P025-28; A61P043-00
- CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 7
- ST peptide prepn  $\beta$  secretase inhibitor; neurodegenerative disease prevention treatment peptide prepn; Alzheimer disease prevention treatment peptide prepn; Parkinson disease prevention treatment peptide prepn; nerve injury prevention treatment peptide prepn; memory disorder prevention treatment peptide prepn; psychiatric disorder prevention treatment peptide prepn
- IT Brain, disease  
(cerebrovascular, nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)
- IT Nervous system, disease  
(degeneration; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)
- IT Nerve, disease  
Spinal cord, disease  
(injury, nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)
- IT Encephalitis  
(nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)
- IT Injury  
(neuronal, nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Antiparkinsonian agents  
Human  
Memory disorders  
Mental and behavioral disorders

Parkinson's disease

Psychotropics

(preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT Amyloid precursor proteins

RL: BSU (Biological study, unclassified); BIOL (Biological study) (promoters for secretion of sAPP $\alpha$ ; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT Injury

(spinal cord, nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT Head and Neck, disease

(trauma, nerve injury during cerebral vascular disorders, head trauma, spinal cord injury, or encephalitis sequelae; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT Amyloid

RL: BSU (Biological study, unclassified); BIOL (Biological study) ( $\beta$ -, inhibitors of production and secretion of  $\beta$  amyloid proteins; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)IT 158736-49-3,  $\beta$ -SecretaseRL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT 654060-18-1P	654060-19-2P	654060-20-5P	654060-21-6P	654060-22-7P
654060-23-8P	654060-24-9P	654060-25-0P	654060-26-1P	654060-27-2P
654060-28-3P	654060-29-4P	654060-30-7P	654060-31-8P	654060-32-9P
654060-33-0P	654060-34-1P	654060-35-2P	654060-36-3P	654060-37-4P
654060-38-5P	654060-39-6P	654060-40-9P	654060-41-0P	654060-42-1P
654060-43-2P	654060-44-3P	677325-13-2P	677325-14-3P	677325-15-4P
677325-16-5P	677325-17-6P	677325-18-7P	677325-19-8P	677325-20-1P
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677325-30-3P	677325-31-4P	677325-32-5P		
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753029-41-3P	753029-42-4P	753029-43-5P	753029-51-5P	753029-52-6P
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753029-89-9P	753029-90-2P	753029-91-3P	753029-92-4P	
753029-93-5P	753029-94-6P	753029-95-7P	753029-96-8P	753029-97-9P
753029-98-0P	753029-99-1P	753030-00-1P	753030-01-2P	753030-02-3P
753030-03-4P	753030-04-5P	753030-05-6P	753030-06-7P	753030-07-8P
753030-08-9P	753030-09-0P	753030-10-3P	753030-11-4P	
753030-12-5P	753030-13-6P	753030-14-7P		
753030-15-8P	753030-16-9P	753030-17-0P		
753030-18-1P	753030-19-2P	753030-20-5P		
753030-21-6P	753030-22-7P	753030-23-8P		
753030-24-9P	753030-25-0P	753030-26-1P		
753030-27-2P	753030-28-3P	753030-29-4P		
753030-30-7P	753030-31-8P	753030-32-9P		
753030-33-0P	753030-34-1P	753030-35-2P		

753030-36-3P 753030-37-4P 753030-38-5P  
753030-39-6P 753030-40-9P 753030-41-0P  
753030-42-1P 753030-43-2P 753030-44-3P  
753030-45-4P 753030-46-5P 753030-47-6P  
753030-48-7P 753030-49-8P 753030-50-1P  
753030-51-2P 753030-52-3P 753030-53-4P  
753030-54-5P 753030-55-6P 753030-56-7P  
753030-57-8P 753030-58-9P 753030-59-0P  
753030-60-3P 753030-61-4P 753030-62-5P  
753030-63-6P 753030-64-7P 753030-65-8P  
753030-66-9P 753030-67-0P 753030-68-1P  
753030-69-2P 753030-70-5P 753030-71-6P  
753030-72-7P 753030-73-8P 753030-74-9P  
753030-75-0P 753030-76-1P 753030-77-2P  
756826-15-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

IT (preparation of peptide derivs. as  $\beta$ -secretase inhibitors)  
99-31-0, 5-Aminoisophthalic acid 100-46-9, Benzylamine, reactions  
541-41-3, Chloroformic acid ethyl ester 1113-41-3, L-Penicillamine  
3886-08-6 13139-15-6 13734-41-3 29022-11-5D, Fmoc-Gly-OH; Wang  
resin-bound 35448-10-3 35661-39-3D, Wang resin-bound 35661-40-6D,  
Wang resin-bound 62023-62-5, (2S,3S)-3-Amino-2-hydroxy-4-phenylbutanoic  
acid 62023-63-6, (2R,3S)-3-Amino-2-hydroxy-4-phenylbutanoic acid  
71989-14-5D, Wang resin-bound 71989-18-9D, Wang resin-bound  
73397-21-4, (2R,3S)-3-Amino-2-hydroxy-5-methylhexanoic acid 82911-69-1,  
Fmoc-OSu 105181-72-4 159751-47-0 164470-64-8D, Wang resin-bound  
216530-79-9 654060-49-8D, resin-bound

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT 210754-59-9P 654060-49-8P 753029-44-6P 753029-45-7P 753029-46-8P  
753029-47-9P 753029-48-0P 753029-49-1P  
753029-50-4P 753029-55-9P 753029-56-0P  
753030-78-3P 753030-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

IT 677325-29-0P

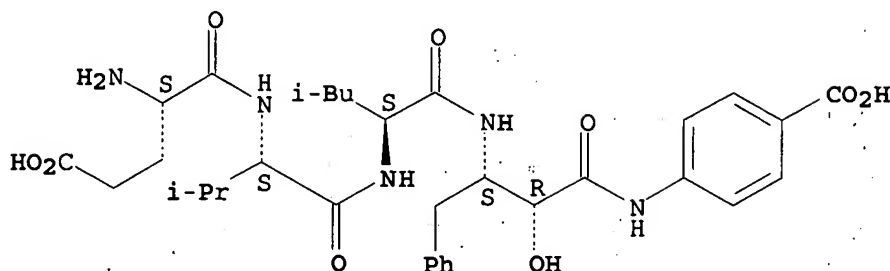
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); PREP (Preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide derivs. as  $\beta$ -secretase inhibitors)

RN 677325-29-0 HCAPLUS

CN L-Leucinamide, L- $\alpha$ -glutamyl-L-valyl-N-[(1S,2R)-3-[(4-carboxyphenyl)amino]-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 16 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:493673 HCAPLUS

DN 141:54189

TI Preparation of hydroxyethylamine derivatives for the treatment of Alzheimer's disease

IN Demont, Emmanuel H.; Faller, Andrew; MacPherson, David Timothy; Milner, Peter Henry; Naylor, Alan; Redshaw, Sally; Stanway, Steven James; Vesey, David R.; Walter, Daryl S.

PA Glaxo Group Limited, UK

SO PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050619	A1	20040617	WO 2003-EP13806	20031203
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508325	AA	20040617	CA 2003-2508325	20031203
	EP 1567488	A1	20050831	EP 2003-767756	20031203
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003017020	A	20051025	BR 2003-17020	20031203
	NO 2005003263	A	20050831	NO 2005-3263	20050704
PRAI	GB 2002-28410	A	20021205		
	WO 2003-EP13806	W	20031203		
OS	MARPAT 141:54189				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = alkyl, alkenyl, halo, etc.; R2' = H, alkyl, alkoxy,

halo; m, n = 0-2; X = CO, SO, SO<sub>2</sub>; p = 1-3; R<sub>2</sub> = H, alk(en)yl, (hetero)aryl, etc.; R<sub>3</sub> = halo, alk(en)yl, (hetero)aryl, etc.; R<sub>4</sub> = alkynyl, alkylaryl, etc.; R<sub>5</sub> = H, alkyl, cycloalkyl, cycloalkenyl, etc.] are prepared For instance, 5-(2-oxopyrrolidin-1-yl)-N,N-dipropylisophthalamide (preparation given) is coupled to (2S)-2-[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino]-N-cyclohexylpropionamide (preparation given) (DMF, EDCI, HOBT, 4-ethylmorpholine, 3 h) to give II. Compds. of the invention inhibit protease Asp2 and Cathepsin D. I are useful in the treatment of diseases characterized by elevated amyloid levels or amyloid deposits, particularly Alzheimer's disease.

- IC ICM C07D207-26  
ICS C07D279-02; C07D417-12; C07D405-12; C07D409-12; C07D403-12; C07D401-12; C07D275-02; C07D211-76; A61K031-4015; A61K031-45; A61K031-415; A61K031-541; A61P025-28
- CC 27-10 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1, 63
- ST hydroxyethylamine arylpyrrolidine alzheimers asp2 cathepsin inhibitor prepn
- IT Alzheimer's disease  
Human  
(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (β-; preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)
- IT 9025-26-7, Cathepsin D 158736-49-3, Protease Asp2  
RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)
- IT 706793-30-8P 706793-31-9P 706796-28-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-nitro-5-(2-oxopyrrolidin-1-yl)benzamide 706796-86-3P, N-[(1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxylbenzamide 706797-14-0P, 3-Azidomethyl-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-26-4P 706797-27-5P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)
- IT 706795-53-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)-N',N'-dipropylisophthalamide 706795-56-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)isophthalamide acid methyl ester 706795-57-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)isophthalamide acid tert-butyl ester 706795-58-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)-N'-propylisophthalamide 706795-59-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dimethyl-5-(2-oxopyrrolidin-1-yl)isophthalamide 706795-60-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-N'-methyl-5-(2-oxopyrrolidin-1-yl)isophthalamide 706795-61-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-hydroxymethyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-62-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-((E)-styryl)benzamide 706795-63-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-

methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-phenethylbenzamide  
706795-64-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706795-65-5P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706795-66-6P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-cyclohexyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706795-67-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-cyclohexyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706795-68-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide  
706795-69-9P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide 706795-70-2P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-methylpropenyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706795-71-3P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-isobutyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706795-72-4P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-isopropyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-73-5P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-isobutyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-74-6P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-75-7P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-76-8P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide 706795-78-0P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide formate  
706795-79-1P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethynyl-5-(2-oxopyrrolidin-1-yl)benzamide 706795-80-4P, N-[1-Benzyl-3-[[1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylbenzamide 706795-82-6P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-2-fluoro-3-(2-oxopyrrolidin-1-yl)-5-trifluoromethylbenzamide formate 706795-84-8P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide formate 706795-86-0P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide formate 706795-88-2P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluorobenzamide formate 706795-90-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-[(1-methylethyl)amino]benzamide formate 706795-93-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-[(1-methylethyl)amino]benzamide formate 706795-96-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-4-yl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-[(1-methylethyl)amino]benzamide formate 706795-99-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1,1,5-trimethylhexyl]amino]propyl]-5-[(1-methylethyl)amino]benzamide formate 706796-02-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-5-[(1-methylethyl)amino]benzamide formate  
706796-03-4P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3,5-bis(2-oxopyrrolidin-1-yl)benzamide  
706796-04-5P, 3-Acetylamino-N-[(1S,2R)-1-benzyl-3-[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-05-6P, N-[(1S,2R)-1-Benzyl-3-[(S)-1-



(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-  
[(methanesulfonyl)amino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-06-7P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-isopropylamino-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-07-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propylaminobenzamide  
706796-08-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-cyclopentylamino-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-09-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-diethylamino-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-10-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-morpholin-4-yl-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-11-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(4-methylpiperazin-1-yl)-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-12-5P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-  
yl)-5-piperidin-1-ylbenzamide 706796-13-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-  
1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-  
yl)-5-pyrrolidin-1-ylbenzamide 706796-14-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-  
1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-  
yl)-5-(phenylamino)benzamide 706796-15-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-4-methoxy-3,5-bis(2-  
oxopyrrolidin-1-yl)benzamide 706796-16-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-4-chloro-3,5-bis(2-  
oxopyrrolidin-1-yl)benzamide 706796-17-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-ethylamino-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-18-1P, 3-((Benzyl)amino)-N-[(1S,2R)-  
1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-19-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(3-methylbutylamino)-  
5-(2-oxopyrrolidin-1-yl)benzamide 706796-20-5P, N-[(1S,2R)-1-Benzyl-3-  
[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-  
cyclohexylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-21-6P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentylaminobenzamide  
706796-22-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(1-ethylpropylamino)-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-23-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-butylamino-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-24-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2,2-  
dimethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-25-0P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(cyclopropylmethylamino)-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-26-1P, 3-(N-Acetyl-N-propylamino)-N-[(1S,2R)-1-  
benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-27-2P 706796-29-4P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-nitro-5-(2-oxopyrrolidin-1-yl)benzamide formate  
706796-30-7P, 3-Amino-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-31-8P, 3-(N-Acetyl-N-isopropylamino)-N-[(1S,2R)-1-  
benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-32-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-[N-(methanesulfonyl)-  
N-propylamino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-33-0P,  
N-[(1S,2R)-1-Benzyl-3-cyclopropylamino-2-hydroxypropyl]-3-ethylamino-5-(2-  
oxopyrrolidin-1-yl)benzamide 706796-35-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-(3-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-  
yl)benzamide formate 706796-36-3P, N-[(1S,2R)-1-Benzyl-3-

cyclohexylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-37-4P, N-((1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-39-6P, N-((1S,2R)-1-Benzyl-3-ethylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-40-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-41-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-42-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-43-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(2,2,3,3,3-pentafluoropropylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-44-3P, N-[(1S,2R)-1-Benzyl-3-(2,2,3,3,4,4,4-heptafluorobutylamino)-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-45-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-((R)-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-46-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-((S)-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-47-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(2-methoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-48-7P, N-[(1S,2R)-1-Benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-49-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(R)-1-(3-methoxyphenyl)ethylamino]propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-50-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(S)-1-(3-methoxyphenyl)ethylamino]propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-51-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-isobutylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-52-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-dimethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-53-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(1-methyl-1-phenylethylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-54-5P, N-((1S,2R)-1-Benzyl-3-tert-butylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-55-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethoxybenzylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-56-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methylbutylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-57-8P, N-((1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl)-3-isopropylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-59-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-methylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-60-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-3-[N-(methanesulfonyl)-N-methylamino]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-61-4P, 3-(Acetylmethylamino)-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopyrrolidin-1-yl)benzamide 706796-62-5P, N-((1S,2R)-1-Benzyl-3-cyclopentylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-63-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-methylpentylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-64-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(5-methylhexylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-66-9P 706796-67-0P, N-(1-Benzyl-3-cyclobutylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-68-1P, N-(1-Benzyl-3-cycloheptylamino-2-hydroxypropyl)-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-69-2P, N-[1-Benzyl-2-hydroxy-3-(isobutylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-70-5P, N-[1-Benzyl-2-hydroxy-3-(1,1,5-trimethylhexylamino)propyl]-3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-71-6P, N-[1-Benzyl-2-hydroxy-3-(propylamino)propyl]-

3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide 706796-73-8P,  
N-[1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-  
3-ethylamino-5-(2-oxopyrrolidin-1-yl)benzamide formate 706796-75-0P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-propoxybenzamide formate  
706796-76-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-methoxy-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-77-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-78-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(3-hydroxypropoxy)-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-79-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(3-methoxypropoxy)-5-  
(2-oxopyrrolidin-1-yl)benzamide 706796-80-7P, N-[(1S,2R)-1-Benzyl-3-  
[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(2-  
hydroxyethoxy)-5-(2-oxopyrrolidin-1-yl)benzamide 706796-81-8P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(2-methoxyethoxy)-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-82-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide  
706796-83-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(S)-1-  
(isobutylcarbamoyl)pentyl]amino]propyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-  
yl)benzamide 706796-84-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-  
methoxybenzylamino)propyl]-3-isopropoxy-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-85-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide  
706796-87-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(1-propylbutylamino)propyl]-  
3-(2-oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide 706796-88-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(2-  
oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide 706796-89-6P,  
N-[(1S,2R)-1-Benzyl-3-benzylamino-2-hydroxypropyl]-3-(2-oxopyrrolidin-1-  
yl)-5-pentoxymethylbenzamide 706796-90-9P, N-[(1S,2R)-1-Benzyl-3-ethylamino-2-  
hydroxypropyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide 706796-91-0P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(phenethylamino)propyl]-3-(2-oxopyrrolidin-  
1-yl)-5-pentoxymethylbenzamide 706796-92-1P 706796-93-2P,  
N-[(1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-(2-oxopyrrolidin-  
1-yl)-5-pentoxymethylbenzamide 706796-94-3P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-(1-methylpiperidin-4-ylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-  
pentoxymethylbenzamide 706796-95-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-  
methylbutylamino)propyl]-3-(2-oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide  
706796-96-5P, N-[(1S,2R)-1-Benzyl-3-(1-ethylpropylamino)-2-hydroxypropyl]-  
3-(2-oxopyrrolidin-1-yl)-5-pentoxymethylbenzamide 706796-97-6P 706796-98-7P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-ethoxy-5-  
(2-oxopyrrolidin-1-yl)benzamide 706797-00-4P, N-[1-Benzyl-3-(1,5-  
dimethylhexylamino)-2-hydroxypropyl]-3-ethoxy-5-(2-oxopyrrolidin-1-  
yl)benzamide formate 706797-01-5P, N-[1-Benzyl-3-cyclohexylamino-  
2-hydroxypropyl]-3-ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide  
706797-02-6P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-  
ethoxy-5-(2-oxopyrrolidin-1-yl)benzamide 706797-03-7P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-methanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzamide  
706797-04-8P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]  
]-3-(methanesulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-06-0P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-  
(ethanesulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-08-2P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-  
ethanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzamide 706797-10-6P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-  
(methanesulfonyl)-5-(2-oxopyrrolidin-1-yl)benzamide 706797-12-8P,  
N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-

hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)-N',N'-  
dipropylisophthalamide 706797-16-2P, 3-Aminomethyl-N-[(1S,2R)-1-benzyl-3-  
[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-  
dioxoisothiazolidin-2-yl)benzamide 706797-18-4P, N-[(1S,2R)-1-Benzyl-3-  
[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-  
dimethylaminomethyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide  
706797-20-8P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethenylbenzamide  
706797-22-0P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylbenzamide  
706797-24-2P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-  
(methoxymethyl)benzamide 706797-25-3P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-(ethoxymethyl)benzamide 706797-28-6P,  
N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylbenzamide  
706797-29-7P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-butyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide  
706797-30-0P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(2-  
methylpropenyl)benzamide 706797-31-1P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-  
(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-fluoromethylbenzamide 706797-32-2P,  
N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-  
(1,1-dioxoisothiazolidin-2-yl)-5-isobutylbenzamide 706797-33-3P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-35-5P,  
N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-propylbenzamide formate 706797-36-6P  
, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-37-7P,  
N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-propylbenzamide 706797-38-8P,  
N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-  
(1,1-dioxoisothiazolidin-2-yl)isophthalamide 706797-39-9P,  
N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-  
cyano-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-40-2P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-cyano-5-  
(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-42-4P,  
N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-  
dioxoisothiazolidin-2-yl)-5-ethynylbenzamide formate 706797-43-5P,  
N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-nitro-5-(2-oxopiperidin-1-yl)benzamide 706797-44-6P,  
3-Amino-N-[(1S,2R)-1-benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-5-(2-oxopiperidin-1-yl)benzamide 706797-45-7P,  
N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]amino]-2-  
hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-propylaminobenzamide  
706797-46-8P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-diethylamino-5-(2-oxopiperidin-1-yl)benzamide  
706797-47-9P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-ethylamino-5-(2-oxopiperidin-1-yl)benzamide  
706797-48-0P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-methylamino-5-(2-oxopiperidin-1-yl)benzamide  
706797-49-1P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-piperidin-1-ylbenzamide  
706797-50-4P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-morpholin-4-yl-5-(2-oxopiperidin-1-yl)benzamide  
706797-51-5P, N-[(1S,2R)-1-Benzyl-3-[[S]-1-(cyclohexylcarbamoyl)ethyl]ami  
no]-2-hydroxypropyl]-3-(2-oxopiperidin-1-yl)-5-pyrrolidin-1-ylbenzamide

706797-52-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropylaminobenzamide 706797-53-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1S,2R)-2-hydroxy-1-(isobutylcarbamoyl)pentyl]amino]propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropylaminobenzamide 706797-54-8P, 3-Benzylamino-N-[(1S,2R)-1-benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-55-9P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-butylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-56-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(3-methylbutylamino)benzamide 706797-57-1P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-phenethylaminobenzamide 706797-58-2P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-pentylaminobenzamide 706797-59-3P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propylaminobenzamide 706797-60-6P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylaminobenzamide 706797-61-7P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-diethylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-62-8P 706797-63-9P 706797-64-0P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(cyclopropylmethylamino)-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706797-66-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylaminobenzamide formate 706797-68-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylaminobenzamide formate 706797-69-5P, N-[(1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethylaminobenzamide 706797-71-9P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(morpholin-4-yl)benzamide formate 706797-73-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(pyrrolidin-1-yl)benzamide formate 706797-75-3P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-methylaminobenzamide formate 706797-76-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-77-5P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-79-7P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide formate 706797-80-0P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-81-1P, N-[1-Benzyl-3-cyclohexylamino-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-82-2P, N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropoxybenzamide 706797-83-3P, N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-propoxybenzamide 706797-84-4P, N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(pentoxy)benzamide 706797-85-5P, N-[1-Benzyl-3-[[1-(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-methoxybenzamide 706797-86-6P, N-[1-Benzyl-3-cyclopropylamino-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706797-87-7P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethoxybenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-

ethoxybenzamide 706797-88-8P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(methylsulfanyl)benzamide 706797-89-9P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylsulfanyl)benzamide 706797-90-2P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethanesulfonyl)benzamide 706797-91-3P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(Methanesulfonyl)benzamide 706797-92-4P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(2-oxopiperidin-1-yl)-N',N'-dipropylisophthalamide 706797-94-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[(3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-methylethyl)amino]benzamide formate 706797-95-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[(3-ethyl-5-isoxazolyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluorobenzamide 706797-96-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-1H-benzimidazole-6-carboxamide 706797-97-9P, 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide 706797-98-0P, 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-N-[(1S,2R)-3-[[[(1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 706797-99-1P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-1H-benzimidazole-6-carboxamide 706798-00-7P, 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-N-[(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide 706798-01-8P, N-[(1S,2R)-1-Benzyl-3-[[[(S)-1-(cyclohexylcarbonyl)ethyl]amino]-2-hydroxypropyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-02-9P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-03-0P, N-(1-Benzyl-3-cyclopropylamino-2-hydroxypropyl)-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-04-1P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-propylbenzamide 706798-06-3P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-propylbenzamide formate 706798-08-5P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-propylbenzamide formate 706798-09-6P, N-[1-Benzyl-3-[[[(1-(cyclohexylcarbonyl)ethyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-propylbenzamide 706798-10-9P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide 706798-12-1P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethoxybenzylamino)propyl]-5-(1,1-dioxo-1,2-thiazinan-2-yl)-N',N'-dipropylisophthalamide formate 706798-14-3P, N-[1-Benzyl-2-hydroxy-3-(3-trifluoromethylbenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethylaminobenzamide formate 706798-17-6P, N-[1-Benzyl-2-hydroxy-3-(3-methoxybenzylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethylaminobenzamide formate 706798-19-8P, N-[1-Benzyl-3-(1,5-dimethylhexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethylaminobenzamide formate 706798-20-1P, N-[1-Benzyl-3-[[[(1-



(cyclohexylcarbamoyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethylaminobenzamide 706798-22-3P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-24-5P, N-[(1S,2R)-1-Benzyl-3-[(2-fluoro-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-26-7P, N-[(1S,2R)-1-Benzyl-3-[(4-fluoro-3-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-28-9P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-29-0P, N-[(1S,2R)-1-Benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-30-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-nitro-5-(trifluoromethyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-31-4P, N-[(1S,2R)-1-Benzyl-3-[[5-cyanopyridin-3-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-33-6P, N-[(1S,2R)-1-Benzyl-3-[(3-chloro-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-34-7P, N-[(1S,2R)-1-Benzyl-3-[(3-bromo-5-fluorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-35-8P, 5-[[[(2R,3S)-3-[[3-[(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]-N-methylnicotinamide 706798-37-0P, N-[(1S,2R)-1-Benzyl-3-[(3-bromo-5-methoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-38-1P, 706798-39-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-di-tert-butylbenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-41-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-methyl-5-(methylsulfonyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-43-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxy-5-methylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-45-0P, Dimethyl 5-[[[(2R,3S)-3-[[3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]isophthalate 706798-47-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-diisopropoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-48-3P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-2-thienyl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-49-4P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dihydro-1-benzofuran-6-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-51-8P, N-[(1S,2R)-1-Benzyl-3-[[4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-52-9P, N-[(1S,2R)-1-Benzyl-3-[[2-bromo-1,3-thiazol-5-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-53-0P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-1H-pyrrol-2-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-55-2P, N-[(1S,2R)-1-Benzyl-3-[[2-butyl-1H-imidazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-56-3P, N-[(1S,2R)-1-Benzyl-3-[(3-bromobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-57-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-58-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-thienyl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-59-6P, N-[(1S,2R)-1-Benzyl-3-[[4-bromo-1-methyl-1H-pyrazol-3-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide 706798-60-9P, N-[(1S,2R)-1-Benzyl-3-[[3-fluoro-5-(trifluoromethyl)benzyl]amino]-2-

hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide  
706798-62-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
vinylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-64-3P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(4-methoxy-3-thienyl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-  
thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-66-5P,  
3-[[[(2R,3S)-3-[[3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino]methyl]benzoic  
acid formate 706798-69-8P, N-[(1S,2R)-1-Benzyl-3-[(3,4-  
dimethoxybenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-71-2P, N-[(1S,2R)-1-Benzyl-3-[(5-  
ethyl-2-furyl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-  
yl)-5-(ethylamino)benzamide formate 706798-73-4P, N-[(1S,2R)-1-Benzyl-3-  
[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-  
dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-75-6P,  
N-[(1S,2R)-1-Benzyl-3-[(3-ethoxy-4-methoxybenzyl)amino]-2-hydroxypropyl]-3-  
(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate  
706798-77-8P, N-[(1S,2R)-1-Benzyl-3-[(5-ethyl-2-thienyl)methyl]amino]-2-  
hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide  
formate 706798-79-0P, N-[(1S,2R)-1-Benzyl-3-[(3-chloro-4-  
fluorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-80-3P, 3-(1,1-Dioxotetrahydro-2H-  
1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[(1-ethyl-1H-pyrazol-4-  
yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide  
706798-81-4P, N-[(1S,2R)-1-Benzyl-3-[(1-ethyl-1H-pyrazol-4-  
yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-83-6P, N-[(1S,2R)-1-Benzyl-3-[(1-  
ethyl-3-methyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-  
1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-85-8P,  
N-[(1S,2R)-1-Benzyl-3-[(2,2-dimethyl-3,4-dihydro-2H-chromen-6-  
yl)methyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-87-0P 706798-89-2P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(6-methylpyridin-2-  
yl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-91-6P, N-[(1S,2R)-1-Benzyl-3-[(3-  
ethylbenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-  
(ethylamino)benzamide formate 706798-92-7P,  
N-[(1S,2R)-1-Benzyl-3-[(1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-  
hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-  
fluorobenzamide 706798-94-9P, N-[(1S,2R)-1-Benzyl-3-[(1-ethyl-1H-  
pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-  
oxopyrrolidin-1-yl)benzamide formate 706798-96-1P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(3-methoxy-4-methylbenzyl)amino]propyl]-3-(1,1-dioxo-1,2-  
thiazinan-2-yl)-5-(ethylamino)benzamide formate 706798-98-3P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxy-2-methylbenzyl)amino]propyl]-3-  
(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate  
706798-99-4P 706799-00-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-  
(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-  
propylbutyl)amino]propyl]benzamide hydrochloride 706799-01-1P  
706799-02-2P 706799-03-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-  
(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)amino]-1-  
(phenylmethyl)propyl]benzamide hydrochloride 706799-04-4P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-  
hydroxy-1-(phenylmethyl)-3-(propylamino)propyl]benzamide hydrochloride  
706799-05-5P 706799-06-6P 706799-07-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-  
thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(4-  
methylpentyl)amino]-1-(phenylmethyl)propyl]benzamide hydrochloride  
706799-08-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-  
[(1S,2R)-2-hydroxy-3-[(5-methylhexyl)amino]-1-  
(phenylmethyl)propyl]benzamide hydrochloride 706799-09-9P 706799-10-2P



706799-11-3P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-12-4P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-13-5P, N-[(1S,2R)-3-[[3-Bromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-14-6P, N-[(1S,2R)-3-[[3-(Ethoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-15-7P, N-[(1S,2R)-3-[[3-Chlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-16-8P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-17-9P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-18-0P, N-[(1S,2R)-3-[[3,5-Dichlorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-19-1P, N-[(1S,2R)-3-[[3,5-Difluorophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-20-4P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-22-6P, N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-24-8P, N-[(1S,2R)-2-Hydroxy-3-[[3-methylphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-[(1-methylethyl)oxy]-5-(2-oxo-1-pyrrolidinyl)benzamide 706799-26-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-27-1P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-29-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-31-7P, N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-33-9P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[1-methylethoxy]benzamide hydrochloride 706799-35-1P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-37-3P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-39-5P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706799-41-9P, 3-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-[(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-43-1P, N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide hydrochloride 706799-45-3P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide

hydrochloride 706799-46-4P, 3-Cyclopentyl-N-[(1S,2R)-3-[[3,5-dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzamide hydrochloride  
706799-47-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]benzamide hydrochloride 706799-49-7P,  
N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706799-50-0P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride  
706799-52-2P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706799-53-3P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-55-5P,  
N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-56-6P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-58-8P,  
N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethoxy)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-60-2P, 3-Cyclopentyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-62-4P,  
N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-64-6P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride  
706799-66-8P, 3-Cyclopentyl-N-[(1S,2R)-3-[[3,5-dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-68-0P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethylamino)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride  
706799-70-4P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(ethylamino)-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706799-72-6P, N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride  
706799-74-8P, N-[(1S,2R)-3-[[3,5-Bis(methoxy)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride 706799-76-0P, N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethoxy)benzamide hydrochloride  
706799-78-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-80-6P,  
3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-82-8P,  
3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)oxy]phenyl)methyl]amino]propyl]-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-84-0P,  
N-[(1S,2R)-3-[[3,5-Bis(trifluoromethyl)phenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-[(1-methylethyl)oxy]benzamide hydrochloride 706799-86-2P,  
N-[(1S,2R)-3-[[3,5-Dibromophenyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-[(1-

methylethyl)oxy]benzamide hydrochloride 706799-88-4P,  
3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide hydrochloride 706799-89-5P, 3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-(methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride : 706799-91-9P  
3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl]methyl]amino]propyl]benzamide hydrochloride : 706799-93-1P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride 706799-95-3P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride : 706799-97-5P, 3-Cyclopentyl-N-[(1S,2R)-3-[[[3,5-dibromophenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzamide hydrochloride 706799-99-7P,  
3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl]methyl]amino]propyl]benzamide hydrochloride 706800-00-2P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-02-4P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride : 706800-04-6P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-06-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide hydrochloride 706800-08-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[[3-(methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-10-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-[(trifluoromethyl)oxy]phenyl]methyl]amino]propyl]benzamide hydrochloride 706800-11-5P, N-[(1S,2R)-3-[[[3,5-Bis(trifluoromethyl)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide hydrochloride : 706800-12-6P, N-[(1S,2R)-3-[[[3,5-Bis(methoxy)phenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide hydrochloride 706800-13-7P, N-[(1S,2R)-3-[[[3,5-Dibromophenyl]methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzamide hydrochloride 706800-14-8P 706800-15-9P  
706800-16-0P 706800-17-1P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide hydrochloride 706800-18-2P,  
N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-19-3P 706800-20-6P 706800-21-7P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzamide 706800-22-8P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-ethoxybenzamide 706800-23-9P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-isopropoxybenzamide 706800-24-0P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzamide 706800-25-1P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-ethoxybenzamide 706800-26-2P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-isopropoxybenzamide 706800-27-3P,

N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide 706800-28-4P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide hydrochloride 706800-29-5P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-30-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(4-methylpentyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-31-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[(1-ethylcyclopropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-32-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(1-methylethyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-33-1P, N-[(1S,2R)-3-(Butylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-34-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1-propylcyclopropyl)amino]propyl]benzamide hydrochloride 706800-35-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(3-methylbutyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-36-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(2-methylpropyl)cyclopropyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706800-37-5P, N-[(1S,2R)-3-[[1-[(3-Chlorophenyl)methyl]cyclopropyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-38-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-methylcyclohexyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-39-7P 706800-40-0P, N-[(1S,2R)-1-Benzyl-3-[(4,4-dimethylcyclohexyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-41-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(1R)-1,2,2-trimethylpropyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-42-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(1S)-1,2,2-trimethylpropyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-43-3P 706800-44-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(pentylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-45-5P, N-[(1S,2R)-1-Benzyl-3-(hexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-46-6P, N-[(1S,2R)-1-Benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-47-7P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethylpropyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-48-8P, N-[(1S,2R)-1-Benzyl-3-[(cyclopropylmethyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-49-9P 706800-50-2P, N-[(1S,2R)-1-Benzyl-3-(ethylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-51-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(methylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-52-4P, N-[(1S,2R)-1-Benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-53-5P, N-[(1S,2R)-3-(1-Adamantylamino)-1-benzyl-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-54-6P 706800-55-7P 706800-56-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-

(3-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-57-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-58-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-methoxyphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-59-1P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-60-4P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-61-5P, N-[(1S,2R)-1-Benzyl-3-[[2-(4-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-62-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methylphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-63-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-methylphenyl)ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-64-8P, N-[(1S,2R)-1-Benzyl-3-[[2-(3,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 706800-66-0P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-68-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(3,5-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-69-3P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,3-dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-71-7P, N-[(1S,2R)-1-Benzyl-3-(benzylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-73-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-74-0P, N-[(1S,2R)-1-Benzyl-3-[(1-ethylcyclohexyl)amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-76-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-methylcyclopentyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-78-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-propylcyclopentyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-79-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1-propylcyclohexyl)amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-80-8P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)-1,1-dimethylethyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-81-9P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(pyridin-3-ylmethyl)propyl]benzamide hydrochloride 706800-82-0P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]benzamide hydrochloride 706800-83-1P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(1,3-thiazol-2-ylmethyl)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-84-2P 706800-85-3P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]benzamide hydrochloride 706800-86-4P,

N-[(1S,2R)-3-(Cyclohexylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide hydrochloride  
706800-87-5P 706800-88-6P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]benzamide hydrochloride 706800-89-7P  
706800-90-0P, N-[(1S,2R)-1-[(4-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-91-1P,  
N-[(1S,2R)-1-[(4-Chlorophenyl)methyl]-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-92-2P,  
3-Cyclopentyl-N-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide hydrochloride 706800-93-3P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-94-4P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-95-5P 706800-96-6P, N-[(1S,2R)-3-(Cyclohexylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-97-7P, N-[(1S,2R)-1-[(3,5-Difluorophenyl)methyl]-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-98-8P,  
N-[(1S,2R)-1-[(3,4-Difluorophenyl)methyl]-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706800-99-9P,  
N-[(1S,2R)-3-(Cyclohexylamino)-1-[(3,4-difluorophenyl)methyl]-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-00-5P, N-[(1S,2R)-1-[(3,4-Difluorophenyl)methyl]-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-01-6P, N-[(1S,2R)-1-[(3-Chlorophenyl)methyl]-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-02-7P, N-[(1S,2R)-1-[(3-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-03-8P,  
N-[(1S,2R)-1-[(2-Chlorophenyl)methyl]-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-04-9P,  
N-[(1S,2R)-1-[(2-Chlorophenyl)methyl]-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706801-05-0P 706801-06-1P 706801-07-2P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-1-[(3-fluorophenyl)methyl]-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]propyl]benzamide hydrochloride 706801-08-3P 706801-09-4P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(2-thienylmethyl)propyl]benzamide hydrochloride 706801-10-7P  
706801-11-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-((1H-pyrazol-1-yl)methyl)propyl]benzamide hydrochloride 706801-12-9P 706801-13-0P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(3-thienylmethyl)propyl]benzamide hydrochloride 706801-14-1P  
706801-16-3P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethylhexyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate  
706801-18-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-



(trifluoromethyl)phenyl]ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-20-9P 706801-22-1P  
706801-24-3P 706801-25-4P 706801-27-6P  
706801-28-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(isobutylthio)-1,1-dimethylethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-29-8P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethyl-2-phenoxyethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-30-1P, N-[(1S,2R)-1-Benzyl-3-[[2-(benzyloxy)-1,1-dimethylethyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-31-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxyphenyl)amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-33-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(3-(trifluoromethyl)phenyl)ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-35-6P, N-[(1S,2R)-1-Benzyl-3-[(1,1-dimethyl-2-phenylethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-37-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(1-naphthyl)ethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-39-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(3-methoxyphenyl)-1,1-dimethylethyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-40-3P, N-[(1S,2R)-3-Anilino-1-benzyl-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-41-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)cyclopropyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-43-6P, N-[(1S,2R)-1-Benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-45-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(tetrahydro-2H-pyran-4-ylmethyl)amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-46-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-thiopyran-4-ylamino)propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-48-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-50-5P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-52-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-3-ethyl-7-(2-oxopyrrolidin-1-yl)-1H-indole-5-carboxamide formate 706801-54-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-55-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,1,5-trimethylhexyl)amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide 706801-57-2P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-59-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-61-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-63-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-carboxamide formate 706801-64-1P 706801-65-2P 706801-66-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-isobutoxy-1,1-dimethylethyl)amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-67-4P, N-[(1S,2R)-1-Benzyl-3-[[1,1-dimethyl-2-[(2-methylprop-2-en-1-yl)oxy]ethyl]amino]-2-hydroxypropyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide 706801-68-5P 706801-69-6P 706801-70-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[ethyl(methyl)amino]-5-(2-oxopyrrolidin-1-yl)benzamide 706801-71-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)-1-methylethyl]amino]propyl]-3-[ethyl(methyl)amino]-5-(2-oxopyrrolidin-1-

yl)benzamide 706801-73-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)cyclohexyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-75-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-(3-methoxyphenyl)cyclohexyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-77-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1H-pyrazol-4-yl)methyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-79-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1H-pyrazol-4-yl)methyl]amino]propyl]-3-(ethylamino)-5-(2-oxopyrrolidin-1-yl)benzamide formate 706801-81-2P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-83-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzamide formate 706801-85-6P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide formate 706801-87-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(tetrahydro-2H-pyran-4-ylamino)propyl]-3-cyclopentyl-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzamide formate 706801-89-0P, N-[(1S,2R)-1-Benzyl-3-[[3,3-dimethylbutyl]amino]-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-fluorobenzamide formate 706801-90-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1,1,3,3-tetramethylbutyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)-2-fluorobenzamide 706801-92-5P 706801-94-7P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706801-96-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706801-98-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-00-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-methoxybenzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-01-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide 706802-03-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1,1,5-trimethylhexyl]amino]propyl]-3-(1,1-dioxo-1,2-thiazinan-2-yl)-5-(isopropylamino)benzamide formate 706802-05-3P 706802-07-5P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-piperidinyl)benzamide formate 706802-09-7P 706802-10-0P, 3-(Ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-5-(2-oxo-1-piperidinyl)benzamide 706802-12-2P, N-[(1S,2R)-2-Hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-piperidinyl)-5-propylbenzamide formate 706802-14-4P 706802-15-5P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-(2-oxo-1-piperidinyl)-5-propylbenzamide 706802-16-6P 706802-17-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]benzamide 706802-19-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(1-methylethyl)-1H-pyrazol-4-yl)methyl]amino]-1-(phenylmethyl)propyl]benzamide formate 706802-21-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1-(2,2,2-trifluoroethyl)-1H-pyrazol-4-yl)methyl]amino]propyl]benzamide formate 706802-23-5P, 5-(Ethylamino)-2-fluoro-N-[(1R,2S)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide formate 706802-24-6P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706802-25-7P,



3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-26-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-27-9P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706802-28-0P, 3-(Ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706802-29-1P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706802-30-4P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-31-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethoxy)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-32-6P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-33-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-34-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide 706802-35-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-36-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-37-1P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-38-2P, 2-Fluoro-N-[(1S,2R)-2-hydroxy-3-[[3-(trifluoromethyl)phenyl]methyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide 706802-39-3P, 2-Fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide 706802-40-6P, 706802-41-7P 706802-42-8P 706802-43-9P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-44-0P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-45-1P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-47-3P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[(1-methylethyl)amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-49-5P, 5-(Ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)benzamide 706802-52-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]benzamide 706802-55-3P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzamide 706802-58-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methylethyl]amino]-1-(phenylmethyl)propyl]benzamide 706802-61-1P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-64-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-

[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-1H-indazole-6-carboxamide 706802-67-7P,  
4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-69-9P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-71-3P,  
4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1H-indazole-6-carboxamide 706802-73-5P, N-[[1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-indazole-6-carboxamide 706802-75-7P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methylethyl]amino]-1-(phenylmethyl)propyl]-1H-indazole-6-carboxamide 706802-77-9P,  
3-Ethyl-N-[[1S,2R)-2-hydroxy-3-[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-79-1P, 3-Ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-81-5P  
3-Ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-83-7P, N-[[1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-ethyl-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-85-9P, 3-Ethyl-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706802-86-0P, 3-Ethyl-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706802-89-3P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]benzamide formate 706802-90-6P, N-[[1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluorobenzamide 706802-92-8P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[[1S,2R)-2-hydroxy-3-[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-93-9P,  
7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-1-methyl-1H-indole-5-carboxamide 706802-94-0P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-95-1P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[[1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1-methyl-1H-indole-5-carboxamide 706802-96-2P,  
7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1-methyl-1H-indole-5-carboxamide 706802-98-4P, N-[[1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1-methyl-1H-indole-5-carboxamide 706802-99-5P, 3-(Ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-00-1P,  
3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methylbenzamide 706803-01-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methylbenzamide 706803-02-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methoxybenzamide 706803-03-4P, 3-(Ethylamino)-N-[[1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-04-5P,

3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methoxybenzamide 706803-05-6P, 3-(Diethylamino)-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methylbenzamide 706803-06-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methoxy-5-((1E)-1-propen-1-yl)benzamide 706803-07-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-methoxy-5-propylbenzamide 706803-08-9P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-09-0P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-10-3P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-11-4P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-12-5P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-13-6P, N-[(1S,2R)-2-Hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-3-(1-methylethyl)-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-14-7P, N-[(1S,2R)-2-Hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1-methyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-15-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-5-(2-oxo-1-pyrrolidinyl)benzamide 706803-17-0P, 1-Butyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-19-2P, N-[(1S,2R)-2-Hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1-pentyl-1H-indole-6-carboxamide 706803-21-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-23-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]benzamide 706803-25-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-27-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-29-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-31-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]benzamide 706803-33-0P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl]methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-35-2P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-1H-indole-6-carboxamide 706803-37-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-39-6P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-41-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-

2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-2-methoxybenzamide 706803-43-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-2-methoxybenzamide 706803-45-4P, 5-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N'-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-1,3-benzenedicarboxamide 706803-47-6P, 5-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N'-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-N,N-dipropyl-1,3-benzenedicarboxamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 706803-49-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-51-2P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-53-4P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-55-6P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxamide 706803-57-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-2,3-dihydro-1H-indole-6-carboxamide 706803-59-0P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1H-indole-6-carboxamide 706803-61-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-1H-indole-6-carboxamide 706803-63-6P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1,1,5-trimethylhexyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-65-8P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-indole-6-carboxamide 706803-67-0P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-indole-6-carboxamide 706803-69-2P, N-[(1S,2R)-3-(Cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-1-ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxamide 706803-71-6P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1H-indole-6-carboxamide 706803-73-8P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-75-0P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-1H-indole-6-carboxamide 706803-77-2P, 7-[Acetyl(ethyl)amino]-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-methyl-1-benzofuran-5-carboxamide 706803-80-7P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706803-82-9P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706803-85-2P, N-[(1S,2R)-2-Hydroxy-1-(phenylmethyl)-3-[[3-

(trifluoromethyl)phenyl)methyl]amino]propyl]-1-methyl-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706803-87-4P,  
3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxamide 706803-90-9P 706803-92-1P,  
3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706803-94-3P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1-methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706803-96-5P,  
7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-1H-indole-5-carboxamide 706803-98-7P, N-[(1S,2R)-2-Hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide 706804-01-5P,  
3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxamide formate 706804-04-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide formate 706804-07-1P,  
7-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-3-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-indole-5-carboxamide formate 706804-10-6P, 3-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-7-(2-oxo-1-piperidinyl)-1H-indole-5-carboxamide formate 706804-12-8P 706804-14-0P  
706804-16-2P 706804-18-4P 706804-20-8P 706804-22-0P  
706804-25-3P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzotriazole-6-carboxamide formate 706804-28-6P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-benzimidazole-6-carboxamide formate 706804-30-0P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[3-(trifluoromethyl)phenyl)methyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-32-2P,  
1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-34-4P,  
1-Ethyl-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1,1,5-trimethylhexyl]amino]propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide 706804-38-8P, 1-Ethyl-N-[(1S,2R)-2-hydroxy-3-[[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxamide formate 706804-41-3P  
706804-43-5P 706804-46-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[5-ethyl-3-thienyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-49-1P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[4-ethyl-2-thienyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-52-6P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[[1-ethyl-1H-pyrazol-3-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide formate 706804-55-9P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[[1-propyl-1H-pyrazol-4-yl)methyl]amino]propyl]benzamide formate 706804-57-1P,  
N-[(1S,2R)-3-[[[Bicyclo[2.2.2]octan-1-yl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706804-60-6P,  
3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[[5-ethenyl-3-thienyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-63-9P, 3-(1,1-Dioxotetrahydro-2H-

1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[4-ethenyl-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-66-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1-(2-propen-1-yl)-1H-pyrazol-4-yl)methyl]amino]propyl]benzamide formate 706804-69-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[4-ethenyl-2-thienyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-(ethylamino)benzamide formate 706804-71-9P 706804-73-1P 706804-77-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-propylbenzamide 706804-80-0P, N-[(1S,2R)-3-[(4,4-Difluorocyclohexyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzamide formate 706804-82-2P, 4-Ethyl-N-[(1S,2R)-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-8-(2-oxo-1-pyrrolidinyl)-1,2,3,4-tetrahydro-6-quinoxalinecarboxamide 706804-84-4P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-N-[(1S,2R)-2-hydroxy-3-[(3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-1H-benzimidazole-6-carboxamide 706804-86-6P 706804-89-9P 706804-92-4P 706804-96-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-propylbenzamide formate 706804-98-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(1,1,5-trimethylhexyl)amino]propyl]-5-propylbenzamide 706805-00-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide 706805-02-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-propylbenzamide 706805-04-1P, N-[(1S,2R)-3-[[Bicyclo[2.2.1]heptan-1-yl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706805-07-4P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-N-[(1S,2R)-3-[[1-ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-5-propylbenzamide formate 706805-10-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide formate 706805-13-2P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[3-(trifluoromethyl)phenyl]methyl]amino]propyl]-5-propylbenzamide 706805-14-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-N-[(1S,2R)-2-hydroxy-3-[[3-methoxyphenyl)methyl]amino]-1-(phenylmethyl)propyl]-5-propylbenzamide 706805-15-4P, N-[(1S,2R)-3-[[1-Ethyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-fluoro-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide 706805-16-5P 706805-17-6P 706805-19-8P 706805-21-2P 706805-23-4P, 2-Fluoro-N-[(1S,2R)-2-hydroxy-3-[[1-methyl-1-(3-methoxyphenyl)ethyl]amino]-1-(phenylmethyl)propyl]-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzamide formate 706805-24-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-3-[[1-ethylcyclobutyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]benzamide hydrochloride 706805-25-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[[1-propylcyclobutyl]amino]propyl]benzamide hydrochloride 706805-26-7P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-N-[(1S,2R)-2-hydroxy-3-[[1-(1-methylethyl)cyclobutyl]amino]-1-(phenylmethyl)propyl]benzamide hydrochloride 706805-27-8P, N-[(1S,2R)-3-[[1-[(3-Chlorophenyl)methyl]cyclobutyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzamide hydrochloride 706819-19-4P 706819-20-7P 706819-21-8P 706819-22-9P 708270-81-9P



RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer  
's disease)

IT 62-53-3, Aniline, reactions 75-03-6, Iodoethane 75-07-0, Acetaldehyde,  
reactions 75-30-9, 2-Iodopropane 78-81-9, Isobutylamine 96-22-0,  
3-Pentanone 100-39-0, Benzyl bromide 107-10-8, Propylamine, reactions  
108-91-8, Cyclohexylamine, reactions 108-94-1, Cyclohexanone, reactions  
108-95-2, Phenol, reactions 109-01-3, 1-Methylpiperazine 110-83-8,  
Cyclohexene, reactions 110-89-4, Piperidine, reactions 110-91-8,  
Morpholine, reactions 115-19-5, 2-Methyl-3-butyn-2-ol 118-97-8,  
4-Chloro-3,5-dinitrobenzoic acid 123-11-5, 4-Methoxybenzaldehyde,  
reactions 123-19-3, 4-Heptanone 123-38-6, Propionaldehyde, reactions  
123-75-1, Pyrrolidine, reactions 124-68-5, 2-Amino-2-methyl-1-propanol  
142-29-0, Cyclopentene 142-84-7, Dipropylamine 445-29-4,  
2-Fluorobenzoic acid 501-53-1, Benzyl chloroformate 616-45-5,  
Pyrrolidin-2-one 618-84-8, 3-Amino-5-nitrobenzoic acid 627-39-4,  
Propanal oxime 870-24-6, 2-Chloroethylamine hydrochloride 870-63-3,  
1-Bromo-3-methyl-2-butene 1198-97-6, 4-Phenyl-2-pyrrolidinone  
1458-98-6, 3-Bromo-2-methyl-1-propene 1530-32-1,  
(Ethyl)triphenylphosphonium bromide 1575-61-7, 5-Chlorovaleryl chloride  
1633-82-5, 3-Chloropropanesulfonyl chloride 1633-83-6, 1,2-Oxathiane  
2,2-dioxide 1955-46-0, 5-Nitroisophthalic acid monomethyl ester  
2450-71-7, 2-Propyn-1-amine 2488-15-5, (S)-2-[(tert-  
Butoxycarbonyl)amino]-4-(methylsulfonyl)butyric acid 2552-45-6,  
4-Chloro-3,5-dinitrobenzoic acid methyl ester 2916-68-9,  
2-Trimethylsilylethanol 3337-66-4, Methyl 4-hydroxy-3,5-diiodobenzoate  
3973-63-5, 5-Phenyl-2-piperidinone 4255-62-3, 4,4-Dimethylcyclohexanone  
4635-59-0, 4-Chlorobutyryl chloride 4637-24-5 4799-68-2,  
3-Benzyloxypropanol 5162-44-7, 4-Bromo-1-butene 7143-01-3,  
Methanesulfonic anhydride 7221-27-4, 4-Amino-3,5-dinitrobenzoic acid  
13036-02-7, Dimethyl 5-hydroxyisophthalate 14321-27-8, Ethylbenzylamine  
14418-84-9, 2-Propenesulfonyl chloride 16420-13-6, Dimethylthiocarbamoyl  
chloride 16533-71-4, 4-Methyl-3,5-dinitrobenzoic acid 36282-40-3,  
3-Methoxyphenylmagnesium bromide 40872-87-5, 3-Amino-4-chlorobenzoic  
acid methyl ester 76918-64-4, Ethyl 4-amino-3-nitrobenzoate  
102520-97-8, 1,1-Dimethylethyl (2-hydroxy-1,1-dimethylethyl) carbamate  
133778-13-9, 4-Phenyltetrahydro-2H-1,2-thiazine 1,1-dioxide 179321-49-4,  
1,1-Dimethylethyl (4-oxocyclohexyl) carbamate 188815-32-9,  
3-Bromo-5-iodobenzoic acid 208932-22-3, (2S,3R)-3-Hydroxy-2-((1S)-2-  
hydroxy-1-phenylethylamino)hexanoic acid methyl ester 367946-80-3,  
Methyl 2-fluoro-3,5-dinitrobenzoate 388074-61-1, (2R,3S)-3-Amino-4-  
phenyl-1-(3-trifluoromethylbenzylamino)butan-2-ol 537658-03-0,  
3-Bromo-2-fluoro-5-(trifluoromethyl)benzoic acid methyl ester  
537658-47-2, 5-(2-Oxopyrrolidin-1-yl)isophthalic acid monomethyl ester  
706791-97-1, 1-[3-Amino-5-(1,1-dioxoisothiazolidin-2-yl)phenyl]propan-1-  
one 706792-53-2, Methyl 3-amino-5-propylbenzoate 706792-72-5, Methyl  
4-methoxy-3-nitro-5-(1-propen-1-yl)benzoate 706792-74-7,  
3-Amino-4-methoxy-5-(1-propen-1-yl)benzoate 706793-08-0, Methyl  
4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1H-indole-6-carboxylate  
706794-13-0, Methyl 3-[[4-chlorobutyl)sulfonyl]amino]-4-methoxy-5-(1-  
propen-1-yl)benzoate 706794-20-9, Ethyl 3-ethyl-7-(2-oxo-1-pyrrolidinyl)-  
1H-indole-5-carboxylate 706794-31-2, Methyl 3-iodo-5-(2-oxo-1-  
pyrrolidinyl)-4-(2-propen-1-yloxy)benzoate 706794-33-4, Ethyl  
3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylate  
706795-54-2, 5-(2-Oxopyrrolidin-1-yl)-N,N-dipropylisophthalamide acid  
706795-55-3, (2S)-2-[(2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl]amino]-N-  
cyclohexylpropionamide dihydrochloride 706796-58-9, [(2R,3S)-3-[[1-[3-  
Isopropylamino-5-(2-oxopyrrolidin-1-yl)phenyl]methanoyl]amino]-2-hydroxy-4-

phenylbutyl]carbamic acid benzyl ester 706818-94-2, 3-(2-Oxopyrrolidin-1-yl)-5-pentyloxybenzoic acid 706819-04-7, Ethyl 7-amino-3-ethyl-1H-indole-5-carboxylate

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer's disease)

IT 445-65-8P, 2-Fluoro-3,5-dinitrobenzoic acid 1633-84-7P, 4-Chlorobutanesulfonyl chloride 1884-42-0P, 1-(3-Methoxyphenyl)cyclohexanol 3144-06-7P, 4-Chlorobutanesulfonamide 4701-96-6P, 4,4-Dimethylcyclohexanone oxime 5400-81-7P 5908-62-3P, Isothiazolidine 1,1-dioxide 6307-83-1P, 3-Bromo-5-nitrobenzoic acid 6307-87-5P, 3-Bromo-5-nitrobenzoic acid methyl ester 17653-94-0P, 2-(3-Methoxyphenyl)-2-methylpropionic acid 20615-18-3P, 4,4-Dimethylcyclohexanamine 23218-93-1P, 3-Amino-5-nitrobenzoic acid methyl ester 25801-31-4P, Methyl 3-iodo-4-methoxy-5-nitrobenzoate 26090-60-8P, 3-Nitro-5-(2-oxopyrrolidin-1-yl)benzoic acid 26090-62-0P, 3-Amino-5-(2-oxopyrrolidin-1-yl)benzoic acid 29544-89-6P, 4-Methoxy-3,5-dinitrobenzoic acid methyl ester 29544-91-0P, 3,5-Diamino-4-chlorobenzoic acid methyl ester 35553-92-5P 35578-28-0P, 3-Chloropropanesulfonamide 37441-50-2P, 1,2-Thiazinane 1,1-dioxide 49592-71-4P, Methyl 4-methyl-3,5-dinitrobenzoate 53478-04-9P, Dimethyl 5-Benzyloxyisophthalate 53478-05-0P, 5-Benzyloxyisophthalic acid monomethyl ester 54226-20-9P, Methyl 4-amino-3,5-dinitrobenzoate 54226-22-1P, 3,4-Diamino-5-nitrobenzoic acid 54226-23-2P, Methyl 3,4-diamino-5-nitrobenzoate 72922-60-2P 72922-61-3P, Methyl 4-nitro-1H-indazole-6-carboxylate 82760-42-7P, Ethyl 4-amino-3-bromo-5-nitrobenzoate 85365-92-0P, 4-Methoxy-3,5-dinitrobenzoic acid 92136-39-5P, 1,1-Dimethylethyl 2-propyn-1-ylcarbamate 107017-68-5P, 2-[[[(1,1-Dimethylethyl)oxy]carbonyl]amino]-2-methylpropyl methanesulfonate 109138-28-5P, 1-(3-Methoxyphenyl)-1-methylethylamine 110991-77-0P, Methyl 4-[(2-chloroethyl)amino]-3,5-dinitrobenzoate 121561-15-7P, Methyl 4-amino-1H-indole-6-carboxylate 125802-07-5P, [1-(3-Methoxyphenyl)cyclohexyl]amine 162536-42-7P, ((1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl)carbamic acid tert-butyl ester 162537-27-1P, ((2R,3S)-3-Amino-2-hydroxy-4-phenylbutyl)carbamic acid benzyl ester hydrochloride 162541-78-8P, [(2R,3S)-3-[(tert-Butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl]carbamic acid benzyl ester 188813-07-2P, 3-Bromo-5-iodobenzoic acid methyl ester 202470-11-9P, Dimethyl 5-[(Dimethylcarbamoyl)sulfanyl]isophthalate 202470-22-2P, Dimethyl 5-[(Dimethylthiocarbamoyl)oxy]isophthalate 328284-59-9P, 5-Nitro-N,N-dipropylisophthalamide acid methyl ester 388071-13-4P, 5-Amino-N,N-dipropylisophthalamide acid methyl ester 388072-98-8P, ((1S,2R)-1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)carbamic acid tert-butyl ester 474407-10-8P, Dimethyl 5-Ethoxyisophthalate 537032-04-5P, 3-Methanesulfonyl-5-(2-oxopyrrolidin-1-yl)benzoic acid 537657-82-2P, 3-Hydroxy-5-(2-oxopyrrolidin-1-yl)benzoic acid 537657-83-3P, 3,5-Bis(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 537657-85-5P, 3-Bromo-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 537657-88-8P, 3-Acetylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 537657-91-3P, 3-(3-Hydroxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 537657-92-4P, 3-(3-Methoxypropoxy)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 537658-02-9P, 2-Fluoro-3-(2-oxopyrrolidin-1-yl)-5-(trifluoromethyl)benzoic acid methyl ester 537658-12-1P, 3-Hydroxy-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 597562-13-5P, Methyl 4-[(E)-2-(dimethylamino)ethenyl]-3,5-dinitrobenzoate 632625-96-8P, 3-Nitro-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 632625-97-9P, 3-Amino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 632626-88-1P, 3-[(3-Chloropropanesulfonyl)amino]-5-nitrobenzoic acid methyl ester 632626-89-2P, 3-[(1,1-Dioxoisothiazolidin-2-yl)-5-nitrobenzoic acid methyl ester 632626-90-5P, 3-Amino-5-(1,1-



dioxoisothiazolidin-2-yl)benzoic acid methyl ester 675112-67-1P,  
1,1-Dimethylethyl (4,4-difluorocyclohexyl)carbamate 690260-92-5P,  
3-Bromo-5-iodobenzoic acid tert-butyl ester 706791-78-8P,  
3-(4-Chlorobutanoylamino)-5-nitrobenzoic acid methyl ester 706791-79-9P,  
3-(5-Chloropentanoylamino)-5-nitrobenzoic acid methyl ester  
706791-80-2P, 3-Bromo-5-(4-chlorobutanoylamino)benzoic acid methyl ester  
706791-81-3P, 3-Bromo-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl  
ester 706791-82-4P, 3-Bromo-5-(2-oxopiperidin-1-yl)benzoic acid  
tert-butyl ester 706791-83-5P, 3-Amino-5-bromobenzoic acid methyl ester  
706791-84-6P, 3-Bromo-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid  
tert-butyl ester 706791-85-7P, 3-Bromo-5-(1,1-dioxo-1,2-thiazinan-2-  
yl)benzoic acid tert-butyl ester 706791-86-8P, 3-Bromo-5-[(3-  
chloropropanesulfonyl)amino]benzoic acid methyl ester 706791-87-9P,  
4-Chloro-3-(4-chlorobutanoylamino)benzoic acid methyl ester  
706791-88-0P, 3-(N-Benzyl-N-ethylamino)-5-(2-oxopyrrolidin-1-yl)benzoic  
acid tert-butyl ester 706791-89-1P, 3-(N-Benzyl-N-methylamino)-5-(2-  
oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706791-90-4P,  
3-(N-Benzyl-N-methylamino)-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl  
ester 706791-91-5P, 3-(N-Benzyl-N-methylamino)-5-(1,1-  
dioxoisothiazolidin-2-yl)benzoic acid tert-butyl ester 706791-93-7P,  
3-(N-Benzyl-N-ethylamino)-5-(1,1-dioxo-1,2-thiazinan-2-yl)benzoic acid  
tert-butyl ester 706791-94-8P, 3-(3-Benzyloxypropoxy)-5-(2-oxopyrrolidin-  
1-yl)benzoic acid methyl ester 706791-96-0P, 3-(1,1-Dioxoisothiazolidin-  
2-yl)-5-hydroxybenzoic acid methyl ester 706791-98-2P,  
3-Benzyloxy-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
706792-00-9P, 5-Ethoxyisophthalic acid monomethyl ester 706792-02-1P,  
3-[(Benzyloxycarbonyl)amino]-5-ethoxybenzoic acid methyl ester  
706792-03-2P, 3-Benzyloxy-5-[[[2-(trimethylsilyl)ethoxy]carbonyl]amino]ben  
zoic acid methyl ester 706792-04-3P, 3-Amino-5-ethoxybenzoic acid methyl  
ester 706792-05-4P, 3-Amino-5-(benzyloxy)benzoic acid methyl ester  
hydrochloride 706792-06-5P, 3-(4-Chlorobutanoylamino)-5-ethoxybenzoic  
acid methyl ester 706792-07-6P, 3-Benzyloxy-5-[(3-  
chloropropanesulfonyl)amino]benzoic acid methyl ester 706792-08-7P,  
3-[(3-Chloropropanesulfonyl)amino]-5-ethoxybenzoic acid methyl ester  
706792-09-8P, 5-[(Dimethylcarbamoyl)sulfanyl]isophthalic acid monomethyl  
ester 706792-10-1P, 3-[(tert-Butoxycarbonyl)amino]-5-  
[(dimethylcarbamoyl)sulfanyl]benzoic acid methyl ester 706792-11-2P,  
3-[(tert-Butoxycarbonyl)amino]-5-mercaptobenzoic acid 706792-12-3P,  
3-[(tert-Butoxycarbonyl)amino]-5-(methylsulfanyl)benzoic acid methyl ester  
706792-13-4P, 3-[(tert-Butoxycarbonyl)amino]-5-(ethylsulfanyl)benzoic acid  
ethyl ester 706792-14-5P, 3-Amino-5-(methylsulfanyl)benzoic acid methyl  
ester hydrochloride 706792-15-6P, 3-Amino-5-(ethylsulfanyl)benzoic acid  
ethyl ester hydrochloride 706792-16-7P, 3-(4-Chlorobutanoylamino)-5-  
(methylsulfanyl)benzoic acid methyl ester 706792-17-8P,  
3-(4-Chlorobutanoylamino)-5-(ethylsulfanyl)benzoic acid ethyl ester  
706792-18-9P, 3-[(3-Chloropropanesulfonyl)amino]-5-(methylsulfanyl)benzoic  
acid methyl ester 706792-19-0P, 3-[(3-Chloropropanesulfonyl)amino]-5-  
(ethylsulfanyl)benzoic acid ethyl ester 706792-20-3P,  
3-(2-Oxopiperidin-1-yl)-5-(propenyl)benzoic acid tert-butyl ester  
706792-21-4P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(propenyl)benzoic acid  
tert-butyl ester 706792-22-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(vinyl)benzoic  
acid methyl ester 706792-23-6P, 3-(Isopropenyl)-5-(2-oxopyrrolidin-1-  
yl)benzoic acid methyl ester 706792-24-7P, 3-(2-Oxopyrrolidin-1-yl)-5-  
(propenyl)benzoic acid methyl ester 706792-25-8P, 3-(Cyclopent-2-enyl)-5-  
(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706792-26-9P,  
3-(Cyclopent-3-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
706792-27-0P, 3-(Cyclopent-1-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid  
methyl ester 706792-28-1P, 3-(Cyclohex-2-enyl)-5-(2-oxopyrrolidin-1-  
yl)benzoic acid methyl ester 706792-29-2P, 3-(Cyclohex-3-enyl)-5-(2-  
oxopyrrolidin-1-yl)benzoic acid methyl ester 706792-30-5P,

3-(Cyclohex-1-enyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706792-31-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(3-hydroxy-3-methylbut-1-ynyl)benzoic acid tert-butyl ester 706792-32-7P, 3-(3-Hydroxy-3-methylbut-1-ynyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester 706792-33-8P, 3-(Methoxycarbonyl)-5-Nitrobenzoic acid tert-butyl ester 706792-34-9P, 3-(Methoxycarbonyl)-5-aminobenzoic acid tert-butyl ester 706792-35-0P, 3-(Methoxycarbonyl)-5-(4-Chlorobutanoylamino)benzoic acid tert-butyl ester 706792-36-1P, 3-(Methoxycarbonyl)-5-[(3-Chloropropanesulfonyl)amino]benzoic acid tert-butyl ester 706792-37-2P, 3-(Methoxycarbonyl)-5-(1,1-Dioxoisothiazolidin-2-yl)benzoic acid tert-butyl ester 706792-38-3P, 5-(1,1-Dioxoisothiazolidin-2-yl)isophthalic acid monomethyl ester 706792-39-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-[(methanesulfonyl)oxy]methylbenzoic acid methyl ester 706792-40-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethoxymethyl)benzoic acid 706792-42-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-formylbenzoic acid methyl ester 706792-44-1P, 5-(4-Chlorobutanoylamino)-N,N-dipropylisophthalamide acid methyl ester 706792-45-2P, 5-(5-Chloropentanoylamino)-N,N-dipropylisophthalamide acid methyl ester 706792-47-4P, [(2S,3R)-2-[(tert-Butoxycarbonyl)amino]-3-hydroxyhexanoic acid methyl ester 706792-48-5P, [(S)-1-(Isobutylcarbonyl)-3-(methylsulfonyl)propyl]carbamic acid tert-butyl ester 706792-50-9P, [1-(3-Methoxyphenyl)-1-methylethyl]carbamic acid benzyl ester 706792-51-0P, [(2R,3S)-2-Hydroxy-3-[[1-[3-(2-oxopyrrolidin-1-yl)-5-pentyloxyphenyl]methanoyl]amino]-4-phenylbutyl]carbamic acid benzyl ester 706792-52-1P, Methyl 3-[(3-buten-1-ylsulfonyl)amino]-5-propylbenzoate 706792-54-3P, Methyl 3-[(3-buten-1-ylsulfonyl)(2-propen-1-yl)amino]-5-propylbenzoate 706792-55-4P, Methyl 2-fluoro-5-nitro-3-(2-oxo-1-pyrrolidinyl)benzoate 706792-56-5P, Methyl 3-[bis[(3-chloropropyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate 706792-57-6P, Methyl 3-[bis[(4-chlorobutyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate 706792-58-7P, 3-[[[(3-Chloropropyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoic acid 706792-59-8P, 706792-60-1P, Methyl 3-[[[(4-chlorobutyl)sulfonyl]amino]-2-fluoro-5-nitrobenzoate 706792-61-2P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-nitrobenzoate 706792-62-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-5-nitrobenzoate 706792-63-4P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-methoxy-5-nitrobenzoate 706792-64-5P, Methyl 5-amino-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate 706792-65-6P, Methyl 5-amino-3-(1,1-dioxoisothiazolidin-2-yl)-2-fluorobenzoate 706792-66-7P, Methyl 5-amino-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluorobenzoate 706792-67-8P, Methyl 5-amino-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-methoxybenzoate 706792-68-9P, Methyl 2-fluoro-5-[[[(4-methoxyphenyl)methyl]amino]-3-(2-oxo-1-pyrrolidinyl)benzoate 706792-69-0P, Methyl 5-bromo-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate 706792-70-3P, Methyl 2-fluoro-3-(2-oxo-1-pyrrolidinyl)-5-(1-propen-1-yl)benzoate 706792-71-4P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-(1-propen-1-yl)benzoate 706792-73-6P, Methyl 3-[bis[(4-chlorobutyl)sulfonyl]amino]-4-methoxy-5-(1-propen-1-yl)benzoate 706792-75-8P, 3-[[[(4-Chlorobutyl)sulfonyl]amino]-4-methoxy-5-(1-propen-1-yl)benzoic acid 706792-76-9P, Methyl 3-[(4-chlorobutanoyl)amino]-4-methoxy-5-nitrobenzoate 706792-77-0P, Methyl 3-[(4-chlorobutanoyl)amino]-4-methyl-5-nitrobenzoate 706792-78-1P, Methyl 3-[[[(3-chloropropyl)sulfonyl]amino]-4-methoxy-5-nitrobenzoate 706792-79-2P, Methyl 3-[[[(3-chloropropyl)sulfonyl]amino]-4-methyl-5-nitrobenzoate 706792-80-5P, Methyl 4-methoxy-3-nitro-5-(2-oxo-1-pyrrolidinyl)benzoate 706792-81-6P, Methyl 4-methyl-3-nitro-5-(2-oxo-1-pyrrolidinyl)benzoate 706792-82-7P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-4-methoxy-5-nitrobenzoate 706792-83-8P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methyl-5-nitrobenzoate 706792-84-9P, Methyl 3-amino-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzoate

706792-85-0P, Methyl 3-amino-5-(1,1-dioxoisothiazolidin-2-yl)-4-methoxybenzoate 706792-86-1P, Methyl 3-amino-5-(1,1-dioxoisothiazolidin-2-yl)-4-methylbenzoate 706792-87-2P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methoxybenzoate 706792-88-3P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methylbenzoate 706792-89-4P, 3-Ethyl-7-iodo-1H-indole-5-carboxylic acid ethyl ester 706792-90-7P, 1,1-Dimethylethyl 3-bromo-5-(2-oxo-5-phenyl-1-piperidinyl)benzoate 706792-91-8P, 1,1-Dimethylethyl 3-(2-oxo-5-phenyl-1-piperidinyl)-5-(1-propen-1-yl)benzoate 706792-92-9P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-nitrobenzoate 706792-93-0P, Methyl 3-amino-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzoate 706792-94-1P, Methyl 1-ethyl-4-nitro-1H-indazole-6-carboxylate 706792-95-2P, Methyl 4-amino-1-ethyl-1H-indole-6-carboxylate hydrochloride 706792-97-4P, Methyl 1-ethyl-4-nitro-1H-benzimidazole-6-carboxylate 706792-98-5P, Methyl 4-amino-1-ethyl-1H-benzimidazole-6-carboxylate 706792-99-6P, Methyl 8-nitro-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-00-2P, Methyl 4-ethyl-8-nitro-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-01-3P, Ethyl 3-bromo-4-[(3-methyl-2-buten-1-yl) (trifluoroacetyl) amino]-5-nitrobenzoate 706793-02-4P, Ethyl 3-(1-methylethyl)-7-nitro-1H-indole-5-carboxylate 706793-03-5P, Ethyl 7-amino-3-(1-methylethyl)-1H-indole-5-carboxylate 706793-04-6P, Methyl 4-[(4-chlorobutanoyl) amino]-1H-indole-6-carboxylate 706793-05-7P, Methyl 4-[(4-chlorobutanoyl) amino]-1-ethyl-1H-indazole-6-carboxylate 706793-06-8P, Methyl 8-[(4-chlorobutanoyl) amino]-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-07-9P 706793-09-1P 706793-10-4P, Methyl 4-[(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2,3-dihydro-1H-indole-6-carboxylate hydrochloride 706793-11-5P, Methyl 4-[bis[(3-chloropropyl) sulfonyl] amino]-1-ethyl-1H-indole-6-carboxylate 706793-12-6P, Methyl 4-[bis[(3-chloropropyl) sulfonyl] amino]-1-ethyl-1H-indazole-6-carboxylate 706793-13-7P, Methyl 8-[bis[(3-chloropropyl) sulfonyl] amino]-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706793-14-8P, 4-[[[(3-Chloropropyl) sulfonyl] amino]-1-ethyl-1H-indole-6-carboxylic acid 706793-15-9P, Ethyl 7-[[[(4-chlorobutyl) sulfonyl] amino]-3-ethyl-1H-indole-5-carboxylate 706793-16-0P, Ethyl 7-[[[(3-chloropropyl) sulfonyl] amino]-3-ethyl-1H-indole-5-carboxylate 706793-17-1P 706793-18-2P 706793-19-3P, Methyl 4-[(4-chlorobutanoyl) amino]-1H-1,2,3-benzotriazole-6-carboxylate 706793-20-6P, Methyl 4-nitro-1H-benzimidazole-6-carboxylate 706793-21-7P, Methyl 4-[[[(4-chlorobutyl) sulfonyl] amino]-1H-benzimidazole-6-carboxylate 706793-22-8P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1H-benzimidazole-6-carboxylate 706793-23-9P, Methyl 4-(2-buten-1-yloxy)-3,5-diiodobenzoate 706793-24-0P, Methyl 4-(3-buten-1-yloxy)-3,5-diiodobenzoate 706793-25-1P, Methyl 4-(2-buten-1-yloxy)-3-iodo-5-(2-oxo-1-pyrrolidinyl)benzoate 706793-26-2P, Methyl 4-(3-buten-1-yloxy)-3-iodo-5-(2-oxo-1-pyrrolidinyl)benzoate 706793-27-3P, Methyl 4-(ethoxy)-3-[ethyl (propanoyl) amino]-5-(1-methylethenyl)benzoate 706793-28-4P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-hydroxybenzoate 706793-29-5P, 1,1-Dimethylethyl [1,1-dimethyl-2-(phenoxy) ethyl] carbamate 706793-32-0P, 1-(1-Azidocyclohexyl)-3-methoxybenzene 706793-33-1P, [(1S,2R)-2-Hydroxy-1-(isobutylcarbamoyl) pentyl] carbamic acid tert-butyl ester 706793-34-2P, [(S)-1-Isobutylcarbamoyl-3-(methanesulfonyl) propyl] carbamic acid tert-butyl ester 706793-35-3P, 1,1-Dimethylethyl [(3-ethyl-5-isoxazolyl) methyl] carbamate 706793-36-4P 706793-37-5P, 2-Methyl-1-[(2-methyl-2-propen-1-yl) oxy]-2-propanamine 706793-38-6P, 3-(Methylsulfonyl)-5-(2-oxopyrrolidin-1-yl) benzoic acid methyl ester 706793-39-7P, 3-(Ethylsulfonyl)-5-(2-oxopyrrolidin-1-yl) benzoic acid ethyl ester 706793-40-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylsulfonyl) benzoic acid methyl ester 706793-41-1P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylsulfonyl) benzoic acid ethyl ester

706793-42-2P, 3-Ethoxy-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-43-3P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-ethoxybenzoic acid methyl ester  
 706793-44-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-isopropoxybenzoic acid methyl ester  
 706793-45-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(pyrrolidin-1-yl)benzoic acid methyl ester  
 706793-46-6P, 3-(Morpholin-4-yl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-47-7P, 3-(4-Methylpiperazin-1-yl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-48-8P, 3-(2-Oxopyrrolidin-1-yl)-5-(piperidin-1-yl)benzoic acid methyl ester  
 706793-49-9P, 3-(2-Oxopiperidin-1-yl)-5-(pyrrolidin-1-yl)benzoic acid tert-butyl ester  
 706793-50-2P, 3-(Morpholin-4-yl)-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester  
 706793-51-3P, 3-(2-Oxopiperidin-1-yl)-5-(piperidin-1-yl)benzoic acid tert-butyl ester  
 706793-52-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(morpholin-4-yl)benzoic acid tert-butyl ester  
 706793-53-5P, 3-(2-Oxopyrrolidin-1-yl)-5-(phenylamino)benzoic acid methyl ester  
 706793-54-6P, 3-Ethylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester  
 706793-55-7P, 3-Methylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester  
 706793-56-8P, 3-Methylamino-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester  
 706793-57-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylamino)benzoic acid tert-butyl ester  
 706793-58-0P, 3-(1,1-Dioxo-1,2-thiazinan-2-yl)-5-(ethylamino)benzoic acid tert-butyl ester  
 706793-59-1P, 3-Diethylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-60-4P, 3-Diethylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-61-5P, 3-Butylamino-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-62-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(phenethylamino)benzoic acid methyl ester  
 706793-63-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(propylamino)benzoic acid methyl ester  
 706793-64-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)benzoic acid methyl ester  
 706793-65-9P, 3-(Cyclopropylmethylamino)-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-66-0P, 3-(Isobutylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of hydroxyethylamine derivs for treatment of Alzheimer's disease)

IT 706793-67-1P, 3-(2,2-Dimethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-68-2P, 3-(1-Ethylpropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-69-3P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(isopropylamino)benzoic acid methyl ester  
 706793-70-6P, 3-(Isopropylamino)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-71-7P, 3-(N-Acetyl-N-methylamino)-5-(N-ethyl-N-propionylamino)benzoic acid tert-butyl ester  
 706793-72-8P, 3-[N-(Methanesulfonyl)-N-methylamino]-5-(2-oxopyrrolidin-1-yl)benzoic acid tert-butyl ester  
 706793-73-9P, 3-[(Methanesulfonyl)amino]-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-74-0P, 3-(Methoxycarbonyl)-5-(2-Oxopyrrolidin-1-yl)benzoic acid tert-butyl ester  
 706793-75-1P, 3-Hydroxymethyl-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
 706793-76-2P, 5-(2-Oxopyrrolidin-1-yl)-N-propylisophthalamide acid methyl ester  
 706793-77-3P, 5-(2-Oxopyrrolidin-1-yl)-N,N-dipropylisophthalamide acid methyl ester  
 706793-78-4P, 3-Nitro-5-(2-oxopiperidin-1-yl)benzoic acid methyl ester  
 706793-79-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(fluoromethyl)benzoic acid methyl ester  
 706793-80-8P, 3-[(Dimethylamino)methyl]-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-81-9P, 3-Azidomethyl-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-82-0P, (Z)-3-(1,1-Dioxoisothiazolidin-2-yl)-5-(propenyl)benzoic acid methyl ester  
 706793-83-1P, 3-Cyano-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid methyl ester  
 706793-84-2P, 5-(1,1-Dioxoisothiazolidin-2-yl)-N,N-dipropylisophthalamide acid methyl ester  
 706793-85-3P,

3-(2-Methylpropenyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester  
706793-86-4P, 3-(2-Oxopyrrolidin-1-yl)-5-propylbenzoic acid methyl ester  
706793-87-5P, 3-Cyclopentyl-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl  
ester 706793-88-6P, 3-Ethynyl-5-(2-oxopyrrolidin-1-yl)benzoic acid  
tert-butyl ester 706793-89-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-  
ethynylbenzoic acid tert-butyl ester 706793-90-0P, 3-(2-Oxopiperidin-1-  
yl)-5-propylbenzoic acid tert-butyl ester 706793-91-1P, 706793-92-2P,  
Methyl 5-(ethylamino)-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate  
706793-93-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-  
(ethylamino)-2-fluorobenzoate 706793-94-4P, Methyl 3-(1,1-  
dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluorobenzoate 706793-95-5P,  
Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-  
methoxybenzoate 706793-96-6P, Methyl 2-fluoro-3-(2-oxo-1-pyrrolidinyl)-5-  
propylbenzoate 706793-97-7P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-  
thiazin-2-yl)-2-fluoro-5-propylbenzoate 706793-98-8P, Methyl  
3-(1,1-dioxoisothiazolidin-2-yl)-2-fluoro-5-propylbenzoate 706793-99-9P,  
1,1-Dimethylethyl 3-(2-oxo-5-phenyl-1-piperidinyl)-5-propylbenzoate  
706794-00-5P, Methyl 3-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-  
5-nitrobenzoate 706794-01-6P, Methyl 3-amino-5-(1,1-dioxo-4-  
phenyltetrahydro-2H-1,2-thiazin-2-yl)benzoate 706794-02-7P, Methyl  
3-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-5-  
(ethylamino)benzoate 706794-03-8P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-  
thiazin-2-yl)-5-[(1-methylethyl)amino]benzoate 706794-04-9P,  
1,1-Dimethylethyl 3-[ethyl(methyl)amino]-5-(2-oxo-1-pyrrolidinyl)benzoate  
706794-05-0P, Methyl 3-(ethylamino)-4-methyl-5-(2-oxo-1-  
pyrrolidinyl)benzoate 706794-06-1P, Methyl 3-(1,1-dioxoisothiazolidin-2-  
yl)-5-(ethylamino)-4-methylbenzoate 706794-07-2P, Methyl  
3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-4-  
methylbenzoate 706794-08-3P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-  
thiazin-2-yl)-5-(ethylamino)-4-methoxybenzoate 706794-09-4P, Methyl  
3-(ethylamino)-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzoate 706794-10-7P,  
Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(ethylamino)-4-methoxybenzoate  
706794-11-8P, Methyl 3-(diethylamino)-5-(1,1-dioxotetrahydro-2H-1,2-  
thiazin-2-yl)-4-methylbenzoate 706794-12-9P, Methyl 3-(1,1-  
dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methoxy-5-(1-propen-1-yl)benzoate  
706794-14-1P, Methyl 3-(1,1-dioxoisothiazolidin-2-yl)-5-(2-oxo-1-  
pyrrolidinyl)benzoate 706794-15-2P, Methyl 1-ethyl-4-(2-oxo-1-  
pyrrolidinyl)-1H-indole-6-carboxylate 706794-16-3P, Methyl  
4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylate 706794-17-4P, Methyl  
1-ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxylate 706794-18-5P,  
Methyl 4-ethyl-8-(2-oxo-1-pyrrolidinyl)-1,2,3,4-tetrahydro-6-  
quinoxalinecarboxylate 706794-19-6P, Ethyl 3-ethyl-1-methyl-7-(2-oxo-1-  
pyrrolidinyl)-1H-indole-5-carboxylate 706794-21-0P, Methyl  
4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-indole-6-carboxylate  
706794-22-1P, Ethyl 7-(1,1-dioxoisothiazolidin-2-yl)-3-ethyl-1H-indole-5-  
carboxylate 706794-23-2P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-  
yl)-1-ethyl-2,3-dihydro-1H-indole-6-carboxylate 706794-24-3P, Methyl  
4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-indole-6-  
carboxylate 706794-25-4P, Ethyl 7-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-  
yl)-3-ethyl-1H-indole-5-carboxylate 706794-26-5P, Methyl  
4-(1,1-dioxoisothiazolidin-2-yl)-1-ethyl-1H-benzimidazole-6-carboxylate  
706794-27-6P, Methyl 4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-  
1H-benzimidazole-6-carboxylate 706794-28-7P, Methyl 4-(1,1-  
dioxoisothiazolidin-2-yl)-1-ethyl-1H-indazole-6-carboxylate  
706794-29-8P, Methyl 1-butyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-  
carboxylate 706794-30-1P, Methyl 3-methyl-7-(2-oxo-1-pyrrolidinyl)-1-  
benzofuran-5-carboxylate 706794-32-3P, Ethyl 1-methyl-3-(1-methylethyl)-  
7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylate 706794-34-5P, Methyl  
3-ethyl-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxylate  
706794-35-6P, Ethyl 3-ethyl-7-(2-oxo-1-piperidinyl)-1H-indole-5-

carboxylate 706794-36-7P, Ethyl 3-ethyl-7-(2-oxo-4-phenyl-1-pyrrolidinyl)-1H-indole-5-carboxylate 706794-37-8P 706794-38-9P, Methyl 8-(1,1-dioxoisothiazolidin-2-yl)-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706794-39-0P, 3-(Methylsulfanyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid 706794-40-3P, 3-(Ethylsulfanyl)-5-(2-oxopyrrolidin-1-yl)benzoic acid 706794-41-4P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methylsulfanyl)benzoic acid 706794-42-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylsulfanyl)benzoic acid 706794-43-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methanesulfonyl)benzoic acid 706794-44-7P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethanesulfonyl)benzoic acid 706794-45-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(methoxymethyl)benzoic acid 706794-46-9P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(pyrrolidin-1-yl)benzoic acid 706794-47-0P, 3-(4-Methylpiperazin-1-yl)-5-(2-oxopyrrolidin-1-yl)benzoic acid 706794-48-1P 706794-49-2P, 5-(Ethylamino)-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoic acid 706794-50-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-fluorobenzoic acid 706794-51-6P, 2-Fluoro-3-(2-oxo-1-pyrrolidinyl)-5-propylbenzoic acid 706794-52-7P, 3-(2-Oxo-5-phenyl-1-piperidinyl)-5-propylbenzoic acid 706794-53-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-2-fluorobenzoic acid 706794-54-9P, 3-(1,1-Dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-5-nitrobenzoic acid 706794-55-0P, 3-Amino-5-(1,1-dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)benzoic acid 706794-56-1P, 3-(1,1-Dioxo-4-phenyltetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)benzoic acid 706794-57-2P, 23-Cyclopentyl-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)benzoic acid 706794-58-3P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)amino]benzoic acid 706794-59-4P, 3-[Ethyl(methyl)amino]-5-(2-oxo-1-pyrrolidinyl)benzoic acid 706794-60-7P, 3-(Ethylamino)-4-methyl-5-(2-oxo-1-pyrrolidinyl)benzoic acid 706794-61-8P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-4-methylbenzoic acid 706794-62-9P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-4-methylbenzoic acid 706794-63-0P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-4-methoxybenzoic acid 706794-64-1P, 3-(Ethylamino)-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzoic acid 706794-65-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(ethylamino)-4-methoxybenzoic acid 706794-66-3P, 3-(Diethylamino)-5-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methylbenzoic acid 706794-67-4P 706794-68-5P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethylamino)-2-methoxybenzoic acid 706794-69-6P, 1-Ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylic acid 706794-70-9P, 3-Ethyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylic acid 706794-71-0P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-1H-indole-6-carboxylic acid 706794-72-1P 706794-73-2P, 7-(1,1-Dioxoisothiazolidin-2-yl)-3-ethyl-1-methyl-1H-indole-5-carboxylic acid 706794-74-3P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-indole-6-carboxylic acid 706794-75-4P, 1-Ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-benzimidazole-6-carboxylic acid 706794-76-5P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-1H-benzimidazole-6-carboxylic acid 706794-77-6P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1-ethyl-1H-benzimidazole-6-carboxylic acid 706794-78-7P, 1-Ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-indazole-6-carboxylic acid 706794-79-8P, 4-(1,1-Dioxoisothiazolidin-2-yl)-1-ethyl-1H-indazole-6-carboxylic acid 706794-80-1P, 4-(2-Oxo-1-pyrrolidinyl)-1H-indole-6-carboxylic acid 706794-81-2P, 1-Methyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylic acid 706794-82-3P, 1-Butyl-4-(2-oxo-1-pyrrolidinyl)-1H-indole-6-carboxylic acid 706794-83-4P, 3-Methyl-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxylic acid 706794-85-6P, 3-Methyl-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylic acid 706794-87-8P, 1-Methyl-3-(1-methylethyl)-7-(2-oxo-1-pyrrolidinyl)-1H-indole-5-carboxylic acid 706794-88-9P, 3-Ethyl-7-(2-oxo-1-pyrrolidinyl)-1-benzofuran-5-carboxylic acid 706794-89-0P, 4-Methyl-8-(2-oxo-1-pyrrolidinyl)-3,4-



dihydro-2H-chromene-6-carboxylic acid 706794-90-3P, 3-Ethyl-7-(2-oxo-1-piperidinyl)-1H-indole-5-carboxylic acid 706794-91-4P,  
3-Ethyl-7-(2-oxo-4-phenyl-1-pyrrolidinyl)-1H-indole-5-carboxylic acid 706794-92-5P, 1-Ethyl-4-(2-oxo-1-pyrrolidinyl)-1H-benzotriazole-6-carboxylic acid 706794-93-6P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-[(1-methylethyl)oxy]benzoic acid 706794-94-7P,  
3-Cyclopentyl-5-(1,1-dioxoisothiazolidin-2-yl)benzoic acid 706794-95-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-5-(ethoxy)benzoic acid 706794-96-9P, 4-Ethyl-8-(2-oxo-1-pyrrolidinyl)-1,2,3,4-tetrahydro-6-quinoxalinecarboxylic acid 706794-97-0P, 8-(1,1-Dioxoisothiazolidin-2-yl)-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylic acid 706794-98-1P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-5-propylbenzoic acid 706794-99-2P, 3-(1,1-Dioxoisothiazolidin-2-yl)-2-fluoro-5-propylbenzoic acid 706795-00-8P, 3-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluoro-5-[(1-methylethyl)amino]benzoic acid 706795-01-9P, 5-Cyclopentyl-3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2-fluorobenzoic acid 706795-02-0P, 4-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-1H-indole-6-carboxylic acid 706795-03-1P, (2R,3S)-3-Amino-1-cyclohexylamino-4-phenylbutan-2-ol dihydrochloride 706795-04-2P, (2R,3S)-3-Amino-1-cyclobutylamino-4-phenylbutan-2-ol dihydrochloride 706795-05-3P, (2R,3S)-3-Amino-1-isobutylamino-4-phenylbutan-2-ol dihydrochloride 706795-06-4P, (2R,3S)-3-Amino-4-phenyl-1-propylaminobutan-2-ol dihydrochloride 706795-07-5P, (2R,3S)-3-Amino-4-phenyl-1-(1,1,5-trimethylhexylamino)butan-2-ol dihydrochloride 706795-09-7P 706795-11-1P 706795-12-2P 706795-14-4P 706795-16-6P  
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(2R,3S)-3-Amino-1-[[[(1-ethyl-1H-pyrazol-4-yl)methyl]amino]-4-phenyl-2-butanol dihydrochloride 706795-52-0P, (2R,3S)-3-Amino-1-[[4,4-difluorocyclohexyl]amino]-4-phenyl-2-butanol dihydrochloride 706818-89-5P, Methyl 3-[(4-chlorobutanoyl)amino]-5-(1,1-dioxoisothiazolidin-2-yl)benzoate 706818-90-8P, Methyl 8-amino-4-ethyl-1,2,3,4-tetrahydro-6-quinoxalinecarboxylate 706818-91-9P, Methyl 3-amino-2-fluoro-5-nitrobenzoate 706818-92-0P, Methyl 4-amino-1-ethyl-1H-indazole-6-carboxylate 706818-93-1P, 3-(N-Benzyl-N-ethylamino)-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester 706818-95-3P, Methyl 3-[(4-chlorobutanoyl)amino]-2-fluoro-5-nitrobenzoate 706818-96-4P, Methyl 5-[ethyl[(4-methoxyphenyl)methyl]amino]-2-fluoro-3-(2-oxo-1-pyrrolidinyl)benzoate 706818-97-5P, Methyl 3-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-4-methoxy-5-nitrobenzoate 706818-98-6P, Methyl 3-amino-4-methoxy-5-(2-oxo-1-pyrrolidinyl)benzoate 706818-99-7P, Methyl 4-amino-3-(ethylamino)-5-nitrobenzoate 706819-00-3P, Ethyl 3-bromo-5-nitro-4-[(trifluoroacetyl)amino]benzoate 706819-01-4P, Methyl 1-acetyl-4-(1,1-dioxotetrahydro-2H-1,2-thiazin-2-yl)-2,3-dihydro-1H-indole-6-carboxylate 706819-02-5P, Methyl 4-[bis[(3-chloropropyl)sulfonyl]amino]-1-ethyl-1H-benzimidazole-6-carboxylate 706819-03-6P, Methyl 4-[[[(3-chloropropyl)sulfonyl]amino]-1-ethyl-1H-indole-6-carboxylate 706819-05-8P, Methyl 4-(2-oxo-1-pyrrolidinyl)-1H-benzotriazole-6-carboxylate 706819-06-9P, Methyl 4-methyl-8-(2-oxo-1-pyrrolidinyl)-2H-chromene-6-carboxylate 706819-07-0P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(pyrrolidin-1-yl)benzoic acid tert-butyl ester 706819-08-1P, 3-Dimethylamino-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl ester 706819-09-2P, 3-(2-Oxopyrrolidin-1-yl)-5-(propylamino)benzoic acid methyl ester 706819-10-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(3-methylbutylamino)benzoic acid methyl ester 706819-11-6P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-(pentylamino)benzoic acid methyl ester 706819-12-7P,

5-(1,1-Dioxoisothiazolidin-2-yl)isophthalamic acid methyl ester  
 706819-13-8P, 3-Isopropyl-5-(2-oxopyrrolidin-1-yl)benzoic acid methyl  
 ester 706819-14-9P 706819-15-0P, 3-(2-Oxopyrrolidin-1-yl)-5-  
 (pyrrolidin-1-yl)benzoic acid 706819-16-1P, 3-Ethyl-1-methyl-7-(2-oxo-1-  
 pyrrolidinyl)-1H-indole-5-carboxylic acid 706819-17-2P,  
 7-(1,1-Dioxotetrahydro-2H-1,2-thiazin-2-yl)-3-ethyl-1H-indole-5-carboxylic  
 acid 706819-18-3P 706822-75-5P, 3-(1,1-Dioxoisothiazolidin-2-yl)-5-  
 hydroxymethylbenzoic acid methyl ester 706822-76-6P,  
 5-[(3-Chloropropanesulfonyl)amino]-N,N-dipropylisophthalamic acid methyl  
 ester 706822-77-7P, Methyl 5-bromo-3-(1,1-dioxoisothiazolidin-2-yl)-2-  
 fluorobenzoate 706822-78-8P, Methyl 3-[[[4-chlorobutyl)sulfonyl]amino]-4-  
 methyl-5-nitrobenzoate 706822-79-9P 706822-80-2P, 4-(2-Oxo-1-  
 pyrrolidinyl)-1-pentyl-1H-indole-6-carboxylic acid 706823-06-5P, Methyl  
 3-amino-4-methoxy-5-(1-propen-1-yl)benzoate 706823-07-6P, Methyl  
 4-amino-1H-benzimidazole-6-carboxylate 706823-08-7P,  
 3-Ethylamino-5-(2-oxopiperidin-1-yl)benzoic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer  
 's disease)

IT 706795-69-9P, N-(1-Benzyl-3-cyclohexylamino-2-hydroxypropyl)-3-(2-  
 oxopyrrolidin-1-yl)-5-propylbenzamide

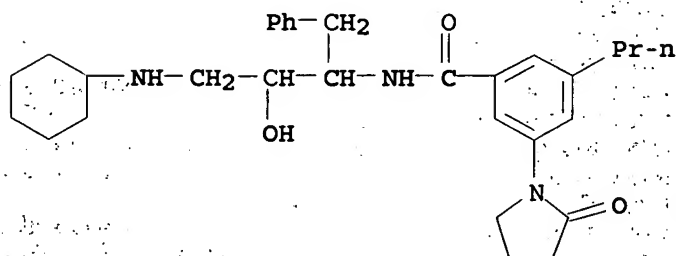
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); PREP  
 (Preparation); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(preparation of hydroxyethylamine derivs. for treatment of Alzheimer  
 's disease)

RN 706795-69-9 HCAPLUS

CN Benzamide, N-[3-(cyclohexylamino)-2-hydroxy-1-(phenylmethyl)propyl]-3-(2-  
 oxo-1-pyrrolidinyl)-5-propyl- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 17 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:493666 HCAPLUS

DN 141:23911

TI Preparation of peptide-related substituted ureas and carbamates for the  
 treatment of Alzheimer's disease

PA Elan Pharmaceutical, Inc., USA; Pharmacia & Upjohn Company, LLC; Pulley,  
 Shon R.; Tucker, John A.

SO PCT Int. Appl., 213 pp.

CODEN: PIXXD2

DT Patent

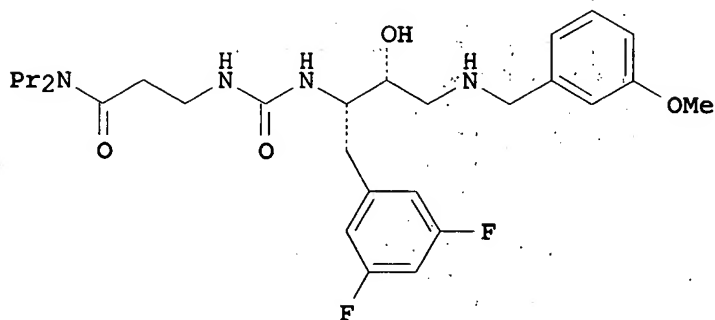
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2004050609 A1 20040617 WO 2003-US37998 20031126  
 WO 2004050609 C1 20050721  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2507484 AA 20040617 CA 2003-2507484 20031126  
 US 2004209925 A1 20041021 US 2003-723220 20031126  
 EP 1565428 A1 20050824 EP 2003-790144 20031126  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 BR 2003016629 A 20051011 BR 2003-16629 20031126  
 PRAI US 2002-429769P P 20021127  
 WO 2003-US37998 W 20031126  
 OS MARPAT 141:23911  
 GI



AB The invention provides compds. RN-T-X-NR20CHR1CH(OH)CR2R3NR20RC [X is CO, CS, S, SO, SO2 or C:N-Z, where Z is R20 or OR20; T is absent, NR20 or O; R20 is H, CN, alk(en)yl, haloalkyl or cycloalkyl; R1 is (CH2)1-2S(O)0-2-alkyl, (un)substituted alk(en)(yn)yl, (hetero)aryl, heterocyclyl, , etc.; RC, RN are (un)substituted alkyl, (hetero)aryl, heterocyclyl, etc.; R2, R3 are H or (un)substituted alkyl (with provisos)] which are inhibitors of the  $\beta$ -secretase enzyme and are useful in the treatment of Alzheimer's disease and related diseases. Thus, compound I was prepared by ring opening of tert-Bu (1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiran-2-yl]ethylcarbamate with 3-methoxybenzylamine, deprotection with TFA, reaction with the product generated from Pr2NCOCH2CH2CO2H, Et3N and (PhO)2P(O)N3, and deprotection.

IC ICM C07C271-20  
 ICS C07C271-52; C07C275-26; C07C311-05; C07D311-68; A61K031-17; A61K031-325; A61K031-63; A61K031-353; A61P025-28

CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1

ST urea carbamate peptide related prepn treatments Alzheimers

IT Brain, disease

(amyloid angiopathy; preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT Hemorrhage  
(cerebral; preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT Mental and behavioral disorders  
(dementia; preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT Brain, disease  
(hemorrhage; preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT Alzheimer's disease  
Amyloidosis  
Cognitive disorders  
Down's syndrome  
Parkinson's disease  
Peptidomimetics  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT Paralysis  
(pseudobulbar; preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT 158736-49-3,  $\beta$  Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT 527719-25-1P 527719-35-3P 676133-41-8P 700866-43-9P  
700866-44-0P 700866-45-1P 700866-46-2P  
700866-47-3P 700866-48-4P 700866-49-5P  
700866-50-8P 700866-51-9P 700866-52-0P  
700866-54-2P 700866-55-3P 700866-56-4P  
700866-57-5P 700866-58-6P 700866-59-7P  
700866-60-0P 700866-61-1P 700866-62-2P  
700866-63-3P 700866-64-4P 700866-65-5P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT 108-30-5, Succinic anhydride, reactions 142-84-7, Dipropylamine  
5071-96-5, 3 Methoxybenzylamine 186639-32-7 388071-27-0 388072-50-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

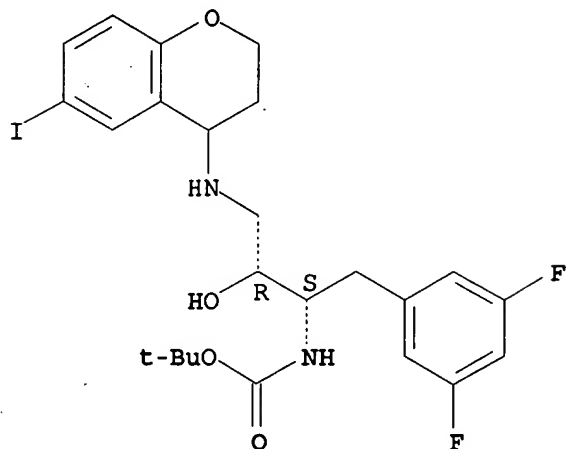
IT 76197-44-9P 676133-39-4P 676133-40-7P 700866-66-6P 700866-67-7P  
700866-69-9P 700866-70-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

IT 676133-41-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide-related substituted ureas and carbamates for treatment of Alzheimer's disease)

RN 676133-41-8 HCAPLUS

CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3,4-dihydro-6-iodo-2H-1-benzopyran-4-yl)amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 18 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:428903 HCAPLUS  
DN 141:6920  
TI Preparation of phenylcarboxamide derivatives as  $\beta$ -secretase  
inhibitors for the treatment of Alzheimer's disease  
IN Coburn, Craig A.; Stachel, Shawn J.; Vacca, Joseph P.  
PA Merck & Co., Inc., USA  
SO PCT Int. Appl., 65 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043916	A1	20040527	WO 2003-US35316	20031106
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
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	CA 2505098	AA	20040527	CA 2003-2505098	20031106
	EP 1562897	A1	20050817	EP 2003-768700	20031106
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PRAI	US 2002-425555P	P	20021112		
	US 2002-425560P	P	20021112		
	WO 2003-US35316	W	20031106		
OS	MARPAT 141:6920				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [R2 = R4-S(O)m-NR5-, R4-S(O)m-, R4NHCO-, R4CONH-, R4R5N-, CN, halo, etc.; R4, R5 = H, C1-C6alkyl, Ph or benzyl; R6a, R6b, R6c = H, halo, -OR5, -SR5 or C1-C6alkyl; X1 = H; X2 = OH, or X1, X2 = oxo; Z = CO, CH-OH, CH-F, or ethylene ketal; n = 1-4; m = 0-2] were prepared as  $\beta$ -secretase inhibitors for the treatment or prevention of diseases, such as Alzheimer's disease. For example, compound II was prepared from di-Me 5-aminoisophthalate in a multi-step synthesis. The compds. of the invention exhibited inhibiting activity against  $\beta$ -secretase with an IC50 from about 1nM to 1  $\mu$ M.

IC ICM C07D207-00  
ICS A61K031-40

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1, 63

ST phenylcarboxamide deriv prepn beta secretase inhibitor treatment  
Alzheimer disease

IT Human  
(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT Alzheimer's disease  
(treatment of; preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 695215-64-6P 695215-65-7P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 695215-39-5P 695215-41-9P 695215-43-1P 695215-45-3P 695215-46-4P  
695215-47-5P 695215-48-6P 695215-49-7P 695215-50-0P 695215-51-1P  
695215-53-3P 695215-55-5P 695215-57-7P 695215-59-9P 695215-61-3P  
695215-63-5P 695215-67-9P 695215-68-0P 695215-70-4P 695215-71-5P  
695215-72-6P 695215-73-7P 695215-74-8P 695215-75-9P 695215-76-0P  
695215-77-1P 695215-78-2P 695215-79-3P 695215-80-6P 695215-81-7P  
695215-82-8P 695215-83-9P 695215-84-0P 695215-85-1P 695215-86-2P  
695215-87-3P 695215-88-4P 695215-89-5P 695215-90-8P 695215-91-9P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 74-88-4, reactions 99-27-4 100-51-6, Benzyl alcohol, reactions 124-63-0, Methanesulfonyl chloride 358-23-6, Triflic anhydride 541-41-3, Ethyl chloroformate 618-84-8 765-30-0, Cyclopropanamine 2150-44-9 3355-28-0 3886-69-9 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 7051-34-5 7677-24-9 13036-02-7 14799-82-7, Cyclopropylmethyltriphenylphosphonium bromide 29576-14-5 75844-69-8 86953-79-9 98737-29-2 111060-64-1 123387-51-9 137496-71-0 138642-62-3 374898-01-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 62814-45-3P 178906-99-5P 695215-92-0P 695215-93-1P 695215-94-2P

695215-95-3P 695215-96-4P 695215-97-5P 695215-98-6P  
695215-99-7P 695216-00-3P 695216-01-4P 695216-02-5P 695216-03-6P  
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695216-28-5P 695216-29-6P 695216-30-9P 695216-31-0P  
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695216-36-5P 695216-37-6P 695216-38-7P 695216-39-8P 695216-40-1P  
695216-41-2P 695216-42-3P 695216-43-4P 695216-44-5P  
695216-45-6P 695216-46-7P 695216-47-8P 695216-48-9P  
695216-49-0P 695216-50-3P 695216-51-4P 695216-52-5P 695216-53-6P  
695216-54-7P 695216-55-8P 695216-56-9P 695216-57-0P 695216-58-1P  
695216-59-2P 695216-60-5P 695216-61-6P 695216-62-7P 695216-63-8P  
695216-64-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

IT 695215-98-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

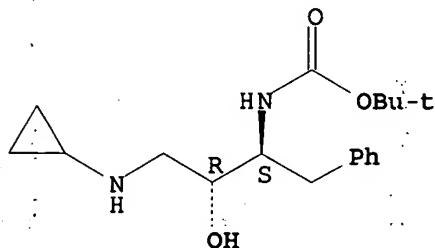
(Preparation); RACT (Reactant or reagent)

(preparation of phenylcarboxamide derivs. as  $\beta$ -secretase inhibitors for the treatment of Alzheimer's disease)

RN 695215-98-6 HCAPLUS

CN Carbamic acid, [(1S,2R)-3-(cyclopropylamino)-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 19 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:220301 HCAPLUS

DN 140:270550

TI A preparation of 1,3-diamino-2-hydroxypropane derivatives as beta-secretase enzyme inhibitors

IN Fobian, Yvette M.; Freskos, John N.; Jagodzinska, Barbara

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn

SO PCT Int. Appl., 535 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004022523	A2	20040318	WO 2003-US28116	20030908

WO 2004022523 A3 20040910  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2497979 AA 20040318 CA 2003-2497979 20030908  
US 2004214890 A1 20041028 US 2003-657567 20030908  
EP 1534693 A2 20050601 EP 2003-749520 20030908  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
BR 2003014071 A 20050705 BR 2003-14071 20030908  
NO 2005001189 A 20050510 NO 2005-1189 20050304  
PRAI US 2002-408783P P 20020906  
WO 2003-US28116 W 20030908  
OS MARPAT 140:270550  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to diamino(hydroxy)propane derivs. of formula I [wherein: R1 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl) or (un)substituted (cyclo)alkyl, alk(en/yn)yl, (hetero)aryl, etc.; R2 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, C2-6 alk(en/yn)yl, etc.; R3 = H, C1-6 alkyl optionally substituted with 1-3 substituents, (CH2)0-4-(hetero)aryl, etc.; R4 = C1-10 alkyl optionally substituted with 1-3 substituents, -(CH2)0-3-cycloalkyl, -(CR7R8)0-4-(hetero)aryl, etc.; one of R5 and R6 is H and the other is -C(O)(CR9R10)1-6-X-R11, etc.; R7 and R8 are independently selected from H, alkyl, hydroxyalkyl, alk(en/yn)yl, etc.; R9 and R10 are independently selected from H or C1-10 alkyl; R11 = (hetero)aryl, optionally substituted C1-10 alkyl, or C3-8 cycloalkyl, etc.; X = O, S, SO2, etc.]. Comps. I include inhibitors of beta-secretase enzyme useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta-peptide in a mammal. Biol. examples include beta-secretase inhibition, assays using synthetic oligopeptide-substrates, inhibition of A beta production in human patients, etc. For instance, compound II (preparation 8) was prepared via amidation of benzoic acid derivative III by diamino(hydroxy)propane derivative IV and subsequent Boc-cleavage (no yield data). Using 19F-NMR an intramol. acyl-migration was observed when compound II was dissolved in DMSO-d6 and pH 4 buffer solution was added.

IC ICM C07C215-00  
CC 23-4 (Aliphatic Compounds)  
Section cross-reference(s): 1, 63  
ST hydroxypropane diamino prepn beta secretase inhibitor Alzheimer;  
benzoic acid deriv diamino propane esterification cleavage  
IT Parkinson's disease  
(Guamanian parkinsonism-dementia, treatment of; preparation of  
diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)  
IT Alzheimer's disease  
(Lewy-body variant, treatment of; preparation of diamino(hydroxy)propane  
derivs. useful as beta-secretase inhibitors)

- IT Brain, disease  
(amyloid angiopathy, treatment of; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Brain, disease  
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Mental and behavioral disorders  
(dementia, degenerative, treatment of; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Amines, preparation  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(diamines, aliphatic; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Anti-Alzheimer's agents  
Antiparkinsonian agents  
Esterification  
Human  
(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Acylation  
(transacylation, intramol.; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Alzheimer's disease  
Down's syndrome  
(treatment of; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT 674311-10-5P 674311-20-7P 674311-21-8P 674311-22-9P 674311-24-1P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(intermediate; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT 288-42-6, Oxazole  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(intermediate; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)
- IT 93116-99-5P, 3-Iodo-5-(methoxycarbonyl)benzoic acid 388071-25-8P, tert-Butyl [(1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropyl]carbamate 388071-26-9P, tert-Butyl [(1S,2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropyl]carbamate 388071-27-0P, tert-Butyl [(1S)-2-(3,5-difluorophenyl)-1-[(2S)-oxiranyl]ethyl]carbamate 597561-63-2P 597563-26-3P 597564-19-7P 674311-03-6P 674311-07-0P 674311-09-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)



IT 674311-05-8P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 477793-11-6P 527716-85-4P 527716-94-5P 527719-92-2P  
597559-71-2P 674311-11-6P 674311-12-7P 674311-13-8P 674311-14-9P  
674311-15-0P 674311-17-2P 674311-18-3P 674311-19-4P 674311-23-0P  
674311-25-2P 674311-26-3P 674311-27-4P 674311-28-5P 674311-29-6P  
674311-30-9P 674311-31-0P 674311-32-1P 674311-33-2P 674311-34-3P  
674311-35-4P 674311-36-5P 674311-37-6P 674311-38-7P 674311-39-8P  
674311-40-1P 674311-41-2P 674311-42-3P 674311-43-4P 674311-44-5P  
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674311-55-8P 674311-56-9P 674311-57-0P 674311-58-1P 674311-59-2P  
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674311-70-7P 674311-71-8P 674311-72-9P 674311-73-0P 674311-74-1P  
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674311-85-4P 674311-86-5P 674311-87-6P 674311-88-7P 674311-89-8P  
674311-90-1P 674311-91-2P 674311-92-3P 674311-94-5P 674311-95-6P  
674311-96-7P 674311-97-8P 674311-98-9P 674311-99-0P 674312-00-6P  
674312-01-7P 674312-02-8P 674312-03-9P 674312-04-0P 674312-05-1P  
674312-06-2P 674312-07-3P 674312-08-4P 674312-09-5P 674312-10-8P  
674312-11-9P 674312-12-0P 674312-13-1P 674312-14-2P 674312-15-3P  
674312-16-4P 674312-17-5P 674312-18-6P 674312-19-7P 674312-20-0P  
674312-21-1P 674312-22-2P 674312-23-3P 674312-24-4P 674312-25-5P  
674312-26-6P 674312-27-7P 674312-28-8P 674312-29-9P 674312-30-2P  
674312-31-3P 674312-32-4P 674312-33-5P 674312-34-6P 674312-35-7P  
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674312-52-8P 674312-53-9P 674312-54-0P 674312-55-1P 674312-56-2P  
674312-57-3P 674312-58-4P 674312-59-5P 674312-60-8P 674312-61-9P  
674312-62-0P 674312-63-1P 674312-64-2P 674312-65-3P 674312-66-4P  
674312-67-5P 674312-68-6P 674312-69-7P 674312-70-0P 674312-71-1P  
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674313-49-6P 674313-50-9P 674313-51-0P 674313-52-1P 674313-53-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 674313-54-3P 674313-55-4P 674313-56-5P 674313-57-6P 674313-58-7P  
674313-59-8P 674313-60-1P 674313-61-2P 674313-62-3P 674313-63-4P

674313-64-5P	674313-66-7P	674313-67-8P	674313-68-9P	674313-69-0P
674313-70-3P	674313-72-5P	674313-74-7P	674313-75-8P	674313-76-9P
674313-77-0P	674313-78-1P	674313-79-2P	674313-80-5P	674313-81-6P
674313-82-7P	674313-83-8P	674313-84-9P	674313-85-0P	674313-86-1P
674313-87-2P	674313-88-3P	674313-89-4P	674313-90-7P	674313-91-8P
674313-92-9P	674313-93-0P	674313-94-1P	674313-95-2P	674313-96-3P
674313-97-4P	674313-98-5P	674313-99-6P	674314-00-2P	674314-01-3P
674314-02-4P	674314-03-5P	674314-04-6P	674314-05-7P	674314-06-8P
674314-07-9P	674314-08-0P	674314-09-1P	674314-10-4P	674314-11-5P
674314-12-6P	674314-13-7P	674314-14-8P	674314-15-9P	674314-16-0P
674314-17-1P	674314-18-2P	674314-19-3P	674314-20-6P	674314-21-7P
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674314-32-0P	674314-33-1P	674314-34-2P	674314-35-3P	674314-36-4P
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674314-43-3P	674314-44-4P	674314-45-5P	674314-46-6P	674314-47-7P
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674314-63-7P	674314-64-8P	674314-65-9P	674314-66-0P	674314-67-1P
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674314-78-4P	674314-79-5P	674314-80-8P	674314-81-9P	674314-82-0P
674314-83-1P	674314-84-2P	674314-85-3P	674314-86-4P	674314-87-5P
674314-88-6P	674314-89-7P	674314-90-0P	674314-91-1P	674314-92-2P
674314-93-3P	674314-94-4P	674314-95-5P	674314-96-6P	674314-97-7P
674314-98-8P	674314-99-9P	674315-00-5P	674315-01-6P	674315-02-7P
674315-03-8P	674315-04-9P	674315-05-0P	674315-06-1P	674315-07-2P
674315-08-3P	674315-09-4P	674315-10-7P	674315-11-8P	674315-12-9P
674315-13-0P	674315-14-1P	674315-15-2P	674315-16-3P	674315-17-4P
674315-18-5P	674315-19-6P	674315-20-9P	674315-21-0P	674315-22-1P
674315-23-2P	674315-24-3P	674315-25-4P	674315-26-5P	674315-27-6P
674315-28-7P	674315-30-1P	674315-31-2P	674315-32-3P	674315-33-4P
674315-34-5P	674315-35-6P	674315-36-7P	674315-37-8P	674315-38-9P
674315-39-0P	674315-40-3P	674315-41-4P	674315-42-5P	674315-43-6P
674315-44-7P	674315-45-8P	674315-46-9P	674315-47-0P	674315-48-1P
674315-49-2P	674315-50-5P	674315-51-6P	674315-52-7P	674315-53-8P
674315-54-9P	674315-55-0P	674315-56-1P	674315-57-2P	674315-58-3P
674315-59-4P	674315-60-7P	674315-61-8P	674315-63-0P	674315-64-1P
674315-65-2P	674315-66-3P	674315-67-4P	674315-68-5P	674315-69-6P
674315-70-9P	674315-71-0P	674315-72-1P	674315-73-2P	674315-74-3P
674315-75-4P	674315-76-5P	674315-77-6P	674315-78-7P	674315-79-8P
674315-80-1P	674315-81-2P	674315-82-3P	674315-83-4P	674315-84-5P
674315-85-6P	674315-86-7P	674315-87-8P	674315-88-9P	674315-89-0P
674315-90-3P	674315-91-4P	674315-92-5P	674315-93-6P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase  
inhibitors)

IT 674315-94-7P	674315-95-8P	674315-96-9P	674315-97-0P	674315-98-1P
674315-99-2P	674316-00-8P	674316-01-9P	674316-02-0P	674316-03-1P
674316-04-2P	674316-05-3P	674316-06-4P	674316-07-5P	674316-08-6P
674316-09-7P	674316-10-0P	674316-11-1P	674316-12-2P	674316-13-3P
674316-14-4P	674316-15-5P	674316-16-6P	674316-17-7P	674316-18-8P
674316-19-9P	674316-21-3P	674316-22-4P	674316-23-5P	674316-24-6P
674316-25-7P	674316-26-8P	674316-27-9P	674316-28-0P	674316-29-1P
674316-30-4P	674316-31-5P	674316-32-6P	674316-33-7P	674316-34-8P
674316-35-9P	674316-36-0P	674316-37-1P	674316-38-2P	674316-39-3P
674316-40-6P	674316-41-7P	674316-42-8P	674316-43-9P	674316-44-0P

674316-45-1P	674316-47-3P	674316-49-5P	674316-51-9P	674316-53-1P
674316-55-3P	674316-57-5P	674316-59-7P	674316-62-2P	674316-63-3P
674316-64-4P	674316-65-5P	674316-66-6P	674316-67-7P	674316-68-8P
674316-69-9P	674316-70-2P	674316-71-3P	674316-72-4P	674316-73-5P
674316-74-6P	674316-75-7P	674316-76-8P	674316-77-9P	674316-78-0P
674316-79-1P	674316-80-4P	674316-81-5P	674316-82-6P	674316-83-7P
674316-84-8P	674316-85-9P	674316-86-0P	674316-87-1P	674316-88-2P
674316-89-3P	674316-90-6P	674316-91-7P	674316-92-8P	674316-93-9P
674316-94-0P	674316-95-1P	674316-96-2P	674316-97-3P	674316-98-4P
674316-99-5P	674317-00-1P	674317-01-2P	674317-02-3P	674317-03-4P
674317-04-5P	674317-05-6P	674317-06-7P	674317-07-8P	674317-08-9P
674317-09-0P	674317-10-3P	674317-11-4P	674317-12-5P	674317-13-6P
674317-14-7P	674317-15-8P	674317-16-9P	674317-17-0P	674317-18-1P
674317-20-5P	674317-21-6P	674317-22-7P	674317-23-8P	674317-24-9P
674317-25-0P	674317-26-1P	674317-27-2P	674317-28-3P	674317-29-4P
674317-30-7P	674317-31-8P	674317-32-9P	674317-33-0P	674317-34-1P
674317-35-2P	674317-36-3P	674317-37-4P	674317-38-5P	674317-39-6P
674317-40-9P	674317-41-0P	674317-42-1P	674317-43-2P	674317-44-3P
674317-45-4P	674317-46-5P	674317-47-6P	674317-48-7P	674317-49-8P
674317-50-1P	674317-51-2P	674317-52-3P	674317-53-4P	674317-54-5P
674317-55-6P	674317-56-7P	674317-57-8P	674317-58-9P	674317-59-0P
674317-60-3P	674317-61-4P	674317-62-5P	674317-63-6P	674317-64-7P
674317-65-8P	674317-66-9P	674317-67-0P	674317-68-1P	674317-69-2P
674317-70-5P	674317-71-6P	674317-72-7P	674317-73-8P	674317-74-9P
674317-75-0P	674317-76-1P	674317-77-2P	674317-78-3P	674317-79-4P
674317-80-7P	674317-81-8P	674317-82-9P	674317-83-0P	674317-84-1P
674317-85-2P	674317-86-3P	674317-87-4P	674317-88-5P	674317-89-6P
674317-90-9P	674317-91-0P	674317-92-1P	674317-93-2P	674317-94-3P
674317-95-4P	674317-96-5P	674317-97-6P	674317-98-7P	674317-99-8P
674318-00-4P	674318-01-5P	674318-02-6P	674318-03-7P	674318-04-8P
674318-05-9P	674318-06-0P	674318-07-1P	674318-08-2P	674318-09-3P
674318-10-6P	674318-11-7P	674318-12-8P	674318-13-9P	674318-14-0P
674318-15-1P	674318-16-2P	674318-17-3P	674318-18-4P	674318-19-5P
674318-20-8P	674318-21-9P	674318-22-0P	674318-23-1P	674318-24-2P
674318-25-3P	674318-26-4P	674318-27-5P	674318-28-6P	674318-29-7P
674318-30-0P	674318-31-1P	674318-32-2P	674318-33-3P	674318-34-4P
674318-35-5P	674318-36-6P	674318-37-7P	674318-38-8P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase  
inhibitors)

IT 674318-39-9P	674318-40-2P	674318-41-3P	674318-42-4P	674318-43-5P
674318-44-6P	674318-45-7P	674318-46-8P	674318-47-9P	674318-48-0P
674318-49-1P	674318-50-4P	674318-51-5P	674318-52-6P	674318-53-7P
674318-54-8P	674318-55-9P	674318-56-0P	674318-57-1P	674318-58-2P
674318-59-3P	674318-60-6P	674318-61-7P	674318-62-8P	674318-63-9P
674318-64-0P	674318-65-1P	674318-66-2P	674318-67-3P	674318-68-4P
674318-69-5P	674318-70-8P	674318-71-9P	674318-72-0P	674318-73-1P
674318-74-2P	674318-75-3P	674318-76-4P	674318-77-5P	674318-78-6P
674318-79-7P	674318-80-0P	674318-81-1P	674318-82-2P	674318-83-3P
674318-84-4P	674318-85-5P	674318-86-6P	674318-87-7P	674318-88-8P
674318-89-9P	674318-90-2P	674318-91-3P	674318-92-4P	674318-93-5P
674318-94-6P	674318-95-7P	674318-96-8P	674318-97-9P	674318-98-0P
674318-99-1P	674319-00-7P	674319-01-8P	674319-02-9P	674319-03-0P
674319-04-1P	674319-05-2P	674319-06-3P	674319-07-4P	674319-08-5P
674319-09-6P	674319-10-9P	674319-11-0P	674319-12-1P	674319-13-2P
674319-14-3P	674319-15-4P	674319-16-5P	674319-17-6P	674319-18-7P
674319-19-8P	674319-20-1P	674319-21-2P	674319-22-3P	674319-23-4P
674319-24-5P	674319-25-6P	674319-26-7P	674319-27-8P	674319-28-9P

674319-29-0P	674319-30-3P	674319-31-4P	674319-32-5P	674319-33-6P
674319-34-7P	674319-35-8P	674319-36-9P	674319-37-0P	674319-38-1P
674319-39-2P	674319-40-5P	674319-41-6P	674319-42-7P	674319-43-8P
674319-44-9P	674319-45-0P	674319-46-1P	674319-47-2P	674319-48-3P
674319-49-4P	674319-50-7P	674319-51-8P	674319-52-9P	674319-53-0P
674319-54-1P	674319-55-2P	674319-56-3P	674319-57-4P	674319-58-5P
674319-59-6P	674319-60-9P	674319-61-0P	674319-62-1P	674319-63-2P
674319-64-3P	674319-65-4P	674319-66-5P	674319-67-6P	674319-68-7P
674319-69-8P	674319-70-1P	674319-72-3P	674319-73-4P	674319-75-6P
674319-78-9P	674319-81-4P	674319-82-5P	674319-83-6P	674319-84-7P
674319-85-8P	674319-86-9P	674319-88-1P	674319-91-6P	674319-93-8P
674319-96-1P	674319-98-3P	674320-00-4P	674320-02-6P	674320-04-8P
674320-06-0P	674320-08-2P	674320-10-6P	674320-11-7P	674320-12-8P
674320-13-9P	674320-14-0P	674320-15-1P	674320-16-2P	674320-17-3P
674320-18-4P	674320-19-5P	674320-20-8P	674320-21-9P	674320-22-0P
674320-23-1P	674320-24-2P	674320-25-3P	674320-26-4P	674320-27-5P
674320-28-6P	674320-29-7P	674320-30-0P	674320-31-1P	674320-32-2P
674320-33-3P	674320-34-4P	674320-35-5P	674320-36-6P	674320-37-7P
674320-38-8P	674320-39-9P	674320-40-2P	674320-41-3P	674320-42-4P
674320-43-5P	674320-44-6P	674320-45-7P	674320-46-8P	674320-47-9P
674320-48-0P	674320-49-1P	674320-50-4P	674320-51-5P	674320-52-6P
674320-53-7P	674320-54-8P	674320-55-9P	674320-56-0P	674320-57-1P
674320-58-2P	674320-59-3P	674320-60-6P	674320-61-7P	674320-62-8P
674320-63-9P	674320-64-0P	674320-65-1P	674320-66-2P	674320-67-3P
674320-68-4P	674320-69-5P	674320-70-8P	674320-71-9P	674320-72-0P
674320-73-1P	674320-74-2P	674320-75-3P	674320-76-4P	674320-77-5P
674320-78-6P	674320-79-7P	674320-80-0P	674320-81-1P	674320-82-2P
674320-83-3P	674320-84-4P	674320-85-5P	674320-86-6P	674320-87-7P
674320-88-8P	674320-89-9P	674320-90-2P	674320-91-3P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase  
inhibitors)

IT	674320-92-4P	674320-93-5P	674320-94-6P	674320-95-7P	674320-96-8P
	674320-97-9P	674320-98-0P	674320-99-1P	674321-00-7P	674321-01-8P
	674321-02-9P	674321-03-0P	674321-04-1P	674321-05-2P	674321-06-3P
	674321-07-4P	674321-08-5P	674321-09-6P	674321-10-9P	674321-11-0P
	674321-12-1P	674321-13-2P	674321-14-3P	674321-15-4P	674321-16-5P
	674321-17-6P	674321-18-7P	674321-19-8P	674321-20-1P	674321-21-2P
	674321-22-3P	674321-23-4P	674321-24-5P	674321-25-6P	674321-26-7P
	674321-27-8P	674321-28-9P	674321-29-0P	674321-30-3P	674321-31-4P
	674321-32-5P	674321-33-6P	674321-34-7P	674321-35-8P	674321-36-9P
	674321-37-0P	674321-38-1P	674321-39-2P	674321-40-5P	674321-41-6P
	674321-42-7P	674321-43-8P	674321-44-9P	674321-45-0P	674321-46-1P
	674321-47-2P	674321-48-3P	674321-49-4P	674321-50-7P	674321-51-8P
	674321-52-9P	674321-53-0P	674321-54-1P	674321-55-2P	674321-56-3P
	674321-57-4P	674321-58-5P	674321-59-6P	674321-60-9P	674321-61-0P
	674321-62-1P	674321-63-2P	674321-64-3P	674321-65-4P	674321-66-5P
	674321-67-6P	674321-68-7P	674321-69-8P	674321-70-1P	674321-71-2P
	674321-72-3P	674321-73-4P	674321-74-5P	674321-75-6P	674321-76-7P
	674321-77-8P	674321-78-9P	674321-79-0P	674321-80-3P	674321-81-4P
	674321-82-5P	674321-83-6P	674321-84-7P	674321-85-8P	674321-86-9P
	674321-87-0P	674321-88-1P	674321-89-2P	674321-90-5P	674321-91-6P
	674321-92-7P	674321-93-8P	674321-94-9P	674321-95-0P	674321-96-1P
	674321-97-2P	674321-98-3P	674321-99-4P	674322-00-0P	674322-01-1P
	674322-02-2P	674322-03-3P	674322-04-4P	674322-05-5P	674322-06-6P
	674322-07-7P	674322-08-8P	674322-09-9P	674322-10-2P	674322-11-3P
	674322-12-4P	674322-13-5P	674322-14-6P	674322-15-7P	674322-16-8P
	674322-17-9P	674322-18-0P	674322-19-1P	674322-20-4P	674322-21-5P

674322-22-6P	674322-23-7P	674322-24-8P	674322-25-9P	674322-26-0P
674322-27-1P	674322-28-2P	674322-29-3P	674322-30-6P	674322-31-7P
674322-32-8P	674322-33-9P	674322-34-0P	674322-35-1P	674322-36-2P
674322-37-3P	674322-38-4P	674322-39-5P	674322-40-8P	674322-41-9P
674322-42-0P	674322-43-1P	674322-44-2P	674322-45-3P	674322-46-4P
674322-47-5P	674322-48-6P	674322-49-7P	674322-50-0P	674322-51-1P
674322-52-2P	674322-53-3P	674322-54-4P	674322-55-5P	674322-56-6P
674322-58-8P	674322-59-9P	674322-61-3P	674322-63-5P	674322-65-7P
674322-67-9P	674322-68-0P	674322-70-4P	674322-72-6P	674322-74-8P
674322-76-0P	674322-77-1P	674322-79-3P	674322-81-7P	674322-83-9P
674322-85-1P	674322-87-3P	674322-89-5P	674322-91-9P	674322-93-1P
674322-96-4P	674322-99-7P	674323-02-5P	674323-05-8P	674323-08-1P
674323-11-6P	674323-14-9P	674323-16-1P	674323-19-4P	674323-23-0P
674323-26-3P	674323-30-9P	674323-33-2P	674323-35-4P	674323-38-7P
674323-40-1P	674323-42-3P	674323-43-4P	674323-44-5P	674323-45-6P
674323-46-7P	674323-47-8P	674323-48-9P	674323-49-0P	674323-50-3P
674323-51-4P	674323-52-5P	674323-53-6P	674323-54-7P	674323-55-8P
674323-56-9P	674323-57-0P	674323-58-1P	674323-59-2P	674323-60-5P
674323-61-6P	674323-62-7P	674323-63-8P	674323-64-9P	674323-65-0P
674323-66-1P	674323-67-2P	674323-68-3P	674323-69-4P	674323-70-7P
674323-71-8P	674323-72-9P	674323-73-0P	674323-74-1P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 674323-75-2P	674323-76-3P	674323-77-4P	674323-78-5P	674323-79-6P
674323-80-9P	674323-81-0P	674323-82-1P	674323-83-2P	674323-84-3P
674323-85-4P	674323-86-5P	674323-87-6P	674323-88-7P	674323-89-8P
674323-90-1P	674323-91-2P	674323-92-3P	674323-93-4P	674323-94-5P
674323-95-6P	674323-96-7P	674323-97-8P	674323-98-9P	674323-99-0P
674324-00-6P	674324-01-7P	674324-02-8P	674324-03-9P	674324-04-0P
674324-05-1P	674324-06-2P	674324-07-3P	674324-08-4P	674324-09-5P
674324-10-8P	674324-11-9P	674324-12-0P	674324-13-1P	674324-14-2P
674324-15-3P	674324-16-4P	674324-17-5P	674324-18-6P	674324-19-7P
674324-20-0P	674324-21-1P	674324-22-2P	674324-23-3P	674324-24-4P
674324-25-5P	674324-26-6P	674324-27-7P	674324-28-8P	674324-29-9P
674324-30-2P	674324-31-3P	674324-32-4P	674324-33-5P	674324-34-6P
674324-35-7P	674324-36-8P	674324-38-0P	674324-39-1P	674324-40-4P
674324-41-5P	674324-42-6P	674324-43-7P	674324-44-8P	674324-45-9P
674324-46-0P	674324-47-1P	674324-48-2P	674324-49-3P	674324-50-6P
674324-51-7P	674324-52-8P	674324-53-9P	674324-54-0P	674324-55-1P
674324-56-2P	674324-57-3P	674324-58-4P	674324-59-5P	674324-60-8P
674324-61-9P	674324-62-0P	674324-63-1P	674324-64-2P	674324-65-3P
674324-66-4P	674324-67-5P	674324-68-6P	674324-69-7P	674324-70-0P
674324-71-1P	674324-72-2P	674324-73-3P	674324-74-4P	674324-75-5P
674324-76-6P	674324-77-7P	674324-78-8P	674324-79-9P	674324-80-2P
674324-81-3P	674324-82-4P	674324-83-5P	674324-84-6P	674324-85-7P
674324-86-8P	674324-87-9P	674324-88-0P	674324-89-1P	674324-90-4P
674324-91-5P	674324-92-6P	674324-93-7P	674324-94-8P	674324-95-9P
674324-96-0P	674324-97-1P	674324-98-2P	674324-99-3P	674325-00-9P
674325-01-0P	674325-02-1P	674325-03-2P	674325-04-3P	674325-05-4P
674325-06-5P	674325-07-6P	674325-08-7P	674325-09-8P	674325-10-1P
674325-11-2P	674325-12-3P	674325-13-4P	674325-14-5P	674325-15-6P
674325-16-7P	674325-17-8P	674325-18-9P	674325-19-0P	674325-20-3P
674325-21-4P	674325-22-5P	674325-23-6P	674325-24-7P	674325-25-8P
674325-26-9P	674325-27-0P	674325-28-1P	674325-29-2P	674325-30-5P
674325-31-6P	674325-32-7P	674325-33-8P	674325-34-9P	674325-35-0P
674325-36-1P	674325-37-2P	674325-38-3P	674325-39-4P	674325-40-7P
674325-41-8P	674325-42-9P	674325-43-0P	674325-44-1P	674325-45-2P

674325-46-3P	674325-47-4P	674325-48-5P	674325-49-6P	674325-50-9P
674325-51-0P	674325-52-1P	674325-53-2P	674325-54-3P	674325-55-4P
674325-56-5P	674325-57-6P	674325-58-7P	674325-59-8P	674325-60-1P
674325-61-2P	674325-62-3P	674325-63-4P	674325-64-5P	674325-65-6P
674325-66-7P	674325-67-8P	674325-68-9P	674325-69-0P	674325-70-3P
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674326-25-1P	674326-26-2P	674326-27-3P	674326-28-4P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 674326-29-5P	674326-30-8P	674326-31-9P	674326-32-0P	674326-33-1P
674326-34-2P	674326-35-3P	674326-36-4P	674326-37-5P	674326-38-6P
674326-39-7P	674326-40-0P	674326-41-1P	674326-42-2P	674326-43-3P
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674326-64-8P	674326-65-9P	674326-66-0P	674326-67-1P	674326-68-2P
674326-69-3P	674326-70-6P	674326-71-7P	674326-72-8P	674326-73-9P
674326-74-0P	674326-75-1P	674326-76-2P	674326-77-3P	674326-78-4P
674326-79-5P	674326-80-8P	674326-81-9P	674326-82-0P	674326-83-1P
674326-84-2P	674326-85-3P	674326-86-4P	674326-87-5P	674326-88-6P
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674326-99-9P	674327-00-5P	674327-01-6P	674327-02-7P	674327-03-8P
674327-04-9P	674327-05-0P	674327-06-1P	674327-08-3P	674327-10-7P
674327-12-9P	674327-14-1P	674327-16-3P	674327-18-5P	674327-20-9P
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674328-28-0P	674328-29-1P	674328-30-4P	674328-31-5P	674328-32-6P
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 674328-73-5P 674328-74-6P 674328-75-7P 674328-76-8P 674328-77-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 674328-78-0P 674328-79-1P 674328-80-4P 674328-81-5P 674328-82-6P  
 674328-83-7P 674328-84-8P 674328-85-9P 674328-86-0P 674328-87-1P  
 674328-88-2P 674328-89-3P 674328-90-6P 674328-91-7P 674328-93-9P  
 674328-94-0P 674328-95-1P 674785-70-7P 674785-71-8P 674785-72-9P  
 674785-73-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 28179-47-7, 3-Amino-5-(methoxycarbonyl)benzoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8  
 478799-42-7 478799-43-8 672557-84-5 674328-21-3

RL: PRP (Properties)

(unclaimed sequence; preparation of 1,3-diamino-2-hydroxypropane derivs. as beta-secretase enzyme inhibitors)

IT 527716-94-5P

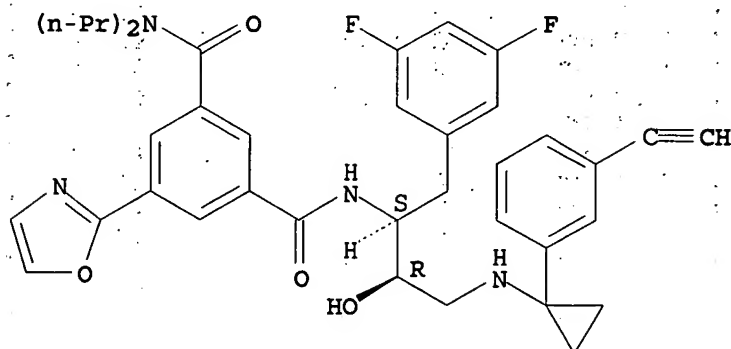
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diamino(hydroxy)propane derivs. useful as beta-secretase inhibitors)

RN 527716-94-5 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-5-(2-oxazolyl)-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 20 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:2867 HCAPLUS  
DN 140:59634  
TI Process for preparing 5-(1,3-oxazol-2-yl)benzoic acid derivatives  
IN Reeder, Michael R.; Imbordino, Rick J.  
PA Pharmacia & Upjohn Company, USA  
SO PCT Int. Appl., 55 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004000821	A1	20031231	WO 2003-US19585	20030620
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2489988	AA	20031231	CA 2003-2489988	20030620
	US 2004063965	A1	20040401	US 2003-600100	20030620
	BR 2003012439	A	20050510	BR 2003-12439	20030620
	EP 1532123	A1	20050525	EP 2003-761206	20030620
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	JP 2005533811	T2	20051110	JP 2004-516055	20030620
PRAI	US 2002-390285P	P	20020620		
	US 2003-450478P	P	20030227		
	WO 2003-US19585	W	20030620		
OS	CASREACT 140:59634; MARPAT 140:59634				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Disclosed are compds. of formula (I) [R1 = C1-6 alkoxy, OH; R2, R3 = H, Ph, C1-4 alkyl; or R2 and R3 and the carbons to which they are attached form a benzo ring, which is optionally substituted with C1-4 alkyl, C1-4 alkoxy, or dialkylamino; R6 = C1-6 alkoxy or NR4R5; R4, R5 = C1-6 alkyl] and a process to prepare the compound I, by coupling a zinc chloride/optionally substituted oxazole adduct (II) (R2, R3 = same as above) and an compound of formula (III) (X = Br, iodo, OSO2CF3, OSO2Me) in the presence of a transition metal catalyst. The compds. I are used to prepare compds. of formula (IV) [R2, R3, R6 = same as above; R10 = R10 = -(CH2)1-2-S(O)0-2-(C1-6 alkyl), or each (un)substituted C1-10 alkyl, C2-6 alkenyl, or C2-6 alkynyl, aryl, heteroaryl, heterocyclyl, C1-6-alkylaryl, C1-6 alkylheteroaryl, or C1-6 alkylheterocyclyl, where the ring portions of each are optionally substituted; R20, R30 = H, each (un)substituted C1-6 alkyl, CONH2, or SO2NH2, (CH2)0-4-aryl, (CH2)0-4-heteroaryl, C2-6 alkenyl, C2-6 alkynyl, CO2H, CO2-(C1-4 alkyl); or R20, R30 and the carbon to which they are attached form a C3-7 carbocycle, wherein one carbon atom



is optionally replaced by a group selected from O, S, SO<sub>2</sub>, or (un)substituted NH; R<sub>c</sub> = H, (CR<sub>245</sub>R<sub>250</sub>)0-4-aryl, (CR<sub>245</sub>R<sub>250</sub>)0-4-heteroaryl, (CR<sub>245</sub>R<sub>250</sub>)0-4-heterocyclyl, (CR<sub>245</sub>R<sub>250</sub>)0-4-arylheteroaryl, (CR<sub>245</sub>R<sub>250</sub>)0-4-arylheterocyclyl, (CR<sub>245</sub>R<sub>250</sub>)0-4-arylaryl, (CR<sub>245</sub>R<sub>250</sub>)0-4-heteroarylaryl, (CR<sub>245</sub>R<sub>250</sub>)0-4-heteroarylheterocyclyl, (CR<sub>245</sub>R<sub>250</sub>)0-4-heteroarylheteroaryl, etc.; R<sub>245</sub>, R<sub>250</sub> = H, C1-4 alkyl, C1-4 alkylaryl, C1-4 alkylheteroaryl, C1-4 hydroxyalkyl, C1-4 alkoxy, C1-4 haloalkoxy, (CH<sub>2</sub>)0-4-C3-7 cycloalkyl, Ph, etc.; or R<sub>245</sub> and R<sub>250</sub> are taken together with the carbon to which they are attached to form a C3-7 carbocycle, where one carbon atom is optionally replaced by a heteroatom selected from O, S, SO<sub>2</sub>, and (un)substituted NH] in the treatment of Alzheimer's disease and related conditions. Thus, BuLi (1.4 equiv) was added dropwise over 30 min to a stirred, cooled (-78°) mixture of 1,3-oxazole (1.3 equiv) in THF, while maintaining the mixture at a temperature below about -55°, stirred for 30 min, treated with solid ZnCl<sub>2</sub> (3 equiv) in 3-10 portions over about 10-15 min, allowed to warm to 20-25°, and stirred for an addnl. 10 min to give a solution of 2-oxazolylzinc chloride. The latter zinc chloride adduct was added over a period of 2 h to a mixture of Me 3-bromo-5-[(dipropylamino)carbonyl]benzoate (V) and tetrakis(triphenylphosphine) palladium (5 mol%) in THF at 50°, and stirred at 50° until no V was observed by HPLC (usually about 1 h) to give, after workup and silica gel chromatog., Me 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate (VI). VI was saponified by NaOH in aqueous MeOH and acidified with concentrated HCl to give 3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid which was treated with CDI in THF at room temperature for 1 h, added slowly over to a cooled (-35°) mixture of (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-ethylbenzyl)amino]butan-2-ol in THF, warmed to 0°, and stirred until the completion of the reaction was observed by HPLC to give, after workup and silica gel chromatog., N1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-5-(1,3-oxazol-2-yl)-N3,N3-dipropylisophthalamide (VII).

IC ICM C07D263-32

CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST oxazolylbenzoic acid prepn; difluorobenzylethynylphenylcyclopropylaminohydroxypropyloxazolyl dipropylisophthalamide prepn treatment Alzheimer disease

IT Alzheimer's disease

Anti-Alzheimer's agents

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 14221-01-3, Tetrakis(triphenylphosphine) palladium

RL: CAT (Catalyst use); USES (Uses)

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 67-66-3, Chloroform, uses 68-12-2, DMF, uses 75-09-2, Dichloromethane, uses 109-99-9, THF, uses

RL: NUU (Other use, unclassified); USES (Uses)

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 527716-71-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 107-04-0, 1-Bromo-2-chloroethane 288-42-6, 1,3-Oxazole 1066-54-2, Trimethylsilyl acetylene 7646-85-7, Zinc chloride, reactions 31938-07-5, 3-Bromobenzyl cyanide 388071-27-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(process for preparing oxazolylbenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 124276-83-1P, 1-(3-Bromophenyl)cyclopropane-1-carbonitrile 124276-95-5P,  
1-(3-Bromophenyl)cyclopropane-1-carboxylic acid 388071-08-7P, Methyl  
5-bromo-3-[(dipropylamino)carbonyl]benzoate 527733-92-2P,  
(2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[1-(3-  
ethynylphenyl)cyclopropyl]amino]butan-2-ol 527734-13-0P  
546115-65-5P, 1-(3-Bromophenyl)-1-aminocyclopropane 597561-63-2P, Methyl  
3-[(dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoate 597563-13-8P,  
1-(3-Bromophenyl)cyclopropane-1-carboxamide 597563-14-9P,  
1-(3-Bromophenyl)cyclopropane-1-carbonyl chloride 597563-16-1P  
597563-26-3P, 3-[(Dipropylamino)carbonyl]-5-(1,3-oxazol-2-yl)benzoic acid  
609345-33-7P, 2-Oxazolylzinc chloride 639092-27-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(process for preparing oxazolybenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 110-86-1, Pyridine, reactions 121-44-8, Triethylamine, reactions  
538-75-0, DCC 2592-95-2, HOBT 7087-68-5, Diisopropylethylamine  
25952-53-8, EDCI 27175-64-0, Lutidine 29611-84-5, Collidine  
39968-33-7, HOAT 57951-36-7, Dimethylaminopyridine 134332-14-2

RL: RGT (Reagent); RACT (Reactant or reagent)

(process for preparing oxazolybenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

IT 527734-13-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

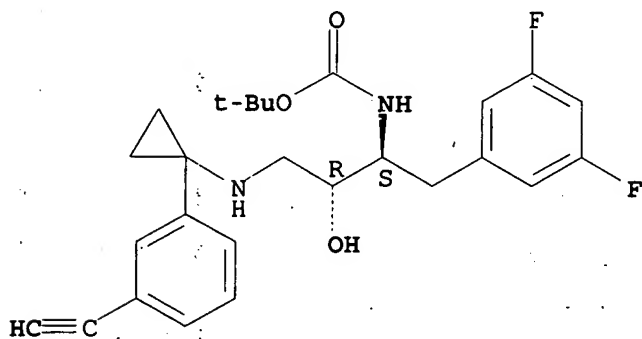
(Preparation); RACT (Reactant or reagent)

(process for preparing oxazolybenzoic acid derivs. as intermediates for anti-Alzheimer's agent)

RN 527734-13-0 HCAPLUS

CN Carbamic acid, [(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]amino]-2-hydroxypropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4      THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 21 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:696859 HCAPLUS

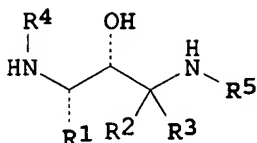
DN 139:230480

TI Preparation of substituted amines prodrugs useful in treating Alzheimer's disease

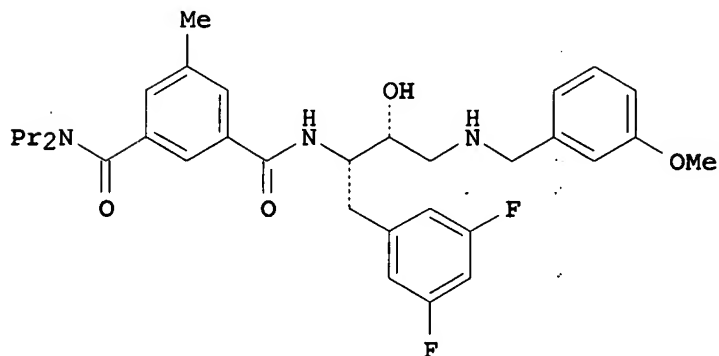
IN Varghese, John; Jagodzinska, Barbara; Maillard, Michel; Beck, James P.;

Tenbrink, Ruth E.; Getman, Daniel  
 PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn  
 SO PCT Int. Appl., 483 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003072535	A2	20030904	WO 2003-US7287	20030227
	WO 2003072535	C1	20040930		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2477607	AA	20030904	CA 2003-2477607	20030227
	EP 1503980	A2	20050209	EP 2003-743271	20030227
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003007998	A	20050628	BR 2003-7998	20030227
	JP 2005519082	T2	20050630	JP 2003-571242	20030227
	NO 2004004046	A	20041115	NO 2004-4046	20040924
PRAI	US 2002-359953P	P	20020227		
	WO 2003-US7287	W	20030227		
OS	MARPAT 139:230480				
GI					



I



II

AB Amines [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl,

alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)0-3cycloalkyl, etc.; e.g. N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide], useful in treating Alzheimer's disease and other similar diseases, were prepared. Although the methods of preparation are not claimed, hundreds of example preps. are included. Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II (N1-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N3,N3-dipropylisophthalamide). The compds. I exhibit an IC50 of < 50 µM against β-secretase.

- IC ICM C07C233-78  
ICS C07D295-20; C07D307-20; A61K031-166; A61K031-132; A61K031-33;  
A61P025-28; C07C271-16; C07C271-20; C07C217-58; C07C247-10;  
C07C247-12; C07C255-57; C07C311-16; C07D295-22
- CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1, 27, 28
- ST amine prepn beta secretase inhibitor Alzheimers disease; amyloid precursor protein cleavage inhibitor amine prepn; cognition enhancer amine prepn; Downs syndrome amine prepn; hereditary cerebral hemorrhage amyloidosis Dutch type amine prepn
- IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(APP695, inhibiting cleavage of; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease  
(amyloid angiopathy; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Brain, disease  
(amyloidosis, hereditary cerebral hemcrrhage type, Dutch type, treatment of; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Parkinson's disease  
(dementia associate with; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Mental and behavioral disorders  
(dementia; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibiting cleavage of APP-751 isotype, APP-770 isotype, APP-695 Swedish mutation and APP-770 Swedish mutation; preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Alzheimer's disease  
Anti-Alzheimer's agents  
Cognition enhancers  
Cognitive disorders  
Human  
(preparation of substituted amines as β-secretase inhibitors for treatment of Alzheimer's disease)
- IT Drug delivery systems

(prodrugs; preparation of substituted amines as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

- IT Paralysis  
(pseudobulbar, dementia associate with; preparation of substituted amines as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Down's syndrome  
(treatment of; preparation of substituted amines as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -, inhibiting of beta-amyloid plaque; preparation of substituted amines as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)
- IT 388064-69-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate 388066-36-2P, N-[(1R,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-bromo-5-methylbenzamide 388071-98-5P, N-[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-[4-(benzyloxy)butyl]-5-methyl-N'-propylisophthalamide  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)
- IT 388062-16-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388062-17-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[2-furyl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388062-18-8P, N-[(1S,2R)-1-Benzyl-3-(ethylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-19-9P, N-[(1S,2R)-1-Benzyl-3-(benzylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-20-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopropylamino)propyl]-N',N'-dipropylisophthalamide 388062-21-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-toluidino)propyl]-N',N'-dipropylisophthalamide 388062-22-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-23-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-24-6P, Ethyl [[(3S)-3-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-2-hydroxy-4-phenylbutyl]amino](phenyl)acetate 388062-25-7P, N-[(1S)-1-Benzyl-2-hydroxy-3-[[2-(4-nitrophenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-26-8P, N-[(1S,2R)-1-Benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-27-9P, N-[(1S,2R)-1-Benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-28-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-hydroxyethoxy)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-29-1P, N-[(1S,2R)-1-Benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-30-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-31-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-32-6P, N-[(1S,2R)-1-Benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-33-7P, N-[(1S,2R)-1-Benzyl-3-(butylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-34-8P, N-[(1S,2R)-1-Benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-35-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-

pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-36-0P, N-[(1S,2R)-3-[(2-Aminobenzyl)amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-37-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-38-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-(1-pyrrolidinyl)ethyl)amino]propyl]-N',N'-dipropylisophthalamide  
388062-39-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-40-6P,  
N-[(1S,2R)-1-Benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-41-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl]-N',N'-dipropylisophthalamide  
388062-42-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopentylamino)propyl]-N',N'-dipropylisophthalamide 388062-43-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl]-N',N'-dipropylisophthalamide  
388062-44-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-45-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-46-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-47-3P,  
N-[(1S,2R)-1-Benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-48-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-49-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-51-9P, N-[(1S,2R)-1-Benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-52-0P, N-[(1S,2R)-1-Benzyl-3-[(2-(4-chlorophenyl)ethyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-53-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-(2-pyridinyl)ethyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-54-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(4-pyridinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-56-4P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-57-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-58-6P, N-[(1S,2R)-1-Benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-59-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-60-0P, N-[(1S,2R)-1-Benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-61-1P,  
N-[(1S,2R)-1-Benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-62-2P, N-[(1S,2R)-1-Benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-63-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-64-4P, N-[(1S,2R)-3-[[2-[4-(Aminosulfonyl)phenyl]ethyl]amino]-1-benzyl-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-65-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-66-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-67-7P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388062-68-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-69-9P, N-[(1S,2R)-1-Benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-70-2P,  
N-[(1S,2R)-1-Benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-71-3P, N-[(1S,2R)-1-Benzyl-3-[[[1,1'-biphenyl]-3-yl)methyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-72-4P, N-[(1S,2R)-1-Benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-73-5P,  
N-[(1S,2R)-1-Benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl]-N',N'-

dipropylisophthalamide 388062-74-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-75-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-methylbenzyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-76-8P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1R)-1-phenylethyl]amino]propyl]-N',N'-  
dipropylisophthalamide 388062-77-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-  
[[[(1S)-1-phenylethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-78-0P, N-[(1S,2R)-1-Benzyl-3-[[3,5-bis(trifluoromethyl)benzyl]amino  
]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-79-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(trifluoromethyl)benzyl]amino]propyl]-  
N',N'-dipropylisophthalamide 388062-80-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-[[[(1S)-1-(1-naphthyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-81-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1R)-1-(1-  
naphthyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-82-6P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxy-3-methoxybenzyl]amino]propyl]-  
N',N'-dipropylisophthalamide 388062-83-7P, N-[(1S,2R)-1-Benzyl-3-[[3,4-  
dihydroxybenzyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-85-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1S)-2-hydroxy-1-  
methylethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-86-0P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1R)-2-hydroxy-1-  
methylethyl]amino]propyl]-N',N'-dipropylisophthalamide 388062-87-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-propynyl]amino]propyl]-N',N'-  
dipropylisophthalamide 388062-88-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-  
fluorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-89-3P, N-[(1S,2R)-1-Benzyl-3-[[2-(3-fluorophenyl)ethyl]amino]-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-90-6P,  
N-[(1S,2R)-1-Benzyl-3-[[2-(4-fluorophenyl)ethyl]amino]-2-hydroxypropyl]-  
N',N'-dipropylisophthalamide 388062-91-7P, N-[(1S,2R)-1-Benzyl-3-[[2-(4-  
bromophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-92-8P, N-[(1S)-1-Benzyl-2-hydroxy-3-[[2-(3-  
methoxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-93-9P, N-[(1S,2R)-1-Benzyl-3-[[2-(2,4-dichlorophenyl)ethyl]amino]-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388062-94-0P,  
N-[(1S,2R)-1-Benzyl-3-[[2-(3-chlorophenyl)ethyl]amino]-2-hydroxypropyl]-  
N',N'-dipropylisophthalamide 388062-95-1P, N-[(1S)-1-Benzyl-3-[[2-(2,5-  
dimethoxyphenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388062-96-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-  
methylphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388062-97-3P, N-[(1S,2R)-1-Benzyl-3-[[[(1R)-1-benzyl-2-hydroxyethyl]amino]-  
2-hydroxypropyl]-N',N'-dipropylisophthalamide 388062-98-4P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(4-morpholinyl)propyl]amino]propyl]-  
N',N'-dipropylisophthalamide 388062-99-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-(isobutylamino)propyl]-N',N'-dipropylisophthalamide 388063-00-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(4-morpholinyl)ethyl]amino]propyl]-  
N',N'-dipropylisophthalamide 388063-01-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-[[2-hydroxybutyl]amino]propyl]-N',N'-dipropylisophthalamide  
388063-02-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-(2-  
thienyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-03-4P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[4-hydroxybutyl]amino]propyl]-N',N'-  
dipropylisophthalamide 388063-04-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-  
[[[(1S)-2-hydroxy-1-phenylethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388063-05-6P, N-[(1S,2R)-1-Benzyl-3-[[2,4-dichlorobenzyl]amino]-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388063-06-7P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1R)-2-hydroxy-1-  
phenylethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-07-8P,  
N-[(1S,2R)-1-Benzyl-3-[[4-tert-butylbenzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-08-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[1-  
phenylethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388063-09-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[[(1R,2S)-2-hydroxy-  
2,3-dihydro-1H-inden-1-yl]amino]propyl]-N',N'-dipropylisophthalamide

388063-10-3P, N-[(1S,2R)-1-Benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-11-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-12-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropylisophthalamide 388063-13-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388063-14-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-15-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-(isobutylamino)-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-16-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]propyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-17-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1R)-1-[(isobutylamino)carbonyl]propyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-18-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-19-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-21-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(isobutylamino)-2-methyl-3-oxopropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-22-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[4-(dimethylamino)benzyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-23-8P, N-[(1S,2R)-3-[[1S)-1-Benzyl-2-(isobutylamino)-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-24-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-25-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[2-(dimethylamino)ethyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-26-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-pyridinyl]methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-27-2P, N-[(1S,2R)-3-[[1S)-1-[(Benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-28-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-phenylethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-29-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-30-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-[(isobutylamino)carbonyl]butyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-31-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-32-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[2-phenylethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-33-0P, N-[(1S,2R)-3-[[1S)-2-(Benzylamino)-1-methyl-2-oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-34-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-1-phenylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-35-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-36-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-37-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-38-5P, N-[(1S,2R)-3-(Cyclohexylamino)-1-(3,5-



difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-39-6P, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-40-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-41-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-42-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R)-1-phenylpropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-43-2P, N-[(1S,2R)-3-[(3-Chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-44-3P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(2-propylpentyl)sulfonyl]benzamide  
388063-45-4P, N-[(1S,2R)-3-[[[1,1'-Biphenyl]-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-46-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-47-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-48-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-49-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-50-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-thienyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-51-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-52-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-pyrazinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-53-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-54-5P, N-[(1S,2R)-3-[[[1,3-Benzodioxol-5-yl)methyl]amino]-1-benzyl]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388063-55-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-56-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethyl)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-57-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-58-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[3-(trifluoromethoxy)benzyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-59-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-60-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-61-4P, N-[(1S,2R)-3-[(3-Bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388063-62-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[5-methyl-2-furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388063-64-7P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N',N'-dipropylisophthalamide  
388063-65-8P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropylisophthalamide 388063-66-9P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N',N'-dipropylisophthalamide 388063-67-0P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388063-68-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N',N'-dipropylisophthalamide  
388063-69-2P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-

hydroxypropyl]-N',N'-dipropyl-2,5-thiophenedicarboxamide 388063-70-5P,  
N'-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N,N'-  
dipropyl-2,4-pyridinedicarboxamide 388063-71-6P, N'-[(1S,2R)-3-  
(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-dipropyl-4,6-  
pyrimidinedicarboxamide 388063-72-7P, N'-[(1S,2R)-3-(Benzylamino)-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-3-[(4-morpholinyl)carbonyl]benzamide  
388063-73-8P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methylbenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388063-74-9P,  
N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-N',N'-dipropylpentanediamide 388063-75-0P,  
N'-[(1S,2R)-3-[(1R)-1-[(Benzylloxy)methyl]-2-(isobutylamino)-2-  
oxoethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388063-76-1P, N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-  
oxoethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388063-77-2P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-(pentylamino)propyl]-N',N'-  
dipropylisophthalamide 388063-79-4P  
N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-  
yl)methyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide  
388063-80-7P, 3-Benzoyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]benzamide 388063-81-8P, N'-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl][1,1'-biphenyl]-  
3-carboxamide 388063-82-9P, N'-[(1S,2R)-3-(Benzylamino)-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-N'-(2-methoxyethyl)-N'-  
propylisophthalamide 388063-83-0P, N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-ethoxybenzamide  
388063-84-1P, N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-2-naphthamide 388063-85-2P,  
N'-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-  
tetrahydronaphthalen-1-yl]amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 388063-86-3P, N'-[(1R)-3-[(3,5-  
Bis(trifluoromethyl)benzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-  
5-methyl-N',N'-dipropylisophthalamide 388063-87-4P, N'-[(1S,2R)-1-Benzyl-  
3-[[2-fluoro-5-(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-88-5P, N'-[(1S,2R)-1-Benzyl-3-[(2,3-  
difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388063-89-6P, N'-[(1S,2R)-1-Benzyl-3-[[3-fluoro-4-  
(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-90-9P, N'-[(1S,2R)-1-Benzyl-3-[(2,5-  
difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388063-91-0P, N'-[(1S,2R)-1-Benzyl-3-[[3-fluoro-5-  
(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-92-1P, N'-[(1S,2R)-1-Benzyl-3-[(3,4-  
difluorobenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388063-93-2P, N'-[(1S,2R)-1-Benzyl-3-[[4-fluoro-3-  
(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-94-3P, N'-[(1S,2R)-1-Benzyl-3-[[2-chloro-5-  
(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-95-4P, N'-[(1S,2R)-1-Benzyl-3-[[4-chloro-3-  
(trifluoromethyl)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-96-5P, N'-[(1S,2R)-1-Benzyl-3-(2,3-  
dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388063-97-6P, N'-[(1S)-1-Benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl]-  
N',N'-dipropylisophthalamide 388063-98-7P, N'-[(1S,2R)-1-Benzyl-3-[[3-  
(difluoromethoxy)benzyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388063-99-8P, N'-[(1S,2R)-1-Benzyl-3-[(3-  
ethoxybenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388064-00-4P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(5-methyl-2-  
pyrazinyl)methyl]amino]propyl]-N',N'-dipropylisophthalamide  
388064-01-5P, N'-[(1S,2R)-1-Benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-

hydroxypropyl]-N',N'-dipropylisophthalamide 388064-02-6P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-  
hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-03-7P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-  
hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-04-8P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-  
phenoxyethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-05-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
isobutoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-06-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4-methyl-  
1,3-thiazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-07-1P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-N'-methyl-N'-propylisophthalamide  
388064-08-2P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-N',N'-dipropyl-2,5-furandicarboxamide 388064-09-3P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
(trifluoromethyl)benzyl)amino]propyl]-N',N'-dipropyl-3,5-  
pyridinedicarboxamide 388064-10-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-  
hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-dipropyl-3,5-  
pyridinedicarboxamide 388064-11-7P, N-[(1S,2R)-3-Amino-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-12-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1,2-  
diphenylethyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-13-9P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(1R)-7-methoxy-1,2,3,4-tetrahydro-1-  
naphthalenyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-14-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-  
7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-15-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide 388064-16-2P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-  
1H-benzimidazole-5-carboxamide 388064-17-3P, 3-(Aminosulfonyl)-N-[(1S)-1-  
benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chlorobenzamide  
388064-18-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-3-cyanobenzamide 388064-19-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-chloro-3-  
nitrobenzamide 388064-20-8P, Methyl 3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-  
[(3-methoxybenzyl)amino]propyl]amino]carbonyl]-5-nitrobenzoate  
388064-21-9P, tert-Butyl 3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]amino]carbonyl]phenyl]carbamate 388064-22-0P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-  
9,10-dihydro-2-anthracenecarboxamide 388064-23-1P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-  
carboxamide 388064-24-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-  
yl)benzamide 388064-25-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-1H-indole-5-carboxamide 388064-26-4P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-fluoro-5-  
(trifluoromethyl)benzamide 388064-27-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-  
[(3-methoxybenzyl)amino]propyl]-3-(trifluoromethyl)benzamide  
388064-28-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-4-(butylamino)benzamide 388064-29-7P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-  
(trifluoromethoxy)benzamide 388064-30-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-[(3-methoxybenzyl)amino]propyl]-3,5-dimethoxybenzamide 388064-31-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-  
dimethylbenzamide 388064-32-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-3,5-difluorobenzamide 388064-33-3P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,5-  
dichlorobenzamide 388064-34-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-

methoxybenzyl) amino]propyl]-4-(benzyloxy)benzamide 388064-35-5P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl]-1,3-  
benzodioxole-5-carboxamide 388064-36-6P, 3-(Acetylamino)-N-[(1S,2R)-1-  
benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl]benzamide  
388064-37-7P, 4-(Acetylamino)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl) amino]propyl]benzamide 388064-38-8P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-  
hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-39-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
phenylpropyl) amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in  
treating Alzheimer's disease)

IT 388064-40-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-furyl)methyl]amino]-  
2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-42-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
propoxybenzyl) amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-43-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-  
pyridinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-44-6P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-5-hydroxy-N',N'-dipropylisophthalamide 388064-45-7P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-  
methylphenyl)ethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-46-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-  
1,2,3,4-tetrahydronaphthalen-1-yl]amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-47-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-  
[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-48-0P, N-[(1S,2R)-3-[[2-Chloro-5-  
(trifluoromethyl)benzyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
methyl-N',N'-dipropylisophthalamide 388064-49-1P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl]-5-  
methyl-N',N'-dipropylisophthalamide 388064-50-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S,2R)-2-hydroxy-2,3-  
dihydro-1H-inden-1-yl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388064-51-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-((1R)-2,3-  
dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-52-6P, 5-Chloro-N-[(1S,2R)-1-(3,5-  
difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-  
dipropylisophthalamide 388064-53-7P, N-[(1S,2R)-3-[[1-Benzofuran-2-  
yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-54-8P, N-[(1S,2R)-3-[(1R)-1-(3-  
Bromophenyl)ethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-  
N',N'-dipropylisophthalamide 388064-55-9P, N-[(1S,2R)-1-(4-Fluorobenzyl)-  
2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 388064-56-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl) amino]propyl]-3-[butyl(butyryl) amino]-5-methylbenzamide  
388064-57-1P, N-[1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino]propyl]-4-  
methyl-N',N'-dipropylisophthalamide 388064-58-2P, N'-[1-Benzyl-2-hydroxy-  
3-[(3-methoxybenzyl) amino]propyl]-4-methyl-N,N-dipropylisophthalamide  
388064-59-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl) amino]propyl]-4-methyl-N',N'-dipropylisophthalamide  
388064-60-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl) amino]propyl]-1-butyl-1H-indole-6-carboxamide  
388064-61-7P, N-[(1S,2R)-3-Anilino-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388064-62-8P,  
5-Bromo-N-[(1S,2R)-3-[(3-bromobenzyl) amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388064-63-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino]propyl]-

4-methylpentanamide 388064-64-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide 388064-65-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388064-66-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N',N'-dipropylisophthalamide hydrochloride 388064-67-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388064-70-8P, 5-(Aminosulfonyl)-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylisophthalamide 388064-71-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[(1-pyrrolidinyl)sulfonyl]isophthalamide 388064-72-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(methylamino)sulfonyl]-N',N'-dipropylisophthalamide 388064-73-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N',N'-dipropylisophthalamide 388064-91-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(N-methylanilino)sulfonyl]propanamide 388064-95-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-[(dipropylamino)sulfonyl]propanamide 388064-96-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-ethyl-N',N'-dipropylisophthalamide 388064-97-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-isobutyl-N',N'-dipropylisophthalamide 388064-98-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-tert-butyl-N',N'-dipropylisophthalamide 388064-99-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-cyano-N'-propylisophthalamide 388065-00-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-01-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dimethyl-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-02-9P, N-[(1S,2R)-3-Amino-1-benzyl-2-hydroxypropyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-03-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(isopentylamino)propyl]-N',N'-dipropyl-1,3,5-benzenetricarboxamide 388065-04-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-propyl-1,3,5-benzenetricarboxamide 388065-05-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(butyryl)(propyl)amino]-5-methylbenzamide 388065-06-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide 388065-07-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-propyl-1H-indole-6-carboxamide 388065-08-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-09-6P, N-[(1S,2R)-3-[(3-Aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-10-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]octanamide 388065-11-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-12-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-13-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-14-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylbenzamide 388065-15-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1H-isoindol-3-yl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-16-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-

(((1R,2S,5R)-2-isopropyl-5-methylcyclohexyl)amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388065-17-6P, N,N-Diallyl-5-chloro-N'-[(1S,2R)-1-  
 (3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
 phenylethyl)amino]propyl]isophthalamide 388065-18-7P,  
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-  
 phenylcyclopentyl)amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide  
 388065-19-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
 hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-20-1P,  
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-(dimethylamino)benzyl)amino]-2-  
 hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-21-2P,  
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(4,5-dimethyl-2-furyl)methyl]amino]-  
 2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-22-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-  
 phenylcyclopentyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-23-4P, N-[(1S,2R)-3-(Cyclopropylamino)-1-(3,5-  
 difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-24-5P, N-[(1S,2R)-3-[(Cyclopropylmethyl)amino]-1-(3,5-  
 difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-25-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl]-N',N'-dipropylpentanediamide 388065-26-7P,  
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(2-furyl)methyl]amino]-2-  
 hydroxypropyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide 388065-27-8P,  
 N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-  
 furanylmethyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-28-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-  
 phenylcyclopropyl)amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide  
 388065-29-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-  
 oxo-3-azepanyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-30-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methyl-2-  
 furyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-31-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2S)-  
 tetrahydrofuran-2-yl)methyl]amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388065-32-5P, 5-Chloro-N'-[(1S,2R)-1-(3,5-  
 difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-  
 di(2-propynyl)isophthalamide 388065-33-6P, N-[(1S,2R)-1-(3,5-  
 Difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl]-5-methyl-  
 N',N'-dipropylisophthalamide 388065-34-7P, N-[(1S,2R)-1-(3,5-  
 Difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388065-35-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-  
 (hexylamino)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-36-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
 iodobenzyl)amino]propyl]-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-  
 yl)benzamide 388065-37-0P, Methyl 4-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-  
 [[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-  
 hydroxybutyl]amino]methyl]benzoate 388065-38-1P, N-[(1S,2R)-1-(3,5-  
 Difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388065-39-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-  
 hydroxy-3-[(5-isoxazolyl)methyl]amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388065-40-5P, (1R,2R)-N-[(1S,2R)-1-(3,5-  
 Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropyl-  
 1,2-cyclopropanedicarboxamide 388065-41-6P, N-[(1S,2R)-1-(3,5-  
 Difluorobenzyl)-2-hydroxy-3-[[[(2S)-tetrahydrofuran-2-  
 yl)methyl]amino]propyl]-N',N'-dipropyl-3,5-pyridinedicarboxamide  
 388065-42-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-  
 methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-43-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
 isopropylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388065-44-9P, 4-(Butyrylamino)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-  
 3-[(3-iodobenzyl)amino]propyl]benzamide 388065-45-0P,  
 N-[(1S,2R)-3-[(3-Amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-



hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-46-1P,  
N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N',N'-  
dipropyl-3,5-pyridinedicarboxamide 1-oxide 388065-47-2P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-  
5-ethynyl-N',N'-dipropylisophthalamide 388065-48-3P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-  
hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-49-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-  
yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388065-50-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-  
yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388065-51-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3R)-  
2-oxoazepan-3-yl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388065-52-9P, N-[(1S,2R)-3-(Cyclobutylamino)-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388065-53-0P, N-[(1S,2R)-3-(Butylamino)-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide 388065-54-1P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide 388065-55-2P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(5-hexynyl)amino]-2-hydroxypropyl]-5-  
methyl-N',N'-dipropylisophthalamide 388065-56-3P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino]propyl]-N',N'-  
dipropyl-3,5-pyridinedicarboxamide 388065-58-5P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-hydroxypropyl]-5-  
methyl-N',N'-dipropylisophthalamide 388065-59-6P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-isoxazolyl)methyl]amino]propyl  
]-5-methyl-N',N'-dipropylisophthalamide 388065-60-9P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-  
yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388065-61-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide 388065-62-1P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl]-N',N'-  
dipropylisophthalamide 388065-63-2P, N-[(1S,2R)-1-Benzyl-3-[[2-(2-  
chlorophenyl)ethyl]amino]-2-hydroxypropyl]-N',N'-dipropylisophthalamide  
388065-64-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[3-(2-oxo-1-  
pyrrolidinyl)propyl]amino]propyl]-N',N'-dipropylisophthalamide  
388065-65-4P, N-[(1S,2R)-1-Benzyl-3-[(cyclohexylmethyl)amino]-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388065-66-5P,  
N-[(1S,2R)-1-Benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388065-67-6P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl]-N',N'-dipropylisophthalamide  
388065-68-7P, N-[(1S,2R)-3-(Benzylamino)-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-3-(butylsulfonyl)benzamide 388065-69-8P,  
N-[(1S,2R)-1-Benzyl-3-[[2-[(2-ethylhexyl)oxy]ethyl]amino]-2-hydroxypropyl]-  
N',N'-dipropylisophthalamide 388065-70-1P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl]-  
N',N'-dipropylisophthalamide 388065-71-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-[[1-(4-hydroxyphenyl)ethyl]amino]propyl]-N',N'-dipropylisophthalamide  
388065-72-3P, N-[(1S,2R)-1-Benzyl-3-(cycloheptylamino)-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388065-73-4P,  
N-[(1S,2R)-1-Benzyl-3-[[[1,1'-biphenyl]-2-yl)methyl]amino]-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 388065-74-5P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide 388065-75-6P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-1-naphthamide 388065-76-7P,  
N-[(1S,2R)-1-Benzyl-3-[[2-[[5-[(dimethylamino)methyl]-2-  
furyl]methyl]sulfonyl]ethyl]amino]-2-hydroxypropyl]-N',N'-  
dipropylisophthalamide 388065-77-8P, N-[(1S,2R)-1-Benzyl-3-[[2-[(2-  
chloro-6-fluorobenzyl)sulfonyl]ethyl]amino]-2-hydroxypropyl]-N',N'-

dipropylisophthalamide 388065-78-9P, N-[(1S,2R)-3-[[[1,1'-Biphenyl]-4-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-79-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-naphthyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-80-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-81-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-82-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-83-6P, N-[(1S,2R)-3-[(2-Butyl-4-chloro-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-84-7P, N-[(1S,2R)-3-[(6-Chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-85-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-86-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-87-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-88-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-89-2P, N-[(1S,2R)-3-[[5-Cyano-6-(methylsulfanyl)-2-pyridinyl]methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-90-5P, N-[[[(2R,3S)-4-(3,5-Difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-2-furylmethyl acetate 388065-91-6P, N-[(1S,2R)-3-[[[1-Benzofuran-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-92-7P, Methyl 4-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-1-methyl-1H-pyrrole-2-carboxylate 388065-93-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-(phenylsulfonyl)-1H-pyrrol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-94-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-methyl-1H-pyrrol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-95-0P, N-[(1S,2R)-3-[[[4-Chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-96-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[[[3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-97-2P, N-[(1S,2R)-3-[[[5-Chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388065-98-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[3-phenyl-1H-pyrazol-4-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388065-99-4P, N-[(1S,2R)-3-[[[5-Chloro-2-thienyl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388066-00-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[3-phenoxy-2-thienyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-01-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[3-quinolinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-02-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[2-quinolinyl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-03-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-methyl-1H-indol-2-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-04-4P, N-[(1S,2R)-3-[[[1-Benzyl-1H-indol-3-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388066-05-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-methyl-1H-indol-3-yl)methyl]amino]propyl]-



5-methyl-N',N'-dipropylisophthalamide 388066-06-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[[1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388066-07-7P, N-[(1S,2R)-3-[[[2-Butyl-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388066-08-8P, Methyl 3-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]methyl]-1H-indole-6-carboxylate 388066-12-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(cyanomethyl)-N',N'-dipropylisophthalamide 388066-14-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(hydroxymethyl)-N',N'-dipropylisophthalamide 388066-16-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide 388066-17-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-N',N'-dipropyl-5-prop-1-ynylisophthalamide 388066-18-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-(trifluoromethyl)benzyl)amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide 388066-19-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-ethynyl-N',N'-dipropylisophthalamide 388066-20-4P, N-[(1S,2R)-1-Benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl]-5-ethynyl-N',N'-dipropylisophthalamide 388066-21-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-(8-quinolinyl)isophthalamide 388066-25-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4'-[(dimethylamino)sulfonyl]-N',N'-dipropyl-1,1'-biphenyl-3,5-dicarboxamide 388066-26-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4'-[(dimethylamino)sulfonyl]-N',N'-dipropyl-1,1'-biphenyl-3,5-dicarboxamide 388066-28-2P, N-[(1R,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-pentanoylbenzamide 388066-29-3P, N-(4-Hydroxybutyl)-N'-[(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N-propylisophthalamide 388066-30-6P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-N'-[(3-hydroxypropyl)-5-methyl-N'-propylisophthalamide 388066-31-7P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-32-8P, N-[(1S,2R)-1-Benzyl-3-[[3-(2,4-dimethylphenyl)propyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide 388066-34-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-35-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-dioxo-2-propyl-5-isoindolinecarboxamide 388066-37-3P, 3-Bromo-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methylbenzamide 388066-38-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N',N'-dipropylisophthalamide 388066-39-5P, N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-N,N'-dipropylisophthalamide 388066-40-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(2-furyl)-5-methylbenzamide 388066-41-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide 388066-42-0P, 3'-Acetyl-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl[1,1'-biphenyl]-3-carboxamide 388066-43-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide 388066-44-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl[1,1'-biphenyl]-3-carboxamide 388066-45-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-(2-thienyl)benzamide 388066-46-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-methyl-5-(3-thienyl)benzamide 388066-47-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-

iodobenzyl) amino] propyl]-3-methyl-5-(3-thienyl) benzamide 388066-48-6P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-3-methyl-5-(3-thienyl) benzamide 388066-50-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',N'-dipropylbenzene-1,3,5-tricarboxamide 388066-52-2P, N-[(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropylbenzene-1,3,5-tricarboxamide 388066-53-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropyl-5-[[trifluoromethyl] sulfonyl] aminoisophthalamide 388066-54-4P, 5-Amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropylisophthalamide 388066-55-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropyl-5-[[trifluoroacetyl] amino] isophthalamide 388066-58-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropyl-5-[[thien-2-yl] carbonyl] aminoisophthalamide 388066-59-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-(methacryloylamino)-N',N'-dipropylisophthalamide 388066-60-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-[(2,2-dimethylpropanoyl) amino]-N',N'-dipropylisophthalamide 388066-61-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-[(phenylsulfonyl) amino]-N',N'-dipropylisophthalamide 388066-62-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-(methylthio) pentanamide 388066-64-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-3-methyl-5-[(propionyl) (propyl) amino] benzamide 388066-65-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-1-butyl-1H-indole-5-carboxamide 388066-67-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-3-[butyl (propionyl) amino]-5-methylbenzamide 388066-69-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-1-(1-propylbutyl)-1H-indole-6-carboxamide 388066-70-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[[2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl] methyl] amino] propyl]-5-methyl-N',N'-dipropylisophthalamide 388066-71-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl) amino]-2-hydroxypropyl]-N',N'-dipropyl-5-[[trifluoromethyl] sulfonyl] aminoisophthalamide 388066-72-6P, 3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl] amino] carbonyl]-5-[(dipropylamino) carbonyl] benzoic acid 388066-74-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-2-(dipropylamino) isonicotinamide 388066-75-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-2-hydroxy-2-(4-methylphenyl) acetamide 388066-76-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-4-hydroxy-N'-methylisophthalamide 388066-77-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-2-hydroxy-2-(4-methoxy-3-nitrophenyl) acetamide 388066-78-2P, 5-(Aminosulfonyl)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-2-methoxybenzamide 388066-79-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-4-hydroxy-3-[(pyrrolidin-1-yl) carbonyl] benzamide 388066-80-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-2-[(methylsulfonyl) amino]-1,3-oxazole-4-carboxamide 388066-81-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-(3,5-dimethylisoxazol-4-yl)-N',N'-dipropylisophthalamide 388066-82-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-N',N'-dipropyl-5-(1,3-thiazol-2-yl) isophthalamide 388066-83-9P, 3-(Cyclohexylcarbonyl)-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-methylbenzamide 388066-84-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-methyl-N'-propylisophthalamide 388066-85-1P, 3-[Cyclohexyl (hydroxy) methyl]-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl]-5-methylbenzamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 388066-86-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-(4-methyl-1,3-oxazol-2-yl)-N',N'-dipropylisophthalamide  
 388066-87-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylpyridine-3,5-dicarboxamide 388066-88-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388066-89-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropylpyridine-3,5-dicarboxamide 388066-90-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl]-N',N'-dipropylpyridine-3,5-dicarboxamide  
 388066-91-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxy-1-butynyl)benzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
 388066-92-0P, 1-[3-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino]carbonyl]-5-methylbenzoyl]-L-prolinamide 388066-94-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-isopropyl-5-methylisophthalamide  
 388066-96-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-ethyl-N',5-dimethylisophthalamide 388066-98-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',5-dimethyl-N'-prop-2-ynylisophthalamide 388066-99-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-isobutyl-5-methylisophthalamide 388067-00-3P, N-(sec-Butyl)-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-01-4P, N-Butyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-02-5P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-diethyl-5-methylisophthalamide 388067-03-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',5-dimethyl-N'-propylisophthalamide 388067-04-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-isopropyl-N',5-dimethylisophthalamide 388067-05-8P, N-Butyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N,5-dimethylisophthalamide 388067-06-9P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-isobutyl-N',5-dimethylisophthalamide 388067-07-0P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-ethyl-5-methyl-N'-propylisophthalamide 388067-08-1P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-ethyl-N'-isopropyl-5-methylisophthalamide 388067-09-2P, N,N-Diallyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methylisophthalamide 388067-10-5P, 3-[(Azepan-1-yl)carbonyl]-N-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methylbenzamide 388067-11-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide 388067-12-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide 388067-13-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-diisopropyl-5-methylisophthalamide 388067-15-0P, N-(Cyclopropylmethyl)-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-5-methyl-N-propylisophthalamide 388067-16-1P, 1-[3-[[[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino]carbonyl]-5-methylbenzoyl]-D-prolinamide 388067-17-2P, N-Cyclohexyl-N'-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N,5-

dimethylisophthalamide 388067-18-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[[1-(3-methylphenyl)cyclopropyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388067-19-4P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1,2,3,4-tetrahydronaphthalen-1-yl)amino]propyl]-N',N'-diisopropylpyridine-3,5-dicarboxamide 388067-20-7P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-3-[[trifluoromethyl)sulfonyl]amino]benzamide 388067-22-9P, 5-Chloro-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl]-N',N'-bis(2-methoxyethyl)isophthalamide hydrochloride 388067-23-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4'-methoxy-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388067-29-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide 388067-45-6P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N',N'-dipropyl-5-prop-1-ynylisophthalamide 388071-47-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide hydrochloride 388071-48-5P 388071-49-6P, 2-Amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide dihydrochloride 388071-50-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-(methylsulfonyl)pentanamide hydrochloride 388071-51-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-phenylsuccinamide hydrochloride 388071-52-1P, (3R)-N'-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide hydrochloride 388071-53-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(dipropylamino)sulfonyl]propanamide hydrochloride 388071-54-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylpentanediamide hydrochloride 388071-55-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-oxo-4-(1-piperidinyl)butanamide hydrochloride 388071-56-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropylsuccinamide hydrochloride 388071-57-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide hydrochloride 388071-58-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N'-phenylpentanediamide hydrochloride 388071-59-8P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide hydrochloride 388071-60-1P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-(isopentylsulfonyl)butanamide hydrochloride 388071-61-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2-dimethyl-N',N'-dipropylsuccinamide hydrochloride 388071-62-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-[(dipropylamino)sulfonyl]butanamide hydrochloride 388071-63-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-[(N-methylanilino)sulfonyl]butanamide hydrochloride 388071-64-5P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]acetamide hydrochloride 388071-65-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(isopentylsulfonyl)propanamide hydrochloride 388071-66-7P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate 388071-79-2P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388071-81-6P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide hydrochloride 388071-85-0P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-(3-thienyl)isophthalamide hydrochloride 388072-01-3P, N-[(1S,2R)-1-Benzyl-2-

hydroxy-3-[[3-(4-methylphenyl)propyl]amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide hydrochloride 388072-04-6P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N',N',N',N'-tetrapropylbenzene-  
1,3,5-tricarboxamide hydrochloride 388072-05-7P, Ethyl  
3-[[[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]car-  
bonyl]-5-[(dipropylamino)carbonyl]benzoate hydrochloride 388072-06-8P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-  
[(methylsulfonyl)amino]-N',N'-dipropylisophthalamide hydrochloride  
388072-07-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-N',N'-dipropyl-5-[(thien-2-  
yl)sulfonyl]amino]isophthalamide hydrochloride 388072-16-0P,  
3-Amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-  
methylbutanamide dihydrochloride 388072-17-1P, N-[(1S,2R)-1-Benzyl-2-  
hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-ethylhexanamide hydrochloride  
388072-18-2P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-  
3-[(isobutylsulfonyl)amino]propanamide trifluoroacetate 388072-20-6P,  
5-Bromo-N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl]-N',N'-dipropylisophthalamide hydrochloride  
388072-21-7P, N-[(1S,2R)-3-[(1-Acetyl)piperidin-4-yl]amino]-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
388072-22-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-pent-1-  
ynylbenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
388086-39-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl]-4-methyl-3-(3-thienyl)benzamide  
388569-62-8P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3R,5S)-3,5-  
dimethoxycyclohexyl]amino]-2-hydroxypropyl]-5-methyl-N',N'-  
dipropylisophthalamide 388569-63-9P, Dimethyl  
(1R,3S)-5-[[[(2R,3S)-4-(3,5-difluorophenyl)-3-[[3-[(dipropylamino)carbonyl]-  
5-methylbenzoyl]amino]-2-hydroxybutyl]amino]-1,3-cyclohexanedicarboxylate  
388569-64-0P, (1R,3S)-5-[[[(2R,3S)-4-(3,5-Difluorophenyl)-3-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-2-hydroxybutyl]amino]-1,3-  
cyclohexanedicarboxylic acid 388569-65-1P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[[7-oxabicyclo[2.2.1]hept-2-  
yl)methyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
590423-32-8P, N-[(1S)-1-Benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl]-  
N',N'-dipropylisophthalamide 590423-33-9P, N-[(1S,2R)-1-Benzyl-2-hydroxy-  
3-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]propyl]-N',N'-  
dipropylisophthalamide 590423-34-0P, N-[(1S)-1-Benzyl-2-hydroxy-3-[(3-  
methoxypropyl)amino]propyl]-N',N'-dipropylisophthalamide 590423-35-1P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-  
methyl-N',N'-dipropylisophthalamide 590423-36-2P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1S)-5-methoxy-1,2,3,4-  
tetrahydro-1-naphthalenyl]amino]propyl]-5-methyl-N',N'-  
dipropylisophthalamide 590423-37-3P, N-[(1S,2R)-1-(3,5-  
Difluorobenzyl)-2-hydroxy-3-[(1R)-5-methoxy-1,2,3,4-tetrahydro-1-  
naphthalenyl]amino]propyl]-5-methyl-N',N'-dipropylisophthalamide  
590423-38-4P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(1,2,3,4-tetrahydro-  
1-naphthalenyl)amino]propyl]-N',N'-dipropylisophthalamide 590423-39-5P,  
N-[(1S)-3-[[2-[4-(Aminosulfonyl)phenyl]ethyl]amino]-1-benzyl-2-  
hydroxypropyl]-N',N'-dipropylisophthalamide 590423-46-4P,  
N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
phenylethyl)amino]propyl]-N',N'-dipropylpentanediamide 590423-47-5P,  
3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino]car-  
bonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate 590423-68-0P,  
N-[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-4-methyl-1-  
3-propyl-1H-indole-6-carboxamide 590423-69-1P, N-Butyl-N'-[(1S,2R)-1-  
(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-N'-ethyl-5-  
methylisophthalamide 590423-70-4P, 1-[(Benzylamino)methyl]-3-(3,5-  
difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
4-nitrophenyl carbonate 590423-72-6P, 1-[(Benzylamino)methyl]-3-(3,5-

difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
4-methylpiperazine-1-carboxylate 590423-73-7P, 1-[(Benzylamino)methyl]-3-  
(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
O-benzyl-L-tyrosinate 590423-75-9P, 1-[(Benzylamino)methyl]-3-(3,5-  
difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
2-(dimethylamino)ethyl carbonate 590423-76-0P, 1-[(Benzylamino)methyl]-3-  
(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
[2-(acetyl amino)ethyl] carbamate 590423-77-1P, 1-[(Benzylamino)methyl]-3-  
(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
piperazine-1-carboxylate 590423-78-2P, 1-[(Benzylamino)methyl]-3-(3,5-  
difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
(2-aminoethyl) carbamate 590423-79-3P, 1-[(Benzylamino)methyl]-3-(3,5-  
difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
(3-aminopropyl) carbamate 590423-80-6P, 1-[(Benzylamino)methyl]-3-(3,5-  
difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
(3R)-3-aminopyrrolidine-1-carboxylate 590423-81-7P, 1-  
[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl L-tyrosinate 590423-82-8P,  
1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl 3-methoxypropanoate  
590423-83-9P, 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl (2-hydroxyethoxy) acetate  
590423-84-0P, 1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl D-lysinate 590423-85-1P,  
1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl [2-(2-  
methoxyethoxy)ethoxy]acetate 590423-86-2P, 1-[(Benzylamino)methyl]-3-  
(3,5-difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]propyl  
[2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate 590423-87-3P,  
1-[(Benzylamino)methyl]-3-(3,5-difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]propyl (4-methylpiperazin-1-  
yl)acetate 590423-88-4P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-  
ynylbenzyl]amino]methyl]propyl (4-methylpiperazin-1-yl)acetate  
590423-89-5P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-  
ynylbenzyl]amino]methyl]propyl 4-methylpiperazine-1-carboxylate  
590423-90-8P, (1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-  
[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-  
ynylbenzyl]amino]methyl]propyl piperazine-1-carboxylate 590423-91-9P,  
(1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-  
methylbenzoyl]amino]-1-[[3-pent-1-ynylbenzyl]amino]methyl]propyl  
[2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate 590423-92-0P,  
(1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-  
methylbenzoyl]amino]-1-[[3-pent-1-ynylbenzyl]amino]methyl]propyl  
(2-methoxyethoxy)acetate 590423-93-1P, (1R,2S)-3-(3,5-Difluorophenyl)-2-  
[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-[[3-pent-1-  
ynylbenzyl]amino]methyl]propyl L-tyrosinate 590423-94-2P,  
(1R,2S)-3-(3,5-Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-  
methylbenzoyl]amino]-1-[[3-pent-1-ynylbenzyl]amino]methyl]propyl  
(3R)-3-aminopyrrolidine-1-carboxylate 590423-95-3P, (1R,2S)-3-(3,5-  
Difluorophenyl)-2-[[3-[(dipropylamino)carbonyl]-5-methylbenzoyl]amino]-1-  
[[3-pent-1-ynylbenzyl]amino]methyl]propyl tetrahydrofuran-3-yl carbonate  
590423-96-4P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-  
[(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl  
4-methylpiperazine-1-carboxylate 590423-97-5P, (1R,2S)-1-  
[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-  
phenylpropyl piperazine-1-carboxylate 590423-98-6P, (1R,2S)-1-  
[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-  
phenylpropyl [2-[[2-(dimethylamino)ethyl](methyl)amino]ethoxy]acetate



590423-99-7P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl (2-methoxyethoxy)acetate 590424-00-3P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl L-tyrosinate 590424-01-4P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl (3R)-3-aminopyrrolidine-1-carboxylate 590424-02-5P, (1R,2S)-1-[(Cyclohexylamino)methyl]-2-[[3-[(dipropylamino)carbonyl]benzoyl]amino]-3-phenylpropyl tetrahydrofuran-3-yl carbonate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 158736-49-3,  $\beta$ -Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of substituted amines as  $\beta$ -secretase inhibitors for treatment of Alzheimer's disease)

IT 51-36-5, 3,5-Dichlorobenzoic acid 55-81-2, [2-(4-Methoxyphenyl)ethyl]amine 60-18-4, L-Tyrosine, reactions 62-53-3, Aniline, reactions 64-04-0, (2-Phenylethyl)amine 74-99-7, Propyne 75-31-0, Isopropylamine, reactions 78-81-9, (2-Methylpropyl)amine 78-96-6, (2-Hydroxypropyl)amine 86-58-8, 8-Quinolineboronic acid 89-93-0, [(2-Methylphenyl)methyl]amine 89-97-4, [(2-Chlorophenyl)methyl]amine 93-48-1, 2,5-Dimethylbenzylamine 94-53-1, 1,3-Benzodioxole-5-carboxylic acid 95-00-1, [(2,4-Dichlorophenyl)methyl]amine 96-99-1, 4-Chloro-3-nitrobenzoic acid 98-01-1, 2-Furaldehyde, reactions 98-80-6, Phenylboronic acid 99-64-9, 3-Dimethylaminobenzoic acid 100-46-9, Benzylamine, reactions 100-81-2, [(3-Methylphenyl)methyl]amine 100-82-3, 3-Fluorobenzylamine 102-14-7, 4-Anilino-4-oxobutanoic acid 102-48-7, [(3,4-Dimethylphenyl)methyl]amine 102-49-8, [(3,4-Dichlorophenyl)methyl]amine 104-84-7, [(4-Methylphenyl)methyl]amine 104-86-9, [(4-Chlorophenyl)methyl]amine 106-94-5, 1-Bromopropane 107-10-8, Propylamine, reactions 107-85-7, (3-Methylbutyl)amine 108-00-9, [2-(Dimethylamino)ethyl]amine 108-01-0, 2-Dimethylaminoethanol 108-91-8, Cyclohexylamine, reactions 109-01-3, 1-Methylpiperazine 109-73-9, Butylamine, reactions 109-85-3, (2-Methoxyethyl)amine 110-58-7, Pentylamine 117-78-2, 9,10-Dioxo-9,10-dihydro-2-anthracenecarboxylic acid 119-62-0 123-00-2, [3-(Morpholino)propyl]amine 123-75-1, Pyrrolidine, reactions 140-75-0, [(4-Fluorophenyl)methyl]amine 142-84-7, Dipropylamine 149-57-5, 2-Ethylhexanoic acid 156-41-2, [2-(4-Chlorophenyl)ethyl]amine 404-70-6, [2-(3-Fluorophenyl)ethyl]amine 454-92-2, 3-(Trifluoromethyl)benzoic acid 455-40-3, 3,5-Difluorobenzoic acid 499-06-9, 3,5-Dimethylbenzoic acid 541-46-8, Isovaleramide 556-08-1, 4-(Acetylamino)benzoic acid 579-18-0, 3-Benzoylbenzoic acid 582-22-9, (2-Phenylpropyl)amine 585-32-0, (1-Methyl-1-phenylethyl)amine 587-48-4, 3-(Acetylamino)benzoic acid 590-86-3, Isovaleraldehyde 617-89-0, [(Furan-2-yl)methyl]amine 618-36-0, (1-Phenylethyl)amine 621-51-2, 3-Ethoxybenzoic acid 626-90-4 645-36-3, (2,2-Diethoxyethyl)amine 645-83-0, 3-(Methylsulfonyl)propanoic acid 646-07-1, 4-Methylpentanoic acid 693-04-9, Butylmagnesium chloride 696-40-2, 3-Iodobenzylamine 707-60-8, N,N-Dimethyl-4-Bromobenzenesulfonamide 709-19-3, 2-Methyl-1H-benzimidazole-5-carboxylic acid 716-76-7, 1,1'-Biphenyl-3-carboxylic acid 867-13-0, Triethyl phosphonoacetate 923-27-3, D-Lysine 929-06-6, [2-(2-Hydroxyethoxy)ethyl]amine 1001-53-2, N-Acetylenediamine 1014-81-9, 3-(Trifluoromethoxy)benzoic acid 1132-21-4, 3,5-Dimethoxybenzoic acid 1196-92-5, [(4-Hydroxy-3-methoxyphenyl)methyl]amine 1205-30-7, 3-(Aminosulfonyl)-4-chlorobenzoic



acid 1436-34-6, 1,2-Epoxyhexane 1486-51-7, 4-(Benzyloxy)benzoic acid  
1583-88-6, [2-(4-Fluorophenyl)ethyl]amine 1670-81-1, Indole-5-carboxylic  
acid 1758-46-9, (2-Phenoxyethyl)amine 1877-72-1, 3-Cyanobenzoic acid  
1955-46-0, Monomethyl 5-nitroisophthalate 2038-03-1,  
[2-(Morpholino)ethyl]amine 2038-57-5, (3-Phenylpropyl)amine 2039-67-0,  
[2-(3-Methoxyphenyl)ethyl]amine 2217-40-5, 1,2,3,4-Tetrahydro-1-  
naphthalenylamine 2359-09-3, 5-tert-Butylisophthalic acid 2393-23-9,  
[(4-Methoxyphenyl)methyl]amine 2450-71-7, (2-Propynyl)amine 2544-06-1,  
3-Methoxypropionic acid 2620-50-0, [(Benzodioxol-5-yl)methyl]amine  
2621-79-6 2627-86-3, (S)-1-Phenylethylamine 2706-56-1,  
[2-(Pyridin-2-yl)ethyl]amine 2740-83-2, [[3-  
(Trifluoromethyl)phenyl]methyl]amine 2749-11-3, ((S)-2-Hydroxy-1-  
methylethyl)amine 2906-12-9, [(3-Isopropoxypropyl)amine 2975-41-9,  
(2,3-Dihydro-1H-inden-2-yl)amine 3048-01-9, [[2-  
(Trifluoromethyl)phenyl]methyl]amine 3082-64-2, (R)-1-Phenylpropylamine  
3261-62-9, [2-(4-Methylphenyl)ethyl]amine 3300-51-4,  
[[4-(Trifluoromethyl)phenyl]methyl]amine 3600-86-0, [2-(2,5-  
Dimethoxyphenyl)ethyl]amine 3718-88-5, 3-Iodobenzylamine hydrochloride  
3731-51-9, [(Pyridin-2-yl)methyl]amine 3731-52-0, [(Pyridin-3-  
yl)methyl]amine 3731-53-1, [(Pyridin-4-yl)methyl]amine 3789-59-1,  
(S)-1-Phenylpropylamine 3858-80-8, 3,5-Dimethylbenzylamine 3886-69-9,  
(R)-1-Phenylethylamine 3886-70-2, (R)-1-(Naphth-1-yl)ethylamine  
4105-93-5, Diethyl 1,3,5-benzenetricarboxylate 4152-90-3,  
[(3-Chlorophenyl)methyl]amine 4403-69-4, [(2-Aminophenyl)methyl]amine  
4412-96-8, 3-Methyl-2-furoic acid 4543-47-9, (3-Furylmethyl)amine  
4672-17-7, 4-Oxo-4-(1-piperidinyl)butanoic acid 4740-24-3,  
4-(Butylamino)benzoic acid 4795-29-3, [(Tetrahydrofuran-2-  
yl)methyl]amine 5070-13-3, Di-p-nitrophenyl carbonate 5071-96-5,  
3-Methoxybenzylamine 5267-64-1, (R)-1-(Hydroxymethyl)-2-phenylethylamine  
5332-73-0, (3-Methoxypropyl)amine 5414-99-3, 5-Anilino-5-oxopentanoic  
acid 5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2,  
1-Phenylcyclopropanecarboxylic acid 6165-69-1, 3-Thiopheneboronic acid  
6836-19-7, 7-Methoxy-1-tetralone 7154-73-6, [2-(Pyrrolidin-1-  
yl)ethyl]amine 7409-18-9, 3-Nitrobenzylamine 7409-30-5,  
[(4-Nitrophenyl)methyl]amine 7568-93-6, (2-Hydroxy-2-phenylethyl)amine  
7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9, 3-Bromobenzylamine  
10277-74-4, ((1R)-2,3-Dihydro-1H-inden-1-yl)amine 10365-98-7,  
3-Methoxyphenylboronic acid 10385-30-5, 4-Benzyloxybutyric acid  
10420-89-0, (S)-1-(Naphth-1-yl)ethylamine 13078-79-0,  
[2-(3-Chlorophenyl)ethyl]amine 13214-66-9, (4-Phenylbutyl)amine  
13325-10-5, (4-Hydroxybutyl)amine 13331-23-2, 2-Furanylboronic acid  
13382-47-3, (2-Hydroxyethoxy)acetic acid 14003-16-8,  
[(5-Methylfuran-2-yl)methyl]amine 15673-00-4, (3,3-Dimethylbutyl)amine  
15996-78-8, 2-Chloro-5-trifluoromethylbenzylamine 16024-58-1,  
[2-(2-Methoxyethoxy)ethoxy]acetic acid 16499-88-0, (3-Butoxypropyl)amine  
16677-29-5, N-CBz-O-Benzyl-L-tyrosine 18638-99-8, [(3,4,5-  
Trimethoxyphenyl)methyl]amine 19293-58-4, [[4-  
(Dimethylamino)phenyl]methyl]amine 19788-37-5, 4-Chloromethyl-3,5-  
dimethylisoxazole 20010-99-5, [(Pyrazin-2-yl)methyl]amine 20781-20-8,  
[(2,4-Dimethoxyphenyl)methyl]amine 20989-17-7, (S)-2-Hydroxy-1-  
phenylethylamine 23357-52-0, ((1S)-1,2,3,4-Tetrahydro-1-  
naphthalenyl)amine 23814-12-2, 1H-1,2,3-Benzotriazole-6-carboxylic acid  
25611-78-3, 1,2-Diphenylethylamine 27513-44-6, Methyl  
(2S)-3-[4-(benzyloxy)phenyl]-2-[(tert-butoxycarbonyl)amino]propanoate  
27757-85-3, [(Thien-2-yl)methyl]amine 30433-91-1, [2-(Thien-2-  
yl)ethyl]amine 30568-40-2, [1-Methyl-1-(3-methylphenyl)ethyl]amine  
33142-21-1, Ethyl formylchloroacetate 34698-41-4, Indan-1-ylamine  
34967-24-3, [(3,5-Dimethoxyphenyl)methyl]amine 35303-76-5,  
[2-[4-(Aminosulfonyl)phenyl]ethyl]amine 35320-23-1, (R)-2-Hydroxy-1-  
methylethylamine 37491-68-2, [(3,4-Dihydroxyphenyl)methyl]amine

37798-05-3, [(1-Benzofuran-2-yl)methyl]amine 37806-33-0, (3-Propoxybenzyl)amine 37806-39-6, 3-Isobutoxybenzylamine 39226-95-4, [(2,3-Dichlorophenyl)methyl]amine 39895-55-1, [[4-(tert-Butyl)phenyl]methyl]amine 39979-08-3, [6-(Methoxycarbonyl)hexyl]amine 39989-43-0, [(3,5-Dichlorophenyl)methyl]amine 40898-94-0, (1-Hydroxypropyl)amine 42185-03-5, (2-Propoxyethyl)amine 51221-45-5, (4-Methyl-1,3-thiazol-2-ylmethyl)amine 51387-90-7, [2-(1-Methylpyrrolidin-2-yl)ethyl]amine 51586-20-0, [(2,3-Dimethylphenyl)methyl]amine 52372-97-1, (5-Methoxytetralin-1-yl)amine 52516-13-9, [2-(2,4-Dichlorophenyl)ethyl]amine 52721-69-4, [2-(2-Fluorophenyl)ethyl]amine 54699-92-2, (4-Methylpiperazin-1-yl)acetic acid 54930-39-1, 3-(4-Methylphenyl)propylamine 56613-80-0, (R)-2-Hydroxy-1-phenylethylamine 57260-71-6, Mono-N-Boc-piperazine 57260-73-8, Mono-N-Boc-ethylenediamine 58530-13-5, 3-Bromo-5-methylbenzoic acid 60875-16-3, 4-(3-Methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzoic acid 62039-92-3, 3-Trifluoromethyl-4-chlorobenzylamine 62416-04-0, 3-[(N-Methylanilino)sulfonyl]propanoic acid 65456-39-5, 2-Hydroxy-5-methylbenzylamine 66584-32-5, [(3-Bromophenyl)methyl]amine 67515-74-6, 3-Trifluoromethyl-4-fluorobenzylamine 67822-76-8, 1,3-Dioxo-2-propylisoindoline-5-carboxylic acid 69082-97-9, 5-(Methylsulfonyl)pentanoic acid 71773-95-0, (2S)-2-Amino-N-ethylpropanamide 72235-51-9, 2,3-Difluorobenzylamine 72235-53-1, 3,4-Difluorobenzylamine 72519-79-0, 4-[(N-Methylanilino)sulfonyl]butanoic acid 73604-31-6, 3-Hydroxybenzylamine 73918-56-6, [2-(4-Bromophenyl)ethyl]amine 75040-72-1, (S)-1-[[[(Phenylmethyl)amino]carbonyl]ethyl]amine 75178-96-0, 1,3-Diamino-3-N-Boc-propane 76197-44-9, 4-(Dipropylamino)-4-oxobutanoic acid 76197-47-2, 5-(Dipropylamino)-5-oxopentanoic acid 84110-40-7, Isobutylboronic acid 84914-65-8, (S)-1-[[[(2-Methylpropyl)amino]carbonyl]ethyl]amine 85068-29-7, [[3,5-Bis(trifluoromethyl)phenyl]methyl]amine 85118-06-5, 2,5-Difluorobenzylamine 86253-12-5, tert-Butylboronic acid 90390-27-5, [(3,5-Difluorophenyl)methyl]amine 93071-75-1, [[3-(Trifluoromethoxy)phenyl]methyl]amine 93071-76-2, 3-Ethoxybenzylamine 93919-56-3, [[4-(Trifluoromethoxy)phenyl]methyl]amine 98737-29-2, tert-Butyl [(1S)-1-((2S)-oxiranyl)-2-phenylethyl]carbamate 103127-56-6, tert-Butyl [1-(2-oxiranyl)-2-phenylethyl]carbamate 106719-44-2, N-Boc-D-Lys-OH 108050-51-7, (S)-1-[[[(2-Methylpropyl)amino]carbonyl]-2-phenylethyl]amine 111331-82-9, 3-[(tert-Butoxycarbonyl)amino]benzoic acid 122536-77-0, (3R)-(+)-3-Boc-aminopyrrolidine 126456-43-7, ((1S,2R)-2-Hydroxy-2,3-dihydro-1H-inden-1-yl)amine 128018-44-0, Benzyl [(1S)-1-((2S)-oxiranyl)-2-phenylethyl]carbamate 131915-18-9, (S)-2-Methyl-1-[[[(2-methylpropyl)amino]carbonyl]propyl]amine 136030-00-7, (1R,2S)-2,3-Dihydro-2-hydroxyinden-1-ylamine 143224-95-7, 2-Methyl-3-(methylsulfonyl)propanoic acid 150517-77-4, 3-Fluoro-5-trifluoromethylbenzylamine 154612-77-8, tert-Butyl [(1S)-2-(4-fluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate 161622-05-5, 3-Fluoro-5-(trifluoromethyl)benzoic acid 161805-76-1, [(Thiazol-5-yl)methyl]amine 165253-31-6, (Tetrahydro-3-furanylmethyl)amine 167299-68-5, 3-(Methoxycarbonyl)-5-methylbenzoic acid 172975-69-8, 3,5-Dimethylphenylboronic acid 175136-89-7, [(2-Chloro-6-phenoxyphenyl)methyl]amine 175205-64-8, [[2-(Trifluoromethoxy)phenyl]methyl]amine 176707-77-0, [(1R)-1-(3-Bromophenyl)ethyl]amine

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 177976-49-7, [(1,1'-Biphenyl-3-yl)methyl]amine 199296-61-2, 2-Fluoro-5-trifluoromethylbenzylamine 204841-19-0, 3-Acetylphenylboronic acid 205445-52-9, (2S)-2-[(tert-Butoxycarbonyl)amino]-3-(3,5-

difluorophenyl)propanoic acid 207791-55-7, 3,3-Dimethyl-4-oxo-4-(1-piperidinyl)butanoic acid 235106-09-9, 3-Fluoro-4-trifluoromethylbenzylamine 244022-71-7, 3-(Difluoromethoxy)benzylamine 313683-55-5, (3,5-Dicarboxycyclohexyl)amine 313683-57-7, [3,5-Bis(methoxycarbonyl)cyclohexyl]amine 347142-76-1, 5-Oxo-5-(1-piperidinyl)pentanoic acid 377083-88-0, 3-(2,4-Dimethylphenyl)propylamine 388072-23-9, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol 388072-25-1, 5-Methyl-N,N-dipropylisophthalamide acid 388072-28-4, (2R,3S)-3-Amino-4-phenyl-1-[(1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol 388072-37-5, (2R,3S)-3-Amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol 388072-39-7, 3-Bromo-4-fluorobenzylamine 388072-40-0, 5-Methyl-N-methyl-N-propylisophthalamide acid 388072-42-2, 5-Hydroxy-N,N-dipropylisophthalamide acid 388072-43-3, 3-[Butyl(butyryl)amino]-5-methylbenzoic acid 388072-44-4, 4-Methyl-N,N-dipropylisophthalamide acid 388072-45-5, 1-Butyl-1H-indole-6-carboxylic acid 388072-46-6, (2R,3S)-3-Amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol 388072-47-7, 2-Amino-1,3-thiazole-4-carboxylic acid hydrochloride 388072-48-8, (2R)-4-Amino-2,3,3-trimethyl-4-oxobutanoic acid 388072-50-2, 3-[(Dipropylamino)sulfonyl]propanoic acid 388072-51-3, 4-(Isopentylsulfonyl)butanoic acid 388072-52-4, 4-(Dipropylamino)-2,2-dimethyl-4-oxobutanoic acid 388072-53-5, 4-[(Dipropylamino)sulfonyl]butanoic acid 388072-54-6, 3-(Isopentylsulfonyl)propanoic acid 388072-56-8, tert-Butyl [(2R,3S)-3-[[3-cyano-5-[(propylamino)carbonyl]benzoyl]amino]-2-hydroxy-4-phenylbutyl] (3-methoxybenzyl) carbamate 388072-57-9, 3-[(Butyryl)(propyl)amino]-5-methylbenzoic acid 388072-59-1, 3-[(Dipropylamino)carbonyl]-5-(hydroxymethyl)benzoic acid 388072-60-4, (2R,3S)-3-Amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol dihydrochloride 388072-61-5, (2R,3S)-3-Amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol dihydrochloride 388072-62-6, 5-[(Dipropylamino)carbonyl][1,1'-biphenyl]-3-carboxylic acid 388072-65-9, 3-(Ethoxycarbonyl)-5-methylbenzoic acid 388072-67-1, 3-[[[3-(Benzyloxy)propyl](propyl)amino]carbonyl]-5-methylbenzoic acid 388072-70-6, 3-Methyl-5-(2-thienyl)benzoic acid 388072-71-7, 3-[(tert-Butoxycarbonyl)amino]-2-methylbutanoic acid 388072-72-8, (2R,3S)-3-Amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol 388072-73-9, N-(Isobutylsulfonyl)- $\beta$ -alanine 388072-74-0, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol 388072-75-1, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(1-phenylcyclopropyl)amino]-2-butanol 388072-76-2, (2R,3S)-3-Amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol hydrochloride 388072-83-1, Benzyl [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate 388075-35-2, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[[[1,3-thiazol-5-yl)methyl]amino]-2-butanol 388086-41-7, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-methyl-N',N'-dipropylisophthalamide trifluoroacetate 400771-44-0, [(3-Isopropoxyphenyl)methyl]amine 478375-40-5, Methyl 3-bromo-5-methylbenzoate 590423-18-0, [1-[(2-Methylpropyl)amino]carbonyl]ethylamine 590423-19-1, [1-Methyl-1-[(2-methylpropyl)amino]carbonyl]ethylamine 590423-20-4, [[[(2-Methylpropyl)amino]carbonyl]methyl]amine 590423-21-5, (S)-1-[[[(2-Methylpropyl)amino]carbonyl]propylamine 590423-22-6, (R)-1-[[[(2-Methylpropyl)amino]carbonyl]propylamine 590423-23-7, [2-[[[(2-Methylpropyl)amino]carbonyl]propyl]amine 590423-24-8, (S)-2-(Benzyloxy)-1-[[[(2-methylpropyl)amino]carbonyl]ethylamine 590423-25-9, (R)-2-Methyl-1-[[[(2-methylpropyl)amino]carbonyl]propylamine 590423-26-0, (S)-1-[[[(2-Methylpropyl)amino]carbonyl]butylamine 590423-27-1, (S)-2-Hydroxy-1-[[[(2-methylpropyl)amino]carbonyl]ethylamine 590423-28-2, (S)-[[[(2-Methylpropyl)amino]carbonyl](phenyl)methylamine

590423-29-3, (3,5-Dimethoxycyclohexyl)amine 590423-30-6,  
 (4-Methoxytetralin-1-yl)amine 590423-40-8, 3-[Bis(2-  
 methoxyethyl)amino]benzoic acid 590423-41-9, 3-[(2-  
 Methoxyethyl)(propyl)amino]benzoic acid 590423-42-0,  
 5-Methyl-2-methylaminopyrazine 590423-43-1, 5-  
 (Dipropylaminocarbonyl)furan-2-carboxamide 590423-45-3,  
 5-Isobutylisophthalic acid 590423-71-5 590423-74-8,  
 [2-[(2-Dimethylaminoethyl)(methyl)amino]ethoxy]acetic acid  
 RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amine prodrugs useful in treating  
 Alzheimer's disease)

IT 2130-96-3P, (2S)-3-[4-(Benzyloxy)phenyl]-2-[(tert-  
 butoxycarbonyl)amino]propanoic acid 13536-04-4P, 2-  
 Butylcyclopropanecarboxylic acid 16536-95-1P, Isovalerothioamide  
 28179-47-7P, 3-Amino-5-(methoxycarbonyl)benzoic acid 41049-53-0P,  
 1-Phenylcyclopropylamine 50399-51-4P, (7-Methoxytetralin-1-yl)amine  
 65399-17-9P, 5-(Methoxycarbonyl)-2-methylbenzoic acid 84374-70-9P,  
 3-Methyl-2-furoic amide 92136-39-5P, N-BOCpropargylamine 106691-72-9P,  
 tert-Butyl ((3R)-2-oxoazepan-3-yl)carbamate 131052-47-6P,  
 4-Aminomethyl-3,5-dimethylisoxazole 161796-10-7P, 3-Bromo-5-  
 (methoxycarbonyl)benzoic acid 162536-83-6P, tert-Butyl  
 [(1S)-1-[4-(benzyloxy)benzyl]-3-bromo-2-oxopropyl]carbamate  
 162536-84-7P, tert-Butyl [(1S)-2-[4-(benzyloxy)phenyl]-1-((2S)-  
 oxiranyl)ethyl]carbamate 181425-91-2P, Diethyl 5-  
 (hydroxymethyl)isophthalate 192863-37-9P, Potassium trifluoro(3-  
 thienyl)borate 328284-59-9P, Methyl 3-[(dipropylamino)carbonyl]-5-  
 nitrobenzoate 388066-63-5P, tert-Butyl [(2R,3S)-3-[[3-  
 [(dipropylamino)sulfonyl]propanoyl]amino]-2-hydroxy-4-phenylbutyl] (3-  
 methoxybenzyl)carbamate 388067-66-1P, N-[(1S,2R)-1-[4-(Benzyloxy)benzyl]-  
 2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-methyl-N',N'-  
 dipropylisophthalamide 388071-08-7P, Methyl 3-bromo-5-  
 [(dipropylamino)carbonyl]benzoate 388071-09-8P, 3-Bromo-5-  
 [(dipropylamino)carbonyl]benzoic acid 388071-10-1P, Methyl  
 3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-11-2P,  
 3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid 388071-12-3P,  
 3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid 388071-13-4P, Methyl  
 3-amino-5-[(dipropylamino)carbonyl]benzoate 388071-14-5P, Methyl  
 3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-15-6P,  
 Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate  
 388071-16-7P, 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid  
 388071-17-8P, Methyl 3-[(dipropylamino)carbonyl]-5-[(1-  
 pyrrolidinyl)sulfonyl]benzoate 388071-18-9P, 3-[(Dipropylamino)carbonyl]-  
 5-[(1-pyrrolidinyl)sulfonyl]benzoic acid 388071-19-0P, Methyl  
 3-[(dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoate  
 388071-20-3P, 3-[(Dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoic  
 acid 388071-21-4P, Methyl 3-[(dimethylamino)sulfonyl]-5-  
 [(dipropylamino)carbonyl]benzoate 388071-22-5P, 3-  
 [(Dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoic acid  
 388071-23-6P, Methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate  
 388071-24-7P, 3-[(Dipropylamino)carbonyl]-5-ethylbenzoic acid  
 388071-25-8P, tert-Butyl [(1S)-3-bromo-1-(3,5-difluorobenzyl)-2-  
 oxopropyl]carbamate 388071-26-9P, tert-Butyl [(1S,2S)-3-bromo-1-(3,5-  
 difluorobenzyl)-2-hydroxypropyl]carbamate 388071-27-0P, tert-Butyl  
 [(1S)-2-(3,5-difluorophenyl)-1-((2S)-oxiranyl)ethyl]carbamate  
 388071-28-1P, tert-Butyl [(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-  
 hydroxypropyl]carbamate 388071-31-6P, N-[(1S,2R)-3-Azido-1-(3,5-  
 difluorobenzyl)-2-hydroxypropyl]-5-methyl-N',N'-dipropylisophthalamide  
 388071-33-8P, N-[(1S,2R)-3-Amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
 methyl-N',N'-dipropylisophthalamide acetic acid salt 388071-35-0P,  
 Benzyl [(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]carbam

ate 388071-37-2P, tert-Butyl ((2R,3S)-3-amino-2-hydroxy-4-phenylbutyl) (3-methoxybenzyl) carbamate 388071-39-4P, tert-Butyl [(2R,3S)-3-[[3-cyano-5-[(dipropylamino) carbonyl] benzoyl] amino]-2-hydroxy-4-phenylbutyl] (3-methoxybenzyl) carbamate 388071-41-8P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl] carbamate 388071-43-0P, 9H-Fluoren-9-ylmethyl [(2R,3S)-3-[(tert-butyloxycarbonyl) amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl] (3-iodobenzyl) carbamate hydrochloride 388071-68-9P, 3-(Ethoxycarbonyl)-5-(hydroxymethyl) benzoic acid 388071-69-0P, Ethyl 3-[(dipropylamino) carbonyl]-5-(hydroxymethyl) benzoate 388071-70-3P, Ethyl 3-(bromomethyl)-5-[(dipropylamino) carbonyl] benzoate 388071-71-4P, 3-(Cyanomethyl)-5-[(dipropylamino) carbonyl] benzoic acid 388071-72-5P, Methyl 3-[(dipropylamino) carbonyl]-5-ethynyl benzoate 388071-73-6P, 3-[(Dipropylamino) carbonyl]-5-ethynyl benzoic acid 388071-74-7P, tert-Butyl [(1S,2R)-1-benzyl-2-hydroxy-3-[[3-(trifluoromethyl) benzyl] amino] propyl] carbamate 388071-75-8P, (2R,3S)-3-Amino-4-phenyl-1-[[3-(trifluoromethyl) benzyl] amino]-2-butanol dihydrochloride 388071-76-9P, Methyl 3-[(dipropylamino) carbonyl]-5-(8-quinolinyl) benzoate 388071-77-0P, 3-[(Dipropylamino) carbonyl]-5-(8-quinolinyl) benzoic acid 388071-78-1P, 5-[(Dipropylamino) carbonyl]-4'-methoxy[1,1'-biphenyl]-3-carboxylic acid 388071-80-5P, tert-Butyl [(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl] carbamate 388071-82-7P, Methyl 3-[(dipropylamino) carbonyl]-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl) benzoate 388071-83-8P, Methyl 4'-[(dimethylamino) sulfonyl]-5-[(dipropylamino) carbonyl] [1,1'-biphenyl]-3-carboxylate 388071-84-9P, Methyl 4'-[(dimethylamino) sulfonyl]-5-[(dipropylamino) carbonyl] [1,1'-biphenyl]-3-carboxylic acid 388071-87-2P 388071-88-3P, Methyl 3-[(dipropylamino) carbonyl]-5-(3-thienyl) benzoate 388071-89-4P, 3-[(Dipropylamino) carbonyl]-5-(3-thienyl) benzoic acid 388071-90-7P, Methyl 3-methyl-5-pentanoyl benzoate 388071-91-8P, 3-Methyl-5-pentanoyl benzoic acid 388071-92-9P, 4-(Benzyloxy)-N-propylbutanamide 388071-93-0P, N-[4-(Benzyloxy) butyl]-N-propylamine 388071-94-1P, Ethyl 3-[[[4-(benzyloxy) butyl] (propyl) amino] carbonyl]-5-methyl benzoate 388071-95-2P, 3-[[[4-(Benzyloxy) butyl] (propyl) amino] carbonyl]-5-methyl benzoic acid 388071-96-3P, tert-Butyl [(1S,2R)-1-[4-(benzyloxy) benzyl]-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl] carbamate 388071-99-6P, tert-Butyl [(1S,2R)-1-benzyl-3-[[3-(2,4-dimethylphenyl) propyl] amino]-2-hydroxypropyl] carbamate 388072-03-5P, 3-Methyl-5-(3-thienyl) benzoic acid 388072-08-0P, (2-Butylcyclopropyl) amine hydrochloride 388072-09-1P, 2-Aminomethyl-3-methylfuran 388072-10-4P, 5-Hydroxymethyl-2-(2-methylpropyl) thiazole 388072-11-5P, Ethyl 2-(2-methylpropyl) thiazole-5-carboxylate 388072-12-6P, 3-(2-Methylpropyl)-5-aminomethylisoxazole 388072-13-7P, 3-(2-Methylpropyl)-5-[(Bocamino) methyl] isoxazole 388072-14-8P, tert-Butyl ((3R)-2-oxo-1-propylazepan-3-yl) carbamate 388072-19-3P, N-[(1S,2R)-1-(3,5-Difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl) amino] propyl]-N'-(isobutylsulfonyl)-β-alaninamide trifluoroacetate 388072-58-0P, Ethyl 3-(cyanomethyl)-5-[(dipropylamino) carbonyl] benzoate 388072-63-7P, (2R,3S)-3-Amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl) amino]-2-butanol dihydrochloride 388072-66-0P, (2R,3S)-3-Amino-4-[4-(benzyloxy) phenyl]-1-[(3-methoxybenzyl) amino]-2-butanol hydrochloride 388072-68-2P, 3-[[[(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl) amino] propyl] amino] carbonyl]-4-methyl benzoate 388072-69-3P, Methyl 3-methyl-5-(3-thienyl) benzoate 388075-50-1P, tert-Butyl [(1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl) amino]-2-oxopropyl] carbamate 388075-52-3P, tert-Butyl [(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl] carbamate 477790-42-4P, 9H-Fluoren-9-ylmethyl [(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl] (3-iodobenzyl) carbamate hydrochloride 477790-43-5P, 9H-Fluoren-9-ylmethyl [(2R,3S)-4-(3,5-difluorophenyl)-2-hydroxy-3-[[5-oxo-

5-(1-piperidinyl)pentanoyl]amino]butyl](3-iodobenzyl)carbamate  
 590423-31-7P 590423-44-2P 590423-65-7P, (2R,3S)-3-Amino-1-[[3-(2,4-dimethylphenyl)propyl]amino]-4-phenyl-2-butanol hydrochloride  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

IT 593298-56-7 593298-57-8

RL: PRP (Properties)

(unclaimed protein sequence; preparation of substituted amines prodrugs useful in treating Alzheimer's disease)

IT 150234-52-9 186142-26-7 288584-07-6 288584-08-7 388083-33-8

478799-42-7 478799-43-8

RL: PRP (Properties)

(unclaimed sequence; preparation of substituted amines prodrugs useful in treating Alzheimer's disease)

IT 388062-21-3P, N-[(1S,2R)-1-Benzyl-2-hydroxy-3-(4-toluidino)propyl]-

N',N'-dipropylisophthalamide

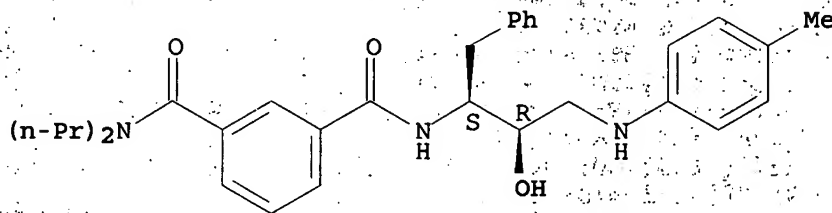
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted amine prodrugs useful in treating Alzheimer's disease)

RN 388062-21-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(4-methylphenyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 22 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:472477 HCAPLUS

DN 139:52753

TI Preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors

IN Tenbrink, Ruth; Maillard, Michel; Warpehoski, Martha

PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SO PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050073	A1	20030619	WO 2002-US39050	20021206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,				

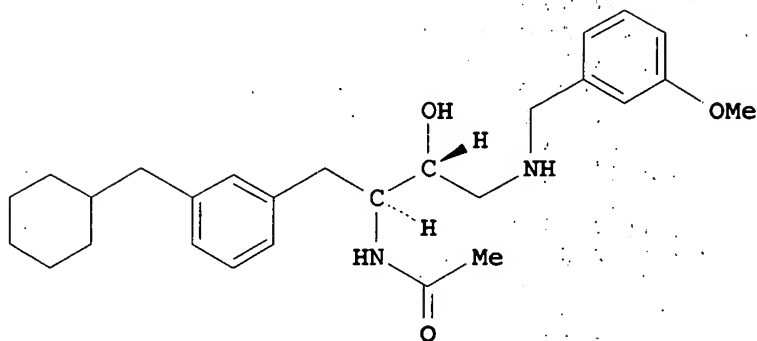
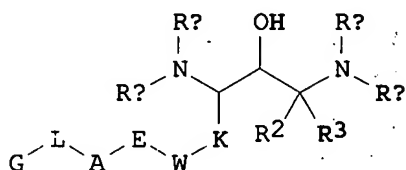
UG, US, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2469622	AA	20030619	CA 2002-2469622	20021206
US 2004044072	A1	20040304	US 2002-313849	20021206
EP 1453788	A1	20040908	EP 2002-795769	20021206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

BR 2002014736	A	20041123	BR 2002-14736	20021206
JP 2005511735	T2	20050428	JP 2003-551100	20021206

PRAI US 2001-338452P P 20011206  
 WO 2002-US39050 W 20021206  
 OS MARPAT 139:52753  
 GI



AB Title compds. I [E = bond, alkylene; RA = H, benzyloxycarbonyl; RD = H, alkoxy carbonyl; K = (un)substituted alkyl; A = aryl, cycloalkyl, heteroaryl, etc.; W = bond, SOO-2, (un)substituted amino; L = bond, absent, etc.; G = absent, alkyl, cycloalkyl, etc.; R2-3 = H, alkyl, aryl, etc.; RN = Ph naphthyl, tetralinyl, etc.; RC = heteroaryl, etc.] are prepared as  $\beta$ -secretase inhibitors. For instance, N-[(1S,2R)-1-[3-(cyclohexylmethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]acetamide (II) isolated as the HCl salt is prepared in several steps. The key intermediate in the synthesis is derived from the asym. hydrogenation of Me 2-[[[(benzyloxy)carbonyl]amino]-3-(2-bromophenyl)acrylate (preparation given) to give the corresponding phenylalanine analog intermediate. I are useful for the treatment of Alzheimer's disease.

IC ICM C07C215-18  
 ICS C07C215-10; C07C217-58; C07C233-36; C07C233-78; C07C271-20;



C07C323-42; C07C323-38; C07C309-74; C07D263-30; C07D303-36

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)  
Section cross-reference(s): 1, 34

ST hydroxyethylamine arylamide beta secretase inhibitor prepn

IT Inclusion bodies  
(Lewy bodies; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Brain, disease  
(amyloid angiopathy; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Hemorrhage  
(cerebral, hereditary; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Mental and behavioral disorders  
(dementia; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Brain, disease  
(hemorrhage, hereditary; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Alzheimer's disease  
Amyloidosis  
Anti-Alzheimer's agents  
Antiparkinsonian agents  
Cognitive disorders  
Down's syndrome  
Human  
Parkinson's disease  
(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT Paralysis  
(pseudobulbar; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 527722-73-2P 527722-74-3P 546115-09-7P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 527730-33-2P 527730-41-2P 527730-58-1P 527731-12-0P 527731-44-8P  
546115-10-0P 546115-11-1P 546115-12-2P 546115-13-3P 546115-14-4P  
546115-15-5P 546115-16-6P 546115-17-7P 546115-18-8P 546115-19-9P  
546115-20-2P 546115-21-3P 546115-22-4P 546115-23-5P 546115-24-6P  
546115-25-7P 546115-26-8P 546115-27-9P 546115-28-0P 546115-29-1P  
546115-30-4P 546115-31-5P 546115-32-6P 546115-33-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 93-40-3, 3,4-Dimethoxyphenylacetic acid 109-65-9, Butyl bromide  
111-25-1, Hexyl bromide 591-18-4, 1-Iodo-3-bromobenzene 626-01-7,  
3-Iodoaniline 1192-37-6 2114-39-8, 2-Bromo-1-phenylpropane  
2550-36-9, ((Cyclohexyl)methyl)bromide 3132-99-8, m-Bromobenzaldehyde  
5680-80-8, Serine methyl ester hydrochloride 16428-75-4, Serine  
hydrochloride 88568-95-0 90819-30-0 93071-79-5, 3-Ethylbenzylamine  
177760-52-0, Ethyl 2-aminooxazole-4-carboxylate 388072-25-1

473916-47-1 546115-64-4 546115-65-5

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 2766-43-0P 23037-61-8P 27762-64-7P 55477-80-0P 131980-38-6P  
488805-22-7P 546115-34-8P 546115-35-9P 546115-36-0P 546115-37-1P  
546115-38-2P 546115-39-3P 546115-40-6P 546115-41-7P 546115-42-8P  
546115-43-9P 546115-44-0P 546115-45-1P 546115-46-2P 546115-47-3P  
546115-48-4P 546115-49-5P 546115-50-8P 546115-51-9P 546115-52-0P  
546115-53-1P 546115-54-2P 546115-55-3P 546115-56-4P 546115-57-5P  
546115-58-6P 546115-59-7P 546115-60-0P 546115-61-1P  
546115-62-2P 546115-63-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 186142-26-7 186142-28-9 252256-37-4 288584-07-6 288584-08-7  
478686-67-8 534583-82-9

RL: PRP (Properties)

(unclaimed sequence; preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

IT 546115-61-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

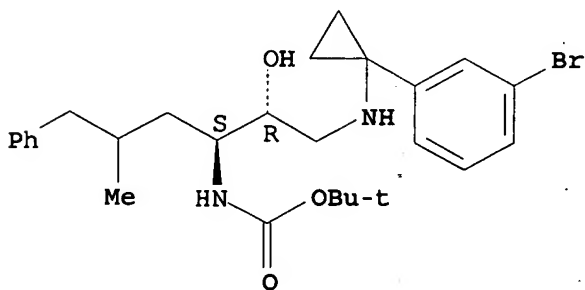
(Preparation); RACT (Reactant or reagent)

(preparation of substituted hydroxyethylamines as  $\beta$ -secretase inhibitors)

RN 546115-61-1 HCAPLUS

CN Carbamic acid, [(1S)-1-[(1R)-2-[[1-(3-bromophenyl)cyclopropyl]amino]-1-hydroxyethyl]-3-methyl-4-phenylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 23 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:412801 HCAPLUS

DN 139:245782

TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease

IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy

PA Elan Pharmaceuticals, Inc., USA; Pharmacia &amp; Upjohn Company

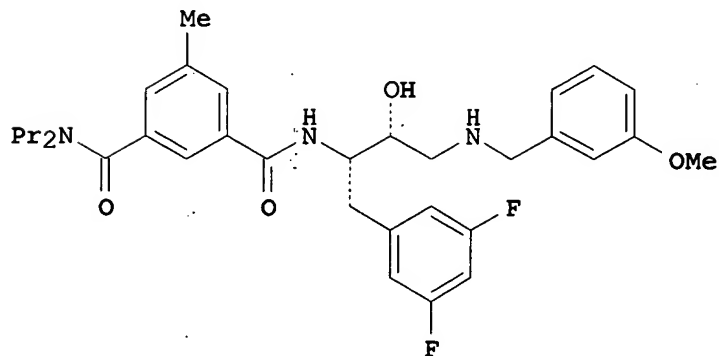
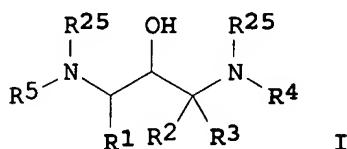
SO PCT Int. Appl., 1243 pp.

CODEN: PIXXD2

DT Patent

LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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	WO 2003040096	A2	20030515	WO 2002-US36072	20021108
	WO 2003040096	A3	20040506		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 2001-337122P	P	20011108		
	US 2001-344086P	P	20011228		
	US 2002-345635P	P	20020103		
	WO 2002-US36072	A	20021108		
OS	MARPAT 139:245782				
GI					



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.;

R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO<sub>2</sub>, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO<sub>2</sub>, (un)substituted CH<sub>2</sub>; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of  $\beta$ -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared. E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-difluorophenyl)propanoic acid, was given. The compds. I showed IC<sub>50</sub> of < 20  $\mu$ M in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 2 of 1-2 series.

IC C07D

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 28

ST hydroxypropanediamine prepn Alzheimer disease beta secretase inhibitor; isophthalamide aminohydroxypropyl prepn Alzheimer disease beta secretase inhibitor

IT Alzheimer's disease

Anti-Alzheimer's agents

Human

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT 527728-59-2P 527731-54-0P 527731-65-3P 527732-41-8P

527732-43-0P 527733-02-4P 527734-13-0P

527734-22-1P 597561-18-7P 597561-19-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT 388062-16-6P 388062-17-7P 388063-33-0P 388063-35-2P 388064-67-3P

388064-69-5P 388064-70-8P 388064-96-8P 388065-05-2P 388065-48-3P

388065-54-1P 388066-12-4P 388066-14-6P 388066-16-8P 388066-17-9P

388066-18-0P 388066-19-1P 388066-20-4P 388066-21-5P 388066-25-9P

388066-26-0P 388066-28-2P 388066-29-3P 388066-31-7P 388066-32-8P

388066-39-5P 388066-40-8P 388066-86-2P 388066-90-8P 388067-17-2P

388067-20-7P 388067-23-0P 388067-43-4P 388067-75-2P 388067-87-6P

388068-39-1P 388068-53-9P 388068-62-0P 388068-68-6P 388068-70-0P

388068-85-7P 388069-49-6P 388070-55-1P 388070-59-5P 388070-60-8P

388070-61-9P 388070-76-6P 388070-80-2P 388070-97-1P 388071-79-2P

388071-81-6P 388071-85-0P 388073-70-9P 388073-72-1P 388077-92-7P

477790-46-8P 477790-49-1P 477790-57-1P 477791-08-5P 477791-10-9P

477791-18-7P 477791-19-8P 477791-21-2P 477791-23-4P

477791-30-3P 477791-32-5P 477791-33-6P 477791-39-2P 477791-41-6P

477791-46-1P 477791-48-3P 477791-54-1P 477791-56-3P 477791-58-5P

477791-59-6P 477791-96-1P 477791-97-2P 477791-99-4P 477792-09-9P

477792-25-9P 477792-32-8P 477792-35-1P 477792-38-4P 477792-40-8P

477792-42-0P 477792-43-1P 477792-44-2P 477792-45-3P 477792-46-4P

477792-47-5P 477792-49-7P 477792-51-1P 477792-53-3P 477792-55-5P

477792-56-6P 477792-57-7P 477792-58-8P 477792-59-9P 477792-60-2P

477792-61-3P 477792-62-4P 477792-63-5P 477792-64-6P 477792-65-7P

477792-66-8P 477792-71-5P 477792-75-9P 477792-79-3P

477792-83-9P 477792-84-0P 477792-88-4P 477792-89-5P 477792-90-8P

477792-91-9P 477792-92-0P 477792-93-1P 477792-96-4P 477792-97-5P

477792-98-6P 477792-99-7P 477793-05-8P 477793-06-9P 477793-07-0P

477793-09-2P 477793-10-5P 477793-11-6P 477793-12-7P 477793-13-8P

477793-14-9P 477793-15-0P 477793-16-1P 477793-18-3P 477793-19-4P

477793-20-7P	477794-23-3P	488846-58-8P	488846-68-0P	488846-69-1P
527712-55-6P	527712-57-8P	527712-59-0P	527712-61-4P	
527712-62-5P	527712-64-7P	527716-52-5P	527716-58-1P	527716-59-2P
527716-60-5P	527716-61-6P	527716-63-8P	527716-64-9P	
527716-65-0P	527716-66-1P	527716-67-2P	527716-68-3P	527716-70-7P
527716-71-8P	527716-73-0P	527716-75-2P	527716-77-4P	527716-78-5P
527716-79-6P	527716-82-1P	527716-83-2P	527716-85-4P	527716-86-5P
527716-87-6P	527716-90-1P	527716-91-2P		
527716-92-3P	527716-93-4P	527716-94-5P	527716-96-7P	
527716-97-8P	527716-98-9P	527716-99-0P	527717-00-6P	
527717-01-7P	527717-02-8P	527717-04-0P	527717-06-2P	
527717-08-4P	527717-10-8P	527717-11-9P		
527717-12-0P	527717-13-1P	527717-14-2P	527717-16-4P	527717-17-5P
527717-21-1P	527717-22-2P	527717-23-3P	527717-24-4P	527717-27-7P
527717-29-9P	527717-30-2P	527717-31-3P	527717-32-4P	527717-34-6P
527717-38-0P	527717-39-1P	527717-41-5P	527717-42-6P	527717-44-8P
527717-45-9P	527717-49-3P	527717-53-9P	527717-54-0P	527717-57-3P
527717-64-2P	527717-65-3P	527717-68-6P	527717-73-3P	527717-74-4P
527717-84-6P	527717-86-8P	527717-87-9P	527720-79-2P	527720-83-8P
527721-90-0P	527722-58-3P	527724-43-2P	527726-37-0P	527726-38-1P
527726-39-2P	527726-40-5P	527726-41-6P	527726-42-7P	527726-45-0P
527726-46-1P	527726-49-4P	527726-50-7P	527726-51-8P	527726-52-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527726-53-0P	527726-54-1P	527726-55-2P	527726-56-3P	527726-57-4P
	527726-58-5P	527726-60-9P	527726-62-1P	527726-63-2P	527726-66-5P
	527726-67-6P	527726-68-7P	527726-69-8P	527726-73-4P	527726-74-5P
	527726-75-6P	527726-76-7P	527726-77-8P	527726-78-9P	527726-79-0P
	527726-80-3P	527726-81-4P	527726-82-5P	527726-83-6P	527726-85-8P
	527726-86-9P	527726-87-0P	527726-88-1P	527726-89-2P	527726-90-5P
	527726-91-6P	527726-92-7P	527726-93-8P	527726-94-9P	527726-95-0P
	527726-96-1P	527726-97-2P	527726-98-3P	527726-99-4P	
	527727-00-0P	527727-01-1P	527727-02-2P	527727-03-3P	
	527727-04-4P	527727-06-6P	527727-07-7P	527727-08-8P	
	527727-09-9P	527727-10-2P	527727-11-3P	527727-12-4P	527727-13-5P
	527727-14-6P	527727-15-7P	527727-16-8P	527727-17-9P	527727-18-0P
	527727-19-1P	527727-20-4P	527727-21-5P	527727-22-6P	527727-23-7P
	527727-24-8P	527727-25-9P	527727-26-0P	527727-27-1P	
	527727-29-3P	527727-30-6P	527727-31-7P	527727-32-8P	
	527727-33-9P	527727-34-0P	527727-35-1P	527727-36-2P	
	527727-37-3P	527727-38-4P	527727-39-5P	527727-40-8P	527727-41-9P
	527727-42-0P	527727-43-1P	527727-44-2P	527727-45-3P	527727-46-4P
	527727-47-5P	527727-48-6P	527727-49-7P	527727-57-7P	527727-59-9P
	527727-60-2P	527727-61-3P	527727-62-4P	527727-63-5P	527727-64-6P
	527727-65-7P	527727-66-8P	527727-67-9P	527727-79-3P	527727-80-6P
	527727-81-7P	527727-82-8P	527727-84-0P	527727-85-1P	527727-86-2P
	527727-87-3P	527727-88-4P	527727-89-5P	527727-90-8P	527727-94-2P
	527727-95-3P	527727-96-4P	527727-98-6P	527727-99-7P	
	527728-03-6P	527728-04-7P	527728-07-0P	527728-09-2P	527728-11-6P
	527728-13-8P	527728-14-9P	527728-15-0P	527728-27-4P	527728-29-6P
	527728-30-9P	527728-32-1P	527728-33-2P	527728-37-6P	527728-39-8P
	527728-44-5P	527728-45-6P	527728-46-7P	527728-47-8P	527728-49-0P
	527728-53-6P	527728-54-7P	527728-56-9P	527728-57-0P	527728-58-1P
	527728-60-5P	527728-61-6P	527728-67-2P	527728-69-4P	527728-71-8P
	527728-72-9P	527728-81-0P	527728-84-3P	527728-85-4P	527728-95-6P
	527728-96-7P	527728-99-0P	527729-00-6P	527729-01-7P	527729-03-9P
	527729-04-0P	527729-05-1P	527729-09-5P	527729-88-0P	527729-94-8P

527730-03-6P	527730-14-9P	527730-16-1P	527730-18-3P	527730-19-4P
527730-20-7P	527730-27-4P	527730-28-5P	527730-33-2P	527730-36-5P
527730-38-7P	527730-39-8P	527730-40-1P	527730-43-4P	527730-51-4P
527730-52-5P	527730-53-6P	527730-55-8P	527730-56-9P	527730-57-0P
527730-58-1P	527730-59-2P	527730-61-6P	527730-62-7P	527730-63-8P
527730-71-8P	527730-73-0P	527730-75-2P	527730-77-4P	527730-79-6P
527730-81-0P	527730-83-2P	527730-85-4P	527730-87-6P	527730-90-1P
527730-92-3P	527730-94-5P	527730-96-7P	527730-98-9P	527731-00-6P
527731-03-9P	527731-06-2P	527731-18-6P	527731-21-1P	527731-23-3P
527731-25-5P	527731-44-8P	527731-46-0P	527731-49-3P	527731-63-1P

527731-66-4P 527731-80-2P 527731-81-3P

527731-85-7P 527731-88-0P 527731-89-1P 527731-94-8P

527732-32-7P 527732-33-8P 527732-34-9P 527732-35-0P 527732-37-2P

527732-42-9P 527732-44-1P 527732-45-2P 527732-70-3P 527732-71-4P

527732-80-5P 527732-82-7P 527732-83-8P 527732-84-9P 527733-00-2P

527733-01-3P 527733-04-6P 527733-05-7P

527733-06-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT 527733-07-9P 527733-08-0P 527733-09-1P

527733-10-4P 527733-11-5P 527733-15-9P 527733-27-3P

527733-28-4P 527733-33-1P 527733-34-2P

527733-35-3P 527733-36-4P 527733-75-1P 527733-76-2P

527733-77-3P 527733-78-4P 527733-80-8P 527733-93-3P

527733-96-6P 527733-99-9P 527734-02-7P

527734-05-0P 527734-06-1P 527734-07-2P 527734-08-3P

527734-09-4P 527734-10-7P 527734-11-8P

527734-12-9P 527734-14-1P 527734-15-2P

527734-16-3P 527734-17-4P 527734-18-5P 527734-19-6P 527734-20-9P

527734-21-0P 527734-23-2P 527734-25-4P 527734-26-5P

527734-27-6P 527734-28-7P 527734-29-8P

527734-30-1P 527734-31-2P 527734-32-3P 527734-33-4P

527734-34-5P 527734-35-6P 527734-42-5P 527734-52-7P 527735-99-5P

527736-02-3P 527736-04-5P 527736-15-8P 527736-16-9P 527736-31-8P

528116-67-8P 528116-78-1P 528598-10-9P 597559-71-2P 597559-72-3P

597559-73-4P 597559-75-6P 597559-76-7P 597559-77-8P 597559-79-0P

597559-80-3P 597559-81-4P 597559-82-5P 597559-83-6P 597559-84-7P

597559-86-9P 597559-87-0P 597559-91-6P 597559-92-7P 597559-93-8P

597559-95-0P 597559-96-1P 597559-97-2P 597559-98-3P 597559-99-4P

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597560-81-1P 597560-82-2P 597560-83-3P 597560-85-5P 597560-86-6P  
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 597560-90-2P 597560-91-3P 597560-92-4P 597560-93-5P  
 597560-94-6P 597560-95-7P 597560-96-8P 597560-97-9P  
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 597561-23-4P 597561-24-5P 597561-25-6P 597561-26-7P 597561-27-8P  
 597562-06-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
 Alzheimer's disease)

IT 66-25-1, Hexanal 70-23-5, Ethyl bromopyruvate 74-99-7, Propyne  
 75-86-5 78-81-9, Isobutylamine 78-95-5, Chloroacetone 79-44-7,  
 Dimethylcarbamyl chloride 86-58-8, 8-Quinolineboronic acid 94-09-7,  
 Ethyl 4-aminobenzoate 94-53-1, Piperonylic acid 94-60-0, Dimethyl  
 cyclohexane-1,4-dicarboxylate 99-42-3, Methyl 4-hydroxy-3-nitrobenzoate  
 100-52-7, Benzaldehyde, reactions 100-60-7, N-Methylcyclohexylamine  
 100-82-3, 3-Fluorobenzylamine 103-67-3, N-Methylbenzylamine 104-79-0  
 105-53-3, Diethyl malonate 106-94-5, 1-Bromopropane 106-95-6, Allyl  
 bromide, reactions 107-10-8, Propylamine, reactions 108-30-5, Succinic  
 anhydride, reactions 108-55-4, Glutaric anhydride 108-85-0, Cyclohexyl  
 bromide 108-91-8, Cyclohexylamine, reactions 109-65-9, 1-Bromobutane  
 109-73-9, 1-Butylamine, reactions 110-68-9, N-Methylbutylamine  
 123-75-1, Pyrrolidine, reactions 142-84-7, Dipropylamine 288-42-6,  
 Oxazole 288-47-1, Thiazole 498-60-2, 3-Furaldehyde 504-60-9,  
 Pipyrene 536-25-4, Methyl 3-amino-4-hydroxybenzoate 542-69-8,  
 1-Iodobutane 557-93-7, 2-Bromopropene 580-20-1, Quinolin-7-ol  
 586-95-8, 4-(Hydroxymethyl)pyridine 590-86-3, Isovaleraldehyde  
 619-44-3, Methyl 4-iodobenzoate 625-43-4, N-Methylisobutylamine  
 626-55-1, 3-Bromopyridine 627-35-0, N-Methylpropylamine 644-35-9,  
 2-Propylphenol 693-04-9, Butylmagnesium chloride 696-40-2,  
 3-Iodobenzylamine 707-60-8, 713-52-0, Methyl 3-hydroxy-4-nitrobenzoate  
 762-39-0, 2-Chlorohexanal 821-10-3, 1,4-Dichloro-2-butyne 867-13-0,  
 Triethylphosphonoacetate 873-62-1, 3-Cyanophenol 1003-29-8,  
 1H-Pyrrole-2-carboxaldehyde 1068-90-2, Diethyl acetamidomalonate  
 1076-97-7, Cyclohexane-1,4-dicarboxylic acid 1129-28-8, Methyl  
 3-(bromomethyl)benzoate 1436-34-6, 1,2-Epoxyhexane 1629-60-3,  
 1-Hexen-3-one 1639-06-1, 4-Mercaptoheptane 1670-81-1,  
 Indole-5-carboxylic acid 1874-23-3, Methyl 5-nitro-2-furoate  
 1877-71-0, Methyl hydrogen isophthalate 1955-46-0, 3-(Methoxycarbonyl)-5-  
 nitrobenzoic acid 2237-30-1, 3-Aminobenzonitrile 2450-71-7,  
 Propargylamine 2458-12-0, 3-Amino-4-methylbenzoic acid 2687-43-6,  
 O-Benzylhydroxylamine hydrochloride 2740-83-2, 3-  
 Trifluoromethylbenzylamine 2759-28-6, N-Benzylpiperazine 2937-50-0,  
 Allyl chloroformate 2942-58-7, Diethyl cyanophosphonate 3167-49-5,  
 6-Aminonicotinic acid 4104-44-3, N-Methylisoamylamine 4105-93-5,  
 Diethyl 1,3,5-benzenetricarboxylate 4347-33-5, 5-Formylthien-2-ylboronic  
 acid 4412-96-8 4637-24-5, Dimethylformamide dimethyl acetal  
 4753-75-7, N-Methylfurfurylamine 4869-59-4 5071-96-5,  
 3-Methoxybenzylamine 5436-21-5 5470-70-2, Methyl 6-methylnicotinate  
 5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2, 1-  
 Phenylcyclopropanecarboxylic acid 6165-69-1, Thiophene-3-boronic acid  
 6515-58-8, 3-(Bromomethyl)benzoic acid 6836-19-7, 7-Methoxy-1-tetralone  
 7117-30-8 7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9,  
 m-Bromobenzylamine 10365-98-7, 3-Methoxyphenylboronic acid 10385-30-5,  
 4-Benzyloxybutyric acid 13331-23-2, 2-Furanylboronic acid 14906-59-3,



4-Cyanopyridine-N-oxide 15761-38-3, N-tert-Butoxycarbonyl-L-alanine  
 16369-21-4 16533-71-4 16536-95-1 18202-73-8 19524-06-2,  
 4-Bromopyridine hydrochloride 19721-22-3, 3-Mercapto-1-propanol  
 19788-37-5, 4-Chloromethyl-3,5-dimethylisoxazole 20193-20-8,  
 N-Ethylpropylamine 22812-61-9, Methyl 3,5-dibromo-4-methoxybenzoate  
 24964-64-5, 3-Cyanobenzaldehyde 25419-06-1, N-Methylpentylamine  
 25462-85-5, 2-Chloro-6-methylisonicotinic acid 27513-44-6 31938-07-5,  
 3-Bromobenzyl cyanide 33142-21-1, Ethyl formylchloroacetate  
 33240-34-5, Cyclopentylmagnesium bromide 35356-70-8, Methyl  
 2-acetamidoacrylate 37920-25-5, 4-Butylacetophenone 38256-93-8  
 42521-09-5, Methyl 2,6-dichloroisonicotinate 50340-79-9,  
 5-(Methoxycarbonyl)thiophene-2-carboxylic acid 51760-21-5, Dimethyl  
 5-bromoisophthalate 54925-64-3, 1-tert-Butyldimethylsilylimidazole  
 56542-67-7, 3-Cyanobenzenesulfonyl chloride 59408-74-1 60031-08-5,  
 3-Oxoindane-5-carboxylic acid 63126-47-6, (S)-2-  
 (Methoxymethyl)pyrrolidine 67319-04-4, 1-Ethoxymethylimidazole  
 67751-23-9 68832-13-3 79099-07-3, N-tert-Butoxycarbonylpiperidin-4-one  
 79416-27-6 84025-81-0 84358-13-4, 1-(tert-Butoxycarbonyl)piperidine-4-  
 carboxylic acid 87199-15-3, 3-(Hydroxymethyl)phenylboronic acid  
 89793-11-3, Methyl 2-chloro-6-methylpyrimidine-4-carboxylate 93071-79-5,  
 m-Ethylbenzylamine 97674-02-7 98737-29-2 106719-44-2 120570-05-0  
 123536-15-2 126926-35-0 130723-54-5, 3-Iodophenylacetonitrile  
 149355-52-2, 1,2,3,4-Tetrahydroisoquinoline-7-carbonitrile 150255-96-2,  
 3-Cyanophenylboronic acid 156780-51-7, 2-Triethylstannyloxazole  
 167299-68-5, 3-(Methoxycarbonyl)-5-methylbenzoic acid 173382-28-0,  
 2-Thiazolylzinc bromide 205445-52-9 223671-15-6 308103-40-4,  
 2-Acetylphenylboronic acid 347142-76-1 377083-88-0 388063-46-5  
 388066-66-8 388068-71-1 388072-25-1, 5-Methyl-N,N-  
 dipropylisophthalamide 388072-46-6 388072-57-9 388072-59-1  
 388072-60-4 388072-61-5 388072-65-9, 3-(Ethoxycarbonyl)-5-  
 methylbenzoic acid 388075-52-3 388086-41-7 473916-47-1  
 478375-40-5, Methyl 3-bromo-5-methylbenzoate 488846-89-5 527733-88-6  
 527733-92-2 597563-80-9 597563-82-1 597563-84-3 597563-86-5  
 597563-94-5 597563-96-7 597564-03-9 597564-06-2 597564-07-3  
 597564-09-5 597564-10-8 597564-11-9, 2-(Dipropylamino)isonicotinic  
 acid 597564-12-0 597564-13-1 597564-15-3 597564-16-4 597564-17-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
 Alzheimer's disease)

IT 626-90-4P 704-91-6P, 1H-Indazole-6-carboxylic acid 1011-65-0P  
 1075-26-9P, 1H-Indole-6-methanol 1196-70-9P, 1H-Indole-6-carboxaldehyde  
 3284-51-3P, 5-Methyl-1H-pyrrole-2-carboxylic acid ethyl ester 3998-90-1P  
 13380-85-3P 13629-73-7P 15231-48-8P 18595-15-8P 20175-97-7P  
 22274-75-5P 22600-30-2P 25462-98-0P 28179-47-7P,  
 3-Amino-5-(methoxycarbonyl)benzoic acid 32529-79-6P 33252-30-1P  
 39762-51-1P 40566-85-6P 41049-53-0P 49592-71-4P 49668-89-5P  
 50399-51-4P, 7-Methoxy-1,2,3,4-tetrahydro-1-naphthalenamine 50790-30-2P  
 50820-65-0P, Methyl 1H-indole-6-carboxylate 51453-50-0P 51454-63-8P  
 51552-68-2P 53097-35-1P 53732-08-4P 55682-17-2P 56026-36-9P  
 58794-09-5P, 7-Bromoisoquinoline 59034-18-3P 63362-34-5P 65448-74-0P  
 73365-02-3P 75833-38-4P 83435-58-9P 84374-70-9P 84914-65-8P  
 92136-39-5P 93116-99-5P 104882-03-3P 105578-30-1P 106691-72-9P  
 107202-62-0P 108499-32-7P 116450-61-4P 117423-41-3P 117423-42-4P  
 117423-43-5P 121561-15-7P 123065-61-2P 123855-51-6P 124276-77-3P  
 124276-83-1P 124276-85-3P 124276-95-5P 127680-85-7P 131052-47-6P,  
 4-Aminomethyl-3,5-dimethylisoxazole 138647-49-1P 153993-99-8P  
 161796-10-7P, 3-Bromo-5-(methoxycarbonyl)benzoic acid 163485-84-5P  
 170487-40-8P 177760-52-0P 180302-08-3P 180302-10-7P 181425-91-2P,  
 Diethyl 5-(hydroxymethyl)isophthalate 192863-37-9P 194872-09-8P  
 196103-66-9P 202195-67-3P 215453-51-3P 221050-96-0P,

Isoquinoline-7-carboxylic acid 223671-92-9P, 7-Cyanoisoquinoline  
261924-48-5P 261924-49-6P 261924-94-1P 261925-82-0P 266369-49-7P  
305806-42-2P 307353-32-8P 328284-59-9P, Methyl 3-  
[(dipropylamino)carbonyl]-5-nitrobenzoate 340129-94-4P 347342-05-6P  
388067-66-1P 388071-08-7P, Methyl 3-bromo-5-  
[(dipropylamino)carbonyl]benzoate 388071-09-8P, 3-Bromo-5-  
[(dipropylamino)carbonyl]benzoic acid 388071-10-1P, Methyl  
3-(aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-11-2P,  
3-(Aminocarbonyl)-5-[(dipropylamino)carbonyl]benzoic acid 388071-12-3P,  
3-Cyano-5-[(dipropylamino)carbonyl]benzoic acid 388071-13-4P, Methyl  
3-amino-5-[(dipropylamino)carbonyl]benzoate 388071-14-5P, Methyl  
3-(chlorosulfonyl)-5-[(dipropylamino)carbonyl]benzoate 388071-15-6P,  
Methyl 3-(aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoate  
388071-16-7P, 3-(Aminosulfonyl)-5-[(dipropylamino)carbonyl]benzoic acid  
388071-17-8P, Methyl 3-[(dipropylamino)carbonyl]-5-(1-  
pyrrolidinylsulfonyl)benzoate 388071-18-9P, 3-[(Dipropylamino)carbonyl]-  
5-(1-pyrrolidinylsulfonyl)benzoic acid 388071-19-0P, Methyl  
3-[(dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoate  
388071-20-3P, 3-[(Dipropylamino)carbonyl]-5-[(methylamino)sulfonyl]benzoic  
acid 388071-21-4P, Methyl 3-[(dimethylamino)sulfonyl]-5-  
[(dipropylamino)carbonyl]benzoate 388071-22-5P, 3-  
[(Dimethylamino)sulfonyl]-5-[(dipropylamino)carbonyl]benzoic acid  
388071-23-6P, Methyl 3-[(dipropylamino)carbonyl]-5-ethylbenzoate  
388071-24-7P, 3-[(Dipropylamino)carbonyl]-5-ethylbenzoic acid  
388071-25-8P, tert-Butyl [(1S)-3-bromo-1-(3,5-difluorobenzyl)-2-  
oxopropyl]carbamate 388071-26-9P 388071-27-0P 388071-28-1P  
388071-29-2P 388071-31-6P 388071-33-8P 388071-41-8P 388071-43-0P  
388071-68-9P, 3-(Ethoxycarbonyl)-5-(hydroxymethyl)benzoic acid  
388071-69-0P, Ethyl 3-[(dipropylamino)carbonyl]-5-(hydroxymethyl)benzoate  
388071-70-3P, Ethyl 3-(bromomethyl)-5-[(dipropylamino)carbonyl]benzoate  
388071-71-4P, 3-(Cyanomethyl)-5-[(dipropylamino)carbonyl]benzoic acid  
388071-72-5P, Methyl 3-[(dipropylamino)carbonyl]-5-ethynylbenzoate  
388071-73-6P, 3-[(Dipropylamino)carbonyl]-5-ethynylbenzoic acid  
388071-74-7P 388071-75-8P 388071-76-9P, Methyl 3-  
[(dipropylamino)carbonyl]-5-(8-quinoliny)benzoate 388071-77-0P,  
3-[(Dipropylamino)carbonyl]-5-(8-quinoliny)benzoic acid 388071-78-1P  
388071-80-5P 388071-82-7P 388071-83-8P 388071-84-9P 388071-87-2P  
388071-88-3P 388071-89-4P 388071-90-7P, Methyl 3-methyl-5-  
pentanoylbenzoate 388071-91-8P, 3-Methyl-5-pentanoylbenzoic acid  
388071-92-9P, 4-(Benzyloxy)-N-propylbutanamide 388071-93-0P,  
N-[4-(Benzyloxy)butyl]-N-propylamine 388071-94-1P, Ethyl  
3-[[[4-(benzyloxy)butyl](propyl)amino]carbonyl]-5-methylbenzoate  
388071-95-2P, 3-[[[4-(Benzyloxy)butyl](propyl)amino]carbonyl]-5-  
methylbenzoic acid 388071-96-3P 388071-98-5P 388071-99-6P  
388072-08-0P 388072-09-1P, 2-Aminomethyl-3-methylfuran 388072-10-4P,  
5-Hydroxymethyl-2-(2-methylpropyl)thiazole 388072-11-5P, Ethyl  
2-(2-methylpropyl)thiazole-5-carboxylate 388072-12-6P,  
3-(2-Methylpropyl)-5-aminomethylisoxazole 388072-13-7P 388072-14-8P  
388072-45-5P 388072-58-0P, Ethyl 3-(cyanomethyl)-5-  
[(dipropylamino)carbonyl]benzoate 388072-63-7P 388072-66-0P  
388073-71-0P 421553-40-4P 477790-42-4P 477790-43-5P 477790-50-4P  
477790-51-5P 477790-52-6P 477790-54-8P 478169-77-6P 488138-34-7P  
530145-16-5P 546115-65-5P 588720-36-9P 590423-65-7P 594836-56-3P  
597559-26-7P 597561-28-9P 597561-29-0P 597561-30-3P 597561-31-4P  
597561-32-5P 597561-33-6P 597561-34-7P 597561-35-8P,  
3-Acetyl-5-methylbenzoic acid methyl ester 597561-36-9P 597561-37-0P  
597561-38-1P 597561-39-2P 597561-40-5P 597561-41-6P 597561-42-7P  
597561-43-8P 597561-44-9P 597561-45-0P 597561-46-1P 597561-47-2P  
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597561-54-1P 597561-55-2P 597561-56-3P 597561-57-4P 597561-58-5P

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597561-79-0P 597561-80-3P 597561-81-4P 597561-82-5P 597561-83-6P  
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597561-94-9P 597561-95-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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597562-07-7P 597562-08-8P 597562-09-9P 597562-10-2P 597562-11-3P  
597562-12-4P 597562-13-5P 597562-14-6P 597562-15-7P 597562-17-9P  
597562-18-0P 597562-19-1P 597562-20-4P 597562-21-5P 597562-22-6P  
597562-23-7P 597562-24-8P, Methyl 4-hydroxy-3-iodo-5-nitrobenzoate  
597562-25-9P, Methyl 3-amino-4-hydroxy-5-iodobenzoate 597562-26-0P,  
Methyl 8-iodo-3-oxo-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate  
597562-27-1P, Methyl 4-butyl-8-iodo-3-oxo-3,4-dihydro-2H-1,4-benzoxazine-6-  
carboxylate 597562-28-2P, Methyl 4-butyl-8-iodo-3,4-dihydro-2H-1,4-  
benzoxazine-6-carboxylate 597562-29-3P, Methyl 4-butyl-8-(oxazol-2-yl)-  
3,4-dihydro-2H-1,4-benzoxazine-6-carboxylate 597562-30-6P,  
4-Butyl-8-(oxazol-2-yl)-3,4-dihydro-2H-1,4-benzoxazine-6-carboxylic acid  
597562-31-7P 597562-33-9P 597562-34-0P 597562-35-1P 597562-36-2P  
597562-37-3P 597562-38-4P 597562-39-5P 597562-40-8P 597562-41-9P  
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597562-49-7P 597562-51-1P 597562-53-3P 597562-54-4P 597562-56-6P  
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597562-69-1P 597562-70-4P, 3-(Allylthio)benzoic acid 597562-71-5P  
597562-72-6P 597562-73-7P 597562-74-8P 597562-75-9P 597562-76-0P  
597562-77-1P 597562-78-2P 597562-79-3P 597562-80-6P 597562-81-7P  
597562-82-8P 597562-83-9P 597562-84-0P 597562-85-1P 597562-86-2P,  
7-Bromo-1-(N-propylamino)isoquinoline 597562-87-3P 597562-88-4P  
597562-89-5P 597562-90-8P, 7-Bromo-1-butylisoquinoline 597562-91-9P  
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597562-97-5P 597562-98-6P 597562-99-7P 597563-00-3P  
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597563-76-3P 597563-77-4P 597563-78-5P 597563-79-6P 597563-88-7P  
597564-18-6P 597564-19-7P 597564-20-0P 597564-21-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT 527731-54-0P

RL: PAC (Pharmacological activity); RCT (Reactant); PREP

(Preparation); THU (Therapeutic use); PREP

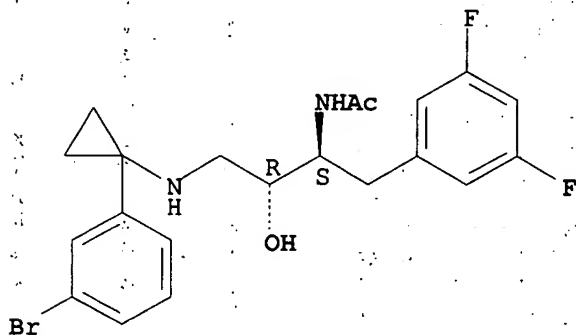
(Preparation); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

RN 527731-54-0 HCAPLUS

CN Acetamide, N-[(1S,2R)-3-[[1-(3-bromophenyl)cyclopropyl]amino]-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 24 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:376819 HCAPLUS

DN 138:385173

TI Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease

IN Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang, Larry; Sealy, Jennifer; Tenbrink, Ruth; Freskos, John; Mickelson, John; Samala, Lakshman; Hom, Roy

PA Elan Pharmaceuticals, Inc., USA; Pharmacia &amp; Upjohn Company

SO PCT Int. Appl., 1243 pp.

CODEN: PIXXD2

DT Patent

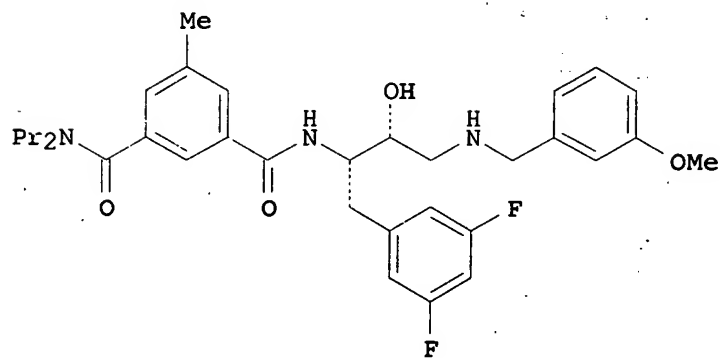
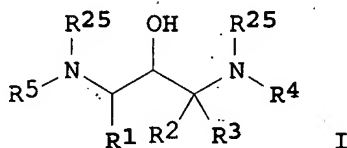
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040096	A2	20030515	WO 2002-US36072	20021108
	WO 2003040096	A3	20040506		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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	WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,  
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 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
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 NE, SN, TD, TG

US 2004171881	A1	20040902	US 2002-291318	20021108
EP 1453789	A2	20040908	EP 2002-793909	20021108
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BR 2002014035	A	20050426	BR 2002-14035	20021108
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NO 2004002359	A	20040806	NO 2004-2359	20040607
PRAI US 2001-337122P	P	20011108		
US 2001-344086P	P	20011228		
US 2002-345635P	P	20020103		
WO 2002-US36072	W	20021108		
OS MARPAT 138:385173				
GI				



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, haloalkyl, alkenyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; or R2 and R3 are taken together with the carbon to which they are attached to form a carbocycle of 3-7 carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO<sub>2</sub>, (un)substituted NH; R4 = alkyl, haloalkyl, hydroxyalkyl, etc.; R5 = R6X (wherein X = CO, SO<sub>2</sub>, (un)substituted CH<sub>2</sub>; R6 = (un)substituted Ph, naphthyl, indanyl, etc.); R25 = H, alkyl, alkoxy, etc.] which have activity as inhibitors of  $\beta$ -secretase and are therefore useful in treating a variety of disorders such as Alzheimer's disease, were prepared E.g., a multi-step synthesis of (1S,2R)-II, starting from (2S)-2-[(tert-butoxycarbonyl)amino]-3-(3,5-

difluorophenyl)propanoic acid, was given. The compds. I showed IC50 of < 20 µM in cell free inhibition assay utilizing a synthetic APP substrate. This is a Part 1 of 1-2 series.

IC ICM C07D

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 28

ST hydroxypropanediamine prepn Alzheimer's disease beta secretase inhibitor; isophthalamide aminohydroxypropyl prepn Alzheimer's disease beta secretase inhibitor

IT Alzheimer's disease

Anti-Alzheimer's agents

Human

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT 158736-49-3, β-Secretase

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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	388064-34-4P	388064-46-8P	388065-31-4P	388065-36-9P
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	388067-43-4P	388067-49-0P	388067-50-3P	388067-52-5P
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	388067-80-9P	388067-81-0P	388067-82-1P	388067-84-3P
	388067-88-7P	388067-96-7P	388068-04-0P	388068-13-1P
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	388068-85-7P	388069-13-4P	388069-15-6P	388069-28-1P
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	388070-61-9P	388070-76-6P	388070-80-2P	388070-97-1P
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	388077-92-7P	388569-65-1P	477790-57-1P	477791-08-5P
	477791-18-7P	477791-19-8P	477791-21-2P	477791-23-4P
	477791-32-5P	477791-39-2P	477791-41-6P	477791-46-1P
	477791-58-5P	477791-59-6P	477791-96-1P	477791-97-2P
	477791-99-4P	477792-09-9P	477792-47-5P	477792-49-7P
	477792-55-5P	477792-71-5P	477792-77-1P	477792-79-3P
	477792-83-9P	477792-84-0P	477792-86-2P	477792-87-3P
	477793-09-2P	477793-32-1P	477793-33-2P	477793-62-7P
	477794-21-1P	477794-28-8P	477794-29-9P	477794-33-5P
	477794-38-0P	477794-41-5P	477794-42-6P	477794-43-7P
	477794-45-9P	477794-46-0P	477794-47-1P	477794-49-3P
	477794-51-7P	477794-52-8P	477794-53-9P	477794-54-0P
	477794-56-2P	477794-57-3P	477794-58-4P	477794-59-5P
	477794-61-9P	488844-55-9P	488844-65-1P	488844-77-5P
	488845-27-8P	488845-28-9P	488845-30-3P	488845-31-4P
	488845-33-6P	488845-34-7P	488845-36-9P	488845-37-0P
	488845-39-2P	488845-41-6P	488845-43-8P	488845-44-9P
	488845-49-4P	488845-52-9P	488845-56-3P	488845-57-4P
	488845-60-9P	488845-61-0P	488845-62-1P	488845-63-2P
	488845-69-8P	488845-71-2P	488845-72-3P	488845-73-4P
	488845-75-6P	488845-76-7P	488845-77-8P	488845-78-9P
	488845-80-3P	488845-81-4P	488845-82-5P	488845-83-6P

488845-86-9P	488845-87-0P	488845-88-1P	488845-89-2P	488845-90-5P
488845-91-6P	488845-92-7P	488845-93-8P	488845-94-9P	488845-96-1P
488845-97-2P	488845-98-3P	488845-99-4P	488846-00-0P	488846-01-1P
488846-02-2P	488846-03-3P	488846-04-4P	488846-05-5P	488846-06-6P
488846-07-7P	488846-08-8P	488846-09-9P	488846-10-2P	488846-13-5P
488846-15-7P	488846-17-9P	488846-19-1P	488846-20-4P	488846-21-5P
488846-22-6P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT	488846-23-7P	488846-24-8P	488846-25-9P	488846-26-0P	488846-27-1P
	488846-28-2P	488846-29-3P	488846-31-7P	488846-32-8P	488846-33-9P
	488846-34-0P	488846-35-1P	488846-36-2P	488846-37-3P	488846-38-4P
	488846-39-5P	488846-40-8P	488846-41-9P	488846-42-0P	488846-43-1P
	488846-44-2P	488846-45-3P	488846-46-4P	488846-47-5P	488846-49-7P
	488846-50-0P	488846-51-1P	488846-52-2P	488846-53-3P	488846-54-4P
	488846-59-9P	488846-60-2P	488846-64-6P	488846-66-8P	488846-68-0P
	488846-69-1P	488846-91-9P	488846-92-0P	488847-75-2P	488848-41-5P
	488848-42-6P	488848-46-0P	488848-48-2P	488848-50-6P	488848-52-8P
	488848-75-5P	488848-77-7P	527712-34-1P	527712-36-3P	
	527712-38-5P	527712-39-6P	527712-41-0P		
	527712-43-2P	527712-45-4P	527712-47-6P		
	527712-49-8P	527712-51-2P	527712-53-4P		
	527712-55-6P	527712-57-8P	527712-59-0P	527712-61-4P	
	527712-62-5P	527712-64-7P	527712-66-9P	527712-68-1P	527712-70-5P
	527712-72-7P	527712-74-9P	527712-76-1P	527712-78-3P	527712-79-4P
	527712-81-8P	527712-83-0P	527712-85-2P	527712-87-4P	527712-89-6P
	527712-91-0P	527712-93-2P	527712-95-4P	527712-97-6P	527712-99-8P
	527713-01-5P	527713-03-7P	527713-05-9P	527713-07-1P	527713-10-6P
	527713-12-8P	527713-15-1P	527713-19-5P	527713-22-0P	527713-23-1P
	527713-25-3P	527713-27-5P	527713-29-7P	527713-30-0P	527713-32-2P
	527713-34-4P	527713-36-6P	527713-38-8P	527713-40-2P	527713-42-4P
	527713-44-6P	527713-46-8P	527713-47-9P	527713-49-1P	527713-51-5P
	527713-53-7P	527713-55-9P	527713-57-1P	527713-59-3P	527713-61-7P
	527713-63-9P	527713-65-1P	527713-67-3P	527713-69-5P	527713-71-9P
	527713-73-1P	527713-75-3P	527713-77-5P	527713-78-6P	527713-80-0P
	527713-82-2P	527713-84-4P	527713-86-6P	527713-88-8P	527713-90-2P
	527713-92-4P	527713-94-6P	527713-95-7P	527713-97-9P	527713-99-1P
	527714-02-9P	527714-04-1P	527714-06-3P	527714-08-5P	527714-10-9P
	527714-12-1P	527714-14-3P	527714-16-5P	527714-18-7P	527714-20-1P
	527714-22-3P	527714-24-5P	527714-26-7P	527714-28-9P	527714-30-3P
	527714-31-4P	527714-33-6P	527714-35-8P	527714-37-0P	
	527714-39-2P	527714-41-6P	527714-43-8P	527714-45-0P	527714-47-2P
	527714-49-4P	527714-50-7P	527714-52-9P	527714-54-1P	527714-56-3P
	527714-58-5P	527714-60-9P	527714-62-1P	527714-64-3P	527714-66-5P
	527714-68-7P	527714-70-1P	527714-72-3P	527714-74-5P	527714-75-6P
	527714-77-8P	527714-79-0P	527714-81-4P	527714-83-6P	527714-85-8P
	527714-87-0P	527714-89-2P	527714-91-6P	527714-92-7P	527714-94-9P
	527714-96-1P	527714-98-3P	527715-00-0P	527715-02-2P	527715-04-4P
	527715-06-6P	527715-08-8P	527715-11-3P	527715-14-6P	527715-16-8P
	527715-18-0P	527715-19-1P	527715-21-5P	527715-22-6P	527715-24-8P
	527715-26-0P	527715-28-2P	527715-30-6P	527715-32-8P	527715-34-0P
	527715-36-2P	527715-38-4P	527715-40-8P	527715-42-0P	527715-44-2P
	527715-46-4P	527715-48-6P	527715-50-0P	527715-52-2P	527715-54-4P
	527715-56-6P	527715-58-8P	527715-60-2P	527715-62-4P	527715-64-6P
	527715-66-8P	527715-68-0P	527715-70-4P	527715-72-6P	527715-74-8P
	527715-76-0P	527715-77-1P	527715-79-3P	527715-81-7P	527715-83-9P
	527715-85-1P	527715-87-3P	527715-88-4P	527715-89-5P	527715-91-9P



527715-93-1P 527715-95-3P 527715-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT	527715-99-7P	527716-00-3P	527716-02-5P	527716-03-6P	527716-05-8P
	527716-07-0P	527716-09-2P	527716-11-6P	527716-13-8P	527716-15-0P
	527716-17-2P	527716-19-4P	527716-21-8P	527716-23-0P	527716-25-2P
	527716-28-5P	527716-30-9P	527716-32-1P	527716-35-4P	527716-38-7P
	527716-40-1P	527716-42-3P	527716-44-5P	527716-46-7P	527716-48-9P
	527716-50-3P	527716-52-5P	527716-54-7P	527716-56-9P	527716-58-1P
	527716-59-2P	527716-60-5P	527716-61-6P	527716-62-7P	
	527716-63-8P	527716-64-9P	527716-65-0P	527716-66-1P	
	527716-67-2P	527716-68-3P	527716-69-4P	527716-70-7P	527716-71-8P
	527716-72-9P	527716-73-0P	527716-74-1P	527716-75-2P	527716-76-3P
	527716-77-4P	527716-78-5P	527716-79-6P	527716-80-9P	527716-81-0P
	527716-82-1P	527716-83-2P	527716-84-3P	527716-85-4P	527716-86-5P
	527716-87-6P	527716-88-7P	527716-89-8P	527716-90-1P	
	527716-91-2P	527716-92-3P	527716-93-4P	527716-94-5P	
	527716-95-6P	527716-96-7P	527716-97-8P	527716-98-9P	527716-99-0P
	527717-00-6P	527717-01-7P	527717-02-8P	527717-03-9P	
	527717-04-0P	527717-05-1P	527717-06-2P	527717-07-3P	
	527717-08-4P	527717-09-5P	527717-10-8P		
	527717-11-9P	527717-12-0P	527717-13-1P	527717-14-2P	
	527717-15-3P	527717-16-4P	527717-17-5P	527717-18-6P	527717-19-7P
	527717-20-0P	527717-21-1P	527717-22-2P	527717-23-3P	527717-24-4P
	527717-25-5P	527717-26-6P	527717-27-7P	527717-28-8P	527717-29-9P
	527717-30-2P	527717-31-3P	527717-32-4P	527717-33-5P	527717-34-6P
	527717-36-8P	527717-38-0P	527717-39-1P	527717-40-4P	
	527717-41-5P	527717-42-6P	527717-43-7P	527717-44-8P	527717-45-9P
	527717-46-0P	527717-47-1P	527717-48-2P	527717-49-3P	
	527717-50-6P	527717-51-7P	527717-52-8P	527717-53-9P	527717-54-0P
	527717-55-1P	527717-56-2P	527717-57-3P	527717-58-4P	527717-59-5P
	527717-60-8P	527717-61-9P	527717-62-0P	527717-63-1P	527717-64-2P
	527717-65-3P	527717-66-4P	527717-67-5P	527717-68-6P	527717-69-7P
	527717-70-0P	527717-71-1P	527717-73-3P	527717-74-4P	527717-75-5P
	527717-76-6P	527717-77-7P	527717-78-8P	527717-79-9P	527717-80-2P
	527717-81-3P	527717-82-4P	527717-83-5P	527717-84-6P	527717-85-7P
	527717-86-8P	527717-87-9P	527717-88-0P	527717-90-4P	527717-91-5P
	527717-92-6P	527717-93-7P	527717-94-8P	527717-95-9P	527717-96-0P
	527717-97-1P	527717-98-2P	527717-99-3P	527718-00-9P	527718-01-0P
	527718-02-1P	527718-03-2P	527718-04-3P	527718-05-4P	527718-06-5P
	527718-07-6P	527718-08-7P	527718-09-8P	527718-10-1P	
	527718-11-2P	527718-12-3P	527718-13-4P	527718-14-5P	
	527718-15-6P	527718-16-7P	527718-17-8P	527718-18-9P	
	527718-19-0P	527718-20-3P	527718-21-4P	527718-22-5P	527718-23-6P
	527718-24-7P	527718-25-8P	527718-26-9P	527718-27-0P	527718-28-1P
	527718-29-2P	527718-30-5P	527718-31-6P	527718-32-7P	
	527718-33-8P	527718-34-9P	527718-35-0P	527718-36-1P	
	527718-37-2P	527718-38-3P	527718-40-7P	527718-41-8P	527718-43-0P
	527718-44-1P	527718-45-2P	527718-46-3P	527718-47-4P	
	527718-48-5P	527718-49-6P	527718-50-9P	527718-51-0P	527718-52-1P
	527718-53-2P	527718-54-3P	527718-55-4P	527718-56-5P	527718-57-6P
	527718-58-7P	527718-59-8P	527718-60-1P	527718-61-2P	527718-62-3P
	527718-63-4P	527718-64-5P	527718-65-6P	527718-66-7P	527718-67-8P
	527718-68-9P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527718-69-0P	527718-70-3P	527718-71-4P	527718-72-5P	527718-73-6P
	527718-74-7P	527718-75-8P	527718-76-9P	527718-77-0P	527718-78-1P
	527718-79-2P	527718-80-5P	527718-81-6P	527718-82-7P	
	527718-83-8P	527718-84-9P	527718-85-0P	527718-86-1P	527718-87-2P
	527718-88-3P	527718-90-7P	527718-91-8P	527718-92-9P	527718-93-0P
	527718-94-1P	527718-95-2P	527718-96-3P	527718-97-4P	527718-98-5P
	527718-99-6P	527719-00-2P	527719-02-4P	527719-03-5P	
	527719-05-7P	527719-06-8P	527719-07-9P	527719-08-0P	527719-09-1P
	527719-10-4P	527719-11-5P	527719-12-6P	527719-13-7P	527719-14-8P
	527719-15-9P	527719-16-0P	527719-17-1P	527719-18-2P	
	527719-19-3P	527719-20-6P	527719-21-7P	527719-22-8P	
	527719-23-9P	527719-24-0P	527719-25-1P	527719-26-2P	527719-27-3P
	527719-28-4P	527719-29-5P	527719-30-8P	527719-31-9P	527719-32-0P
	527719-33-1P	527719-34-2P	527719-35-3P	527719-36-4P	527719-37-5P
	527719-38-6P	527719-39-7P	527719-40-0P	527719-41-1P	527719-42-2P
	527719-43-3P	527719-44-4P	527719-45-5P	527719-46-6P	527719-47-7P
	527719-48-8P	527719-49-9P	527719-50-2P	527719-51-3P	527719-52-4P
	527719-53-5P	527719-54-6P	527719-55-7P	527719-56-8P	527719-57-9P
	527719-58-0P	527719-59-1P	527719-60-4P	527719-61-5P	527719-62-6P
	527719-63-7P	527719-64-8P	527719-65-9P	527719-66-0P	527719-67-1P
	527719-68-2P	527719-70-6P	527719-71-7P	527719-72-8P	
	527719-73-9P	527719-74-0P	527719-75-1P	527719-76-2P	527719-77-3P
	527719-78-4P	527719-79-5P	527719-80-8P	527719-81-9P	527719-82-0P
	527719-83-1P	527719-84-2P	527719-85-3P	527719-86-4P	527719-87-5P
	527719-88-6P	527719-89-7P	527719-90-0P	527719-91-1P	527719-92-2P
	527719-93-3P	527719-94-4P	527719-95-5P	527719-96-6P	527719-97-7P
	527719-98-8P	527719-99-9P	527720-00-9P	527720-01-0P	527720-02-1P
	527720-03-2P	527720-04-3P	527720-05-4P	527720-06-5P	527720-07-6P
	527720-08-7P	527720-09-8P	527720-10-1P	527720-12-3P	527720-13-4P
	527720-14-5P	527720-15-6P	527720-16-7P	527720-17-8P	527720-18-9P
	527720-19-0P	527720-20-3P	527720-21-4P	527720-22-5P	527720-23-6P
	527720-24-7P	527720-25-8P	527720-26-9P	527720-27-0P	527720-28-1P
	527720-29-2P	527720-30-5P	527720-31-6P	527720-32-7P	527720-33-8P
	527720-34-9P	527720-35-0P	527720-37-2P	527720-38-3P	527720-39-4P
	527720-40-7P	527720-41-8P	527720-42-9P	527720-43-0P	527720-44-1P
	527720-45-2P	527720-46-3P	527720-47-4P	527720-48-5P	527720-49-6P
	527720-50-9P	527720-51-0P	527720-52-1P	527720-53-2P	527720-54-3P
	527720-55-4P	527720-56-5P	527720-57-6P	527720-58-7P	527720-59-8P
	527720-61-2P	527720-62-3P	527720-63-4P	527720-64-5P	527720-65-6P
	527720-66-7P	527720-67-8P	527720-68-9P	527720-69-0P	527720-70-3P
	527720-71-4P	527720-72-5P	527720-73-6P	527720-74-7P	527720-75-8P
	527720-76-9P	527720-77-0P	527720-78-1P	527720-79-2P	527720-81-6P
	527720-82-7P	527720-83-8P	527720-84-9P	527720-85-0P	527720-86-1P
	527720-87-2P	527720-89-4P	527720-91-8P	527720-93-0P	527720-95-2P
	527720-96-3P	527720-97-4P	527720-98-5P	527720-99-6P	
	527721-00-2P	527721-01-3P	527721-02-4P		
	527721-03-5P	527721-04-6P	527721-05-7P	527721-06-8P	
	527721-07-9P	527721-08-0P	527721-09-1P	527721-10-4P	527721-12-6P
	527721-14-8P	527721-15-9P	527721-16-0P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527721-17-1P	527721-18-2P	527721-19-3P	527721-20-6P	527721-21-7P
	527721-22-8P	527721-23-9P	527721-24-0P	527721-25-1P	527721-26-2P
	527721-27-3P	527721-28-4P	527721-29-5P	527721-30-8P	527721-31-9P
	527721-32-0P	527721-33-1P	527721-34-2P	527721-35-3P	527721-36-4P

527721-37-5P	527721-38-6P	527721-39-7P	527721-40-0P	527721-41-1P
527721-42-2P	527721-43-3P	527721-44-4P	527721-45-5P	527721-46-6P
527721-47-7P	527721-48-8P	527721-49-9P	527721-50-2P	527721-51-3P
527721-52-4P	527721-53-5P	527721-54-6P	527721-55-7P	527721-56-8P
527721-57-9P	527721-58-0P	527721-59-1P	527721-60-4P	527721-61-5P
527721-62-6P	527721-63-7P	527721-64-8P	527721-65-9P	527721-66-0P
527721-67-1P	527721-68-2P	527721-69-3P	527721-70-6P	527721-71-7P
527721-72-8P	527721-73-9P	527721-74-0P	527721-75-1P	527721-76-2P
527721-77-3P	527721-78-4P	527721-79-5P	527721-80-8P	
527721-81-9P	527721-82-0P	527721-83-1P	527721-84-2P	527721-85-3P
527721-86-4P	527721-87-5P	527721-88-6P	527721-89-7P	527721-90-0P
527721-91-1P	527721-92-2P	527721-93-3P	527721-94-4P	527721-95-5P
527721-96-6P	527721-97-7P	527721-98-8P	527721-99-9P	527722-00-5P
527722-01-6P	527722-02-7P	527722-03-8P	527722-04-9P	527722-05-0P
527722-06-1P	527722-07-2P	527722-08-3P	527722-09-4P	527722-10-7P
527722-11-8P	527722-12-9P	527722-14-1P	527722-15-2P	527722-16-3P
527722-17-4P	527722-18-5P	527722-19-6P	527722-20-9P	527722-21-0P
527722-22-1P	527722-23-2P	527722-24-3P	527722-25-4P	527722-26-5P
527722-27-6P	527722-28-7P	527722-29-8P	527722-30-1P	527722-31-2P
527722-32-3P	527722-33-4P	527722-34-5P	527722-35-6P	527722-37-8P
527722-39-0P	527722-41-4P	527722-43-6P	527722-45-8P	527722-47-0P
527722-48-1P	527722-49-2P	527722-50-5P	527722-51-6P	527722-52-7P
527722-53-8P	527722-54-9P	527722-55-0P	527722-56-1P	527722-57-2P
527722-58-3P	527722-59-4P	527722-60-7P	527722-61-8P	527722-62-9P
527722-63-0P	527722-64-1P	527722-65-2P	527722-66-3P	527722-67-4P
527722-68-5P	527722-69-6P	527722-70-9P	527722-71-0P	527722-72-1P
527722-73-2P	527722-74-3P	527722-75-4P	527722-76-5P	527722-77-6P
527722-78-7P	527722-79-8P	527722-80-1P	527722-81-2P	527722-82-3P
527722-83-4P	527722-84-5P	527722-86-7P	527722-87-8P	527722-88-9P
527722-89-0P	527722-90-3P	527722-91-4P	527722-92-5P	527722-93-6P
527722-94-7P	527722-95-8P	527722-96-9P	527722-97-0P	527722-98-1P
527722-99-2P	527723-00-8P	527723-01-9P	527723-02-0P	527723-03-1P
527723-04-2P	527723-05-3P	527723-06-4P	527723-07-5P	527723-08-6P
527723-09-7P	527723-10-0P	527723-11-1P	527723-13-3P	527723-14-4P
527723-15-5P	527723-16-6P	527723-17-7P	527723-18-8P	527723-19-9P
527723-20-2P	527723-21-3P	527723-22-4P	527723-23-5P	527723-24-6P
527723-25-7P	527723-26-8P	527723-27-9P	527723-28-0P	527723-29-1P
527723-30-4P	527723-31-5P	527723-32-6P	527723-33-7P	527723-34-8P
527723-35-9P	527723-36-0P	527723-37-1P	527723-38-2P	527723-39-3P
527723-40-6P	527723-41-7P	527723-42-8P	527723-43-9P	527723-44-0P
527723-45-1P	527723-46-2P	527723-47-3P	527723-48-4P	527723-49-5P
527723-50-8P	527723-51-9P	527723-52-0P	527723-53-1P	527723-54-2P
527723-55-3P	527723-56-4P	527723-57-5P	527723-58-6P	527723-59-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT	527723-60-0P	527723-61-1P	527723-62-2P	527723-63-3P	527723-64-4P
	527723-65-5P	527723-66-6P	527723-67-7P	527723-68-8P	527723-69-9P
	527723-70-2P	527723-71-3P	527723-72-4P	527723-73-5P	527723-74-6P
	527723-75-7P	527723-76-8P	527723-77-9P	527723-78-0P	527723-79-1P
	527723-80-4P	527723-81-5P	527723-82-6P	527723-83-7P	527723-84-8P
	527723-85-9P	527723-86-0P	527723-87-1P	527723-88-2P	527723-89-3P
	527723-90-6P	527723-91-7P	527723-92-8P	527723-93-9P	527723-94-0P
	527723-95-1P	527723-96-2P	527723-97-3P	527723-98-4P	527723-99-5P
	527724-00-1P	527724-01-2P	527724-02-3P	527724-03-4P	527724-04-5P
	527724-05-6P	527724-06-7P	527724-07-8P	527724-08-9P	527724-09-0P
	527724-10-3P	527724-11-4P	527724-12-5P	527724-13-6P	527724-14-7P
	527724-15-8P	527724-16-9P	527724-17-0P	527724-18-1P	527724-20-5P

527724-21-6P	527724-22-7P	527724-23-8P	527724-24-9P	527724-25-0P
527724-26-1P	527724-27-2P	527724-28-3P	527724-29-4P	527724-30-7P
527724-31-8P	527724-32-9P	527724-33-0P	527724-34-1P	527724-35-2P
527724-36-3P	527724-37-4P	527724-38-5P	527724-39-6P	527724-40-9P
527724-41-0P	527724-42-1P	527724-43-2P	527724-44-3P	527724-45-4P
527724-46-5P	527724-47-6P	527724-48-7P	527724-49-8P	527724-50-1P
527724-51-2P	527724-52-3P	527724-53-4P	527724-54-5P	527724-55-6P
527724-56-7P	527724-57-8P	527724-58-9P	527724-59-0P	527724-60-3P
527724-61-4P	527724-62-5P	527724-63-6P	527724-64-7P	527724-65-8P
527724-66-9P	527724-67-0P	527724-68-1P	527724-69-2P	527724-70-5P
527724-71-6P	527724-72-7P	527724-73-8P	527724-74-9P	527724-75-0P
527724-76-1P	527724-77-2P	527724-78-3P	527724-79-4P	527724-80-7P
527724-81-8P	527724-82-9P	527724-83-0P	527724-84-1P	527724-85-2P
527724-86-3P	527724-87-4P	527724-88-5P	527724-89-6P	527724-90-9P
527724-91-0P	527724-92-1P	527724-93-2P	527724-94-3P	527724-95-4P
527724-96-5P	527724-97-6P	527724-98-7P	527724-99-8P	527725-00-4P
527725-01-5P	527725-02-6P	527725-03-7P	527725-04-8P	527725-05-9P
527725-06-0P	527725-07-1P	527725-08-2P	527725-09-3P	527725-10-6P
527725-11-7P	527725-12-8P	527725-13-9P	527725-14-0P	527725-15-1P
527725-16-2P	527725-17-3P	527725-18-4P	527725-19-5P	527725-20-8P
527725-21-9P	527725-23-1P	527725-24-2P	527725-25-3P	527725-26-4P
527725-27-5P	527725-28-6P	527725-29-7P	527725-30-0P	527725-31-1P
527725-32-2P	527725-33-3P	527725-34-4P	527725-35-5P	527725-36-6P
527725-37-7P	527725-38-8P	527725-39-9P	527725-41-3P	527725-42-4P
527725-43-5P	527725-44-6P	527725-45-7P	527725-46-8P	527725-47-9P
527725-48-0P	527725-49-1P	527725-50-4P	527725-51-5P	527725-52-6P
527725-53-7P	527725-54-8P	527725-55-9P	527725-56-0P	527725-57-1P
527725-58-2P	527725-59-3P	527725-60-6P	527725-61-7P	527725-62-8P
527725-63-9P	527725-64-0P	527725-65-1P	527725-66-2P	527725-67-3P
527725-68-4P	527725-69-5P	527725-70-8P	527725-71-9P	527725-72-0P
527725-73-1P	527725-74-2P	527725-75-3P	527725-76-4P	527725-77-5P
527725-78-6P	527725-79-7P	527725-80-0P	527725-82-2P	527725-83-3P
527725-84-4P	527725-89-9P	527725-91-3P	527725-92-4P	527725-93-5P
527725-94-6P	527725-95-7P	527725-96-8P	527725-97-9P	527725-98-0P
527725-99-1P	527726-00-7P	527726-01-8P	527726-02-9P	

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT 527726-03-0P	527726-04-1P	527726-05-2P	527726-06-3P	
527726-07-4P	527726-08-5P	527726-09-6P	527726-10-9P	527726-11-0P
527726-12-1P	527726-13-2P	527726-14-3P	527726-15-4P	527726-16-5P
527726-17-6P	527726-18-7P	527726-19-8P	527726-20-1P	527726-21-2P
527726-22-3P	527726-23-4P	527726-24-5P	527726-25-6P	
527726-26-7P	527726-27-8P	527726-28-9P	527726-29-0P	527726-30-3P
527726-31-4P	527726-32-5P	527726-33-6P	527726-34-7P	
527726-35-8P	527726-36-9P	527726-37-0P	527726-38-1P	527726-39-2P
527726-40-5P	527726-41-6P	527726-42-7P	527726-43-8P	527726-44-9P
527726-45-0P	527726-46-1P	527726-47-2P	527726-48-3P	527726-49-4P
527726-50-7P	527726-51-8P	527726-52-9P	527726-53-0P	527726-54-1P
527726-55-2P	527726-56-3P	527726-57-4P	527726-58-5P	527726-59-6P
527726-60-9P	527726-61-0P	527726-62-1P	527726-63-2P	527726-64-3P
527726-65-4P	527726-66-5P	527726-67-6P	527726-68-7P	527726-69-8P
527726-70-1P	527726-73-4P	527726-74-5P	527726-75-6P	527726-76-7P
527726-77-8P	527726-78-9P	527726-79-0P	527726-80-3P	527726-81-4P
527726-82-5P	527726-83-6P	527726-84-7P	527726-85-8P	527726-86-9P
527726-87-0P	527726-88-1P	527726-89-2P	527726-90-5P	527726-91-6P
527726-92-7P	527726-93-8P	527726-94-9P	527726-95-0P	527726-96-1P
527726-97-2P	527726-98-3P	527726-99-4P	527727-00-0P	

527727-01-1P	527727-02-2P	527727-03-3P	527727-04-4P	
527727-05-5P	527727-06-6P	527727-07-7P	527727-08-8P	527727-09-9P
527727-10-2P	527727-11-3P	527727-12-4P	527727-13-5P	527727-14-6P
527727-15-7P	527727-16-8P	527727-17-9P	527727-18-0P	527727-19-1P
527727-20-4P	527727-21-5P	527727-22-6P	527727-23-7P	527727-24-8P
527727-25-9P	527727-26-0P	527727-27-1P	527727-28-2P	
527727-29-3P	527727-30-6P	527727-31-7P	527727-32-8P	
527727-33-9P	527727-34-0P	527727-35-1P	527727-36-2P	
527727-37-3P	527727-38-4P	527727-39-5P	527727-40-8P	527727-41-9P
527727-42-0P	527727-43-1P	527727-44-2P	527727-45-3P	527727-46-4P
527727-47-5P	527727-48-6P	527727-49-7P	527727-50-0P	527727-51-1P
527727-52-2P	527727-53-3P	527727-54-4P	527727-55-5P	
527727-56-6P	527727-57-7P	527727-59-9P	527727-60-2P	527727-61-3P
527727-62-4P	527727-63-5P	527727-64-6P	527727-65-7P	527727-66-8P
527727-67-9P	527727-68-0P	527727-69-1P	527727-70-4P	527727-71-5P
527727-72-6P	527727-73-7P	527727-74-8P	527727-75-9P	527727-76-0P
527727-77-1P	527727-78-2P	527727-79-3P	527727-80-6P	527727-81-7P
527727-82-8P	527727-83-9P	527727-84-0P	527727-85-1P	
527727-86-2P	527727-87-3P	527727-88-4P	527727-89-5P	527727-90-8P
527727-91-9P	527727-92-0P	527727-93-1P	527727-94-2P	527727-95-3P
527727-96-4P	527727-97-5P	527727-98-6P	527727-99-7P	
527728-00-3P	527728-01-4P	527728-02-5P	527728-03-6P	527728-04-7P
527728-05-8P	527728-06-9P	527728-07-0P	527728-08-1P	527728-09-2P
527728-10-5P	527728-11-6P	527728-12-7P	527728-13-8P	
527728-14-9P	527728-15-0P	527728-16-1P	527728-17-2P	
527728-18-3P	527728-19-4P	527728-20-7P	527728-21-8P	527728-22-9P
527728-23-0P	527728-24-1P	527728-25-2P	527728-26-3P	527728-27-4P
527728-28-5P	527728-29-6P	527728-30-9P	527728-31-0P	527728-32-1P
527728-33-2P	527728-34-3P	527728-35-4P	527728-36-5P	
527728-37-6P	527728-38-7P	527728-39-8P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

IT	527728-40-1P	527728-41-2P	527728-42-3P	527728-43-4P	527728-44-5P
	527728-45-6P	527728-46-7P	527728-47-8P	527728-48-9P	527728-49-0P
	527728-50-3P	527728-51-4P	527728-52-5P		
	527728-53-6P	527728-54-7P	527728-55-8P	527728-56-9P	527728-57-0P
	527728-58-1P	527728-59-2P	527728-60-5P	527728-61-6P	527728-62-7P
	527728-63-8P	527728-64-9P	527728-65-0P	527728-66-1P	527728-67-2P
	527728-68-3P	527728-69-4P	527728-70-7P	527728-71-8P	527728-72-9P
	527728-73-0P	527728-74-1P	527728-75-2P	527728-76-3P	
	527728-77-4P	527728-78-5P	527728-79-6P	527728-80-9P	
	527728-81-0P	527728-82-1P	527728-83-2P	527728-84-3P	527728-85-4P
	527728-86-5P	527728-87-6P	527728-88-7P	527728-89-8P	527728-90-1P
	527728-91-2P	527728-92-3P	527728-93-4P	527728-94-5P	
	527728-95-6P	527728-96-7P	527728-97-8P	527728-98-9P	
	527729-00-0P	527729-01-1P	527729-02-2P	527729-03-3P	527729-04-4P
	527729-05-5P	527729-06-6P	527729-07-7P	527729-08-8P	527729-09-9P
	527729-10-0P	527729-11-1P	527729-12-2P	527729-13-3P	527729-14-4P
	527729-15-5P	527729-16-6P	527729-17-7P	527729-18-8P	527729-19-9P
	527729-20-0P	527729-21-1P	527729-22-2P	527729-23-3P	527729-24-4P
	527729-25-5P	527729-26-6P	527729-27-7P	527729-28-8P	527729-29-9P
	527729-30-0P	527729-31-1P	527729-32-2P	527729-33-3P	527729-34-4P
	527729-35-5P	527729-36-6P	527729-37-7P	527729-38-8P	527729-39-9P
	527729-40-0P	527729-41-1P	527729-42-2P	527729-43-3P	527729-44-4P
	527729-45-5P	527729-46-6P	527729-47-7P	527729-48-8P	527729-49-9P
	527729-50-0P	527729-51-1P	527729-52-2P	527729-53-3P	527729-54-4P
	527729-55-5P	527729-56-6P	527729-57-7P	527729-58-8P	527729-59-9P
	527729-60-0P	527729-61-1P	527729-62-2P	527729-63-3P	527729-64-4P
	527729-65-5P	527729-66-6P	527729-67-7P	527729-68-8P	527729-69-9P
	527729-70-0P	527729-71-1P	527729-72-2P	527729-73-3P	527729-74-4P
	527729-75-5P	527729-76-6P	527729-77-7P	527729-78-8P	527729-79-9P
	527729-80-0P	527729-81-1P	527729-82-2P	527729-83-3P	527729-84-4P
	527729-85-5P	527729-86-6P	527729-87-7P	527729-88-8P	527729-89-9P
	527729-90-0P	527729-91-1P	527729-92-2P	527729-93-3P	527729-94-4P
	527729-95-5P	527729-96-6P	527729-97-7P	527729-98-8P	527729-99-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

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528598-00-7P 528598-01-8P 528598-10-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

IT 388063-51-2P

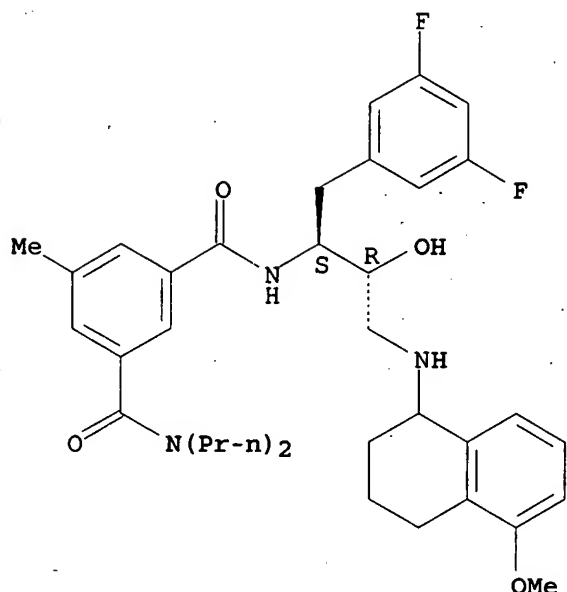
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(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating  
Alzheimer's disease)

RN 388063-51-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-2-  
hydroxy-3-[(1,2,3,4-tetrahydro-5-methoxy-1-naphthalenyl)amino]propyl]-5-  
methyl-N,N-dipropyl- (9CI) (CA INDEX NAME)

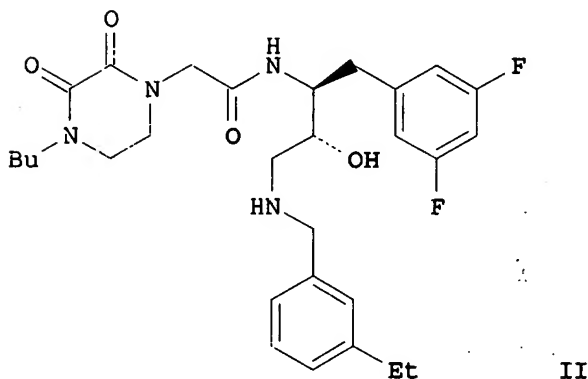
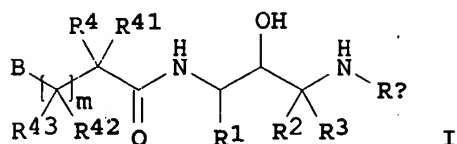
Absolute stereochemistry.



L35 ANSWER 25 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:58051 HCAPLUS  
 DN 138:136938  
 TI Preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease  
 IN Gailunas, Andrea; Hom, Roy; John, Varghese; Maillard, Michel; Chrusciel, Robert Alan; Fisher, Jed; Jacobs, Jon; Freskos, John N.; Brown, David L.; Fobian, Yvette M.  
 PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
 SO PCT Int. Appl., 205 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003006423	A1	20030123	WO 2002-US22255	20020711
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US 2003109559	A1	20030612	US 2002-193044	20020711
EP 1409450	A1	20040421	EP 2002-750011	20020711
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NO 2004000139	A	20040226	NO 2004-139	20040112

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	US 2001-341341P	P	20011217		
	US 2001-341416P	P	20011217		
	US 2001-344872P	P	20011221		
	US 2001-380574P	P	20011221		
	WO 2002-US22255	W	20020711		
OS	MARPAT 138:136938				
GI					



AB The title compds. [I; m = 0-5; B = (un)substituted (hetero)aryl, (hetero)cycloalkyl; R<sub>4</sub>, R<sub>41</sub> = H, CN, OCF<sub>3</sub>, etc.; R<sub>4</sub> and R<sub>41</sub> together = O; R<sub>42</sub>, R<sub>43</sub> = H, CN, OCF<sub>3</sub>, etc.; R<sub>42</sub> and R<sub>43</sub> together = O; R<sub>1</sub> = (CH<sub>2</sub>)<sub>1-2</sub>; S(O)<sub>0-2</sub>alkyl, substituted alkyl, aryl, etc.; R<sub>2</sub> = H, alkyl, alkenyl, etc.; R<sub>3</sub> = H, alkenyl, alkynyl, etc.; R<sub>2</sub> and R<sub>3</sub> taken together with the carbon atom to which they are attached form 3-7 membered carbocycle where one atom is optionally a heteroatom; R<sub>c</sub> = H, alkyl, alkenyl, etc.], useful in treating Alzheimer's disease and other similar diseases characterized by deposition of A beta peptide in a mammal, were prepared E.g., a multi-step synthesis of (1S,2R)-II.HCl, starting from N-butylethylenediamine and di-Et oxalate, was given. The compds. I showed IC<sub>50</sub> of < 50 μM against β-secretase. The compds. I are useful in pharmaceutical compns. and methods of treatment to reduce A beta peptide formation.

IC ICM C07C233-35

ICS A61K031-164; A61P025-28

CC 23-18 (Aliphatic Compounds)

Section cross-reference(s): 1, 25, 27, 28

ST    alkanamide aminohydroxypropyl prepn beta secretase inhibitor

Alzheimer's disease; amyloid beta alkanamide aminohydroxypropyl  
prepn

IT Alzheimer's disease

(Lewy-body variant, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Brain, disease  
(amyloid angiopathy; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Brain, disease  
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Mental and behavioral disorders  
(dementia, treatment of degenerative dementias; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Anti-Alzheimer's agents  
Cognition enhancers  
Human  
(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Cognitive disorders  
(treatment of mild cognitive impairment; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Alzheimer's disease  
Down's syndrome  
(treatment of; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -; preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as inhibitors of the beta secretase enzyme for treating Alzheimer's disease)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as  
inhibitors of the beta secretase enzyme for treating Alzheimer  
's disease)

IT 488846-95-3P	488846-96-4P	488846-97-5P	488846-98-6P	488846-99-7P
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488848-94-8P 489467-78-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as  
inhibitors of the beta secretase enzyme for treating Alzheimer  
's disease)

IT 96-32-2, Methyl bromoacetate 105-53-3, Diethyl malonate 542-69-8,  
1-Iodobutane 930-68-7, Cyclohex-2-enone 4530-20-5,  
tert-Butoxycarbonyl-glycine 4926-28-7, 2-Bromo-4-methylpyridine  
5292-43-3, tert-Butyl bromoacetate 5625-67-2, Oxopiperazine  
19522-69-1, N-Butylethylenediamine 33777-32-1, 6-Propylcyclohex-2-en-1-  
one 59702-31-7, N-Ethylpiperazin-2,3-dione 99208-98-7, Methyl  
(S)-2-(trifluoromethylsulfonyloxy)propionate 138397-85-0 488846-89-5,  
488846-90-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as  
inhibitors of the beta secretase enzyme for treating Alzheimer  
's disease)

IT 2385-28-6P 22274-75-5P 39762-51-1P 59702-09-9P 76003-29-7P  
488846-70-4P 488846-71-5P 488846-72-6P 488846-73-7P 488846-74-8P  
488846-75-9P 488846-76-0P 488846-77-1P 488846-78-2P 488846-79-3P  
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RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as  
inhibitors of the beta secretase enzyme for treating Alzheimer  
's disease)

IT 150234-52-9 186142-26-7 186142-28-9 252256-37-4 288584-07-6  
288584-08-7 478686-67-8 491669-24-0

RL: PRP (Properties)

(unclaimed sequence; preparation of N-(3-amino-2-hydroxy-propyl) substituted  
alkanamides as inhibitors of the beta secretase enzyme for treating  
Alzheimer's disease)

IT 488848-90-4P

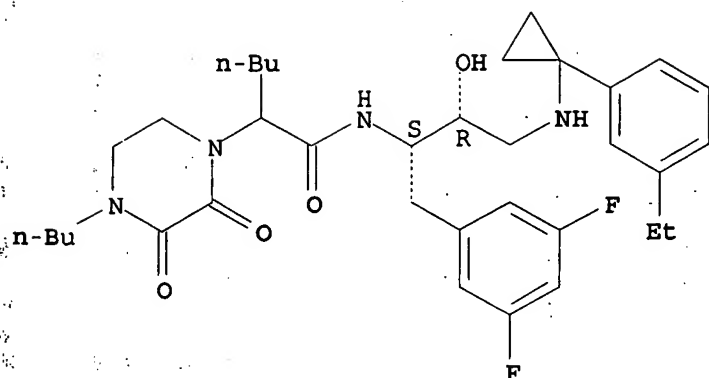
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of N-(3-amino-2-hydroxy-propyl) substituted alkanamides as  
inhibitors of the beta secretase enzyme for treating Alzheimer  
's disease)

RN 488848-90-4 HCAPLUS

CN 1-Piperazineacetamide,  $\alpha$ ,4-dibutyl-N-[(1S,2R)-1-[(3,5-  
difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]amino]-2-  
hydroxypropyl]-2,3-dioxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 26 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2002:946261 HCAPLUS  
ADN 138:14180  
TI Preparation of peptide-related hydroxyalkylamines for pharmaceutical use  
in the treatment of Alzheimer's disease  
IN Freskos, John; Aquino, Jose; Brown, David L.; Fang, Larry; Fobian, Yvette  
M.; Gailunas, Andrea; Guinn, Ashley; Varghese, John; Romero, Arthur Glenn;  
Tucker, John; Tung, Jay; Walker, Donald  
PA Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company  
SO PCT Int. Appl., 360 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002098849	A2	20021212	WO 2002-US17698	20020531
WO 2002098849	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448834	AA	20021212	CA 2002-2448834	20020531
US 2003166717	A1	20030904	US 2002-160777	20020531
EP 1395551	A2	20040310	EP 2002-741841	20020531
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010122	A	20040615	BR 2002-10122	20020531
JP 2004535421	T2	20041125	JP 2003-501839	20020531
PRAI US 2001-295332P	P	20010601		
US 2001-332639P	P	20011119		
US 2001-343772P	P	20011228		
WO 2002-US17698	W	20020531		
OS MARPAT 138:14180				



- AB Hydroxyalkylamines RNNR20CHR1CH(OH)CR2R3NR20Rc [RN is an acyl group of defined structure; R20 is H, (un)substituted alkyl, alkoxy, alkoxy-, hydroxy-, or haloalkyl, or -R26-R27, where R26 is CO, SO2, CO2, CONH, or alkylcarbonyl and R27 is (un)substituted alkyl, alkoxy, arylalkyl, heterocycloalkyl, or heteroaryl; R1 is -(CH2)1-2-S(O)0-2-alkyl, (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocyclyl, etc.; R2, R3 are H or (un)substituted alkyl or CR2R3 is a 3-7 membered carbocycle in which one carbon atom is optionally replaced by O, S, SO2, or NRN-2; Rc is (un)substituted alkyl, (hetero)arylalkyl, heterocyclylalkyl, etc.] were prepared for treating Alzheimer's disease and similar diseases. Synthetic procedures are given in examples and schemes. Several hundred products of the invention are listed in a table and in the claims, including S-butyl-N-1-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]-D-cysteinamide.
- IC ICM C07C317-26  
ICS C07C323-39; C07C271-18; C07D309-10; C07D207-26; A61K031-33; A61K031-325; A61K031-165; C07D211-16
- CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 63
- ST peptide related hydroxyalkylamine prepn treatment **Alzheimers**
- IT Amyloidosis  
(Dutch-type; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Brain, disease  
(amyloid angiopathy; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Hemorrhage  
(cerebral; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Mental and behavioral disorders  
(dementia; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Brain, disease  
(hemorrhage; preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Alzheimer's disease  
Down's syndrome  
Human  
Parkinson's disease  
(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT Peptides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)
- IT 388064-69-5P 477790-42-4P 477790-46-8P 477790-49-1P 477790-56-0P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of  
 Alzheimer's disease)

IT 477792-97-5P 477792-98-6P 477792-99-7P 477793-00-3P 477793-01-4P  
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 477793-69-4P 477793-70-7P 477793-71-8P 477793-72-9P 477793-73-0P  
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477793-79-6P 477793-80-9P 477793-81-0P 477793-82-1P 477793-83-2P  
 477793-84-3P 477793-85-4P 477793-86-5P  
 477793-87-6P 477793-88-7P 477793-89-8P 477793-90-1P  
 477793-91-2P 477793-92-3P 477793-93-4P 477793-94-5P 477793-95-6P  
 477793-96-7P 477793-97-8P 477793-98-9P 477793-99-0P 477794-00-6P  
 477794-01-7P 477794-02-8P 477794-03-9P 477794-04-0P  
 477794-05-1P 477794-06-2P 477794-07-3P 477794-08-4P  
 477794-09-5P 477794-10-8P 477794-11-9P 477794-12-0P 477794-13-1P  
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 477794-19-7P 477794-20-0P 477794-21-1P 477794-22-2P 477794-23-3P  
 477794-24-4P 477794-25-5P 477794-26-6P 477794-27-7P 477794-28-8P  
 477794-29-9P 477794-30-2P 477794-31-3P 477794-32-4P 477794-33-5P  
 477794-34-6P 477794-35-7P 477794-36-8P 477794-37-9P 477794-38-0P  
 477794-39-1P 477794-40-4P 477794-41-5P 477794-42-6P 477794-43-7P  
 477794-44-8P 477794-45-9P 477794-46-0P 477794-47-1P  
 477794-48-2P 477794-49-3P 477794-50-6P 477794-51-7P  
 477794-52-8P 477794-53-9P 477794-54-0P 477794-55-1P 477794-56-2P  
 477794-57-3P 477794-58-4P 477794-59-5P 477794-60-8P 477794-61-9P  
 477795-38-3P 477795-39-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT 70-25-7, 1 Methyl 3 nitro 1 nitrosoguanidine 100-07-2, p-Anisoyl  
 chloride 696-40-2, 3 Iodobenzylamine 1639-06-1, 4 Heptanethiol  
 2081-44-9 3430-39-5 5071-96-5, 3 Methoxybenzylamine 32085-88-4, 3 5  
 Difluorobenzaldehyde 35356-70-8, Methyl 2 acetamidoacrylate 66673-40-3  
 74124-79-1, Di succinimidyl carbonate 88568-95-0 98737-29-2  
 205445-52-9 477790-45-7 477790-53-7

RL: RCT (Reactant); RACT (Reactant or reagent).

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT 158811-26-8P 388071-25-8P 388071-26-9P 388071-27-0P 388071-36-1P  
 388071-37-2P 388071-41-8P 388071-43-0P 388072-87-5P 477790-41-3P  
 477790-43-5P 477790-44-6P 477790-47-9P 477790-48-0P 477790-50-4P  
 477790-51-5P 477790-52-6P 477790-54-8P 477790-55-9P 477790-57-1P  
 477790-58-2P 477790-59-3P 477790-60-6P 477790-61-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

IT 477790-75-3P

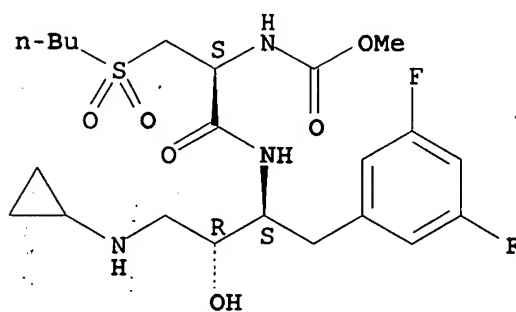
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of peptide-related hydroxyalkylamines for treatment of Alzheimer's disease)

RN 477790-75-3 HCAPLUS

CN Carbamic acid, [(1S)-1-[(butylsulfonyl)methyl]-2-[[[(1S,2R)-3-(cyclopropylamino)-1-[(3,5-difluorophenyl)methyl]-2-hydroxypropyl]amino]-2-oxoethyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L35 ANSWER 27 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:676142 HCAPLUS  
 DN 137:197524  
 TI HIV protease inhibitors and their use for treating HIV protease-associated diseases  
 IN Wong, Chi-Huey  
 PA The Scripps Research Institute, USA  
 SO PCT Int. Appl., 153 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002068586	A2	20020906	WO 2002-US1695	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI US 2001-262846P	P	20010119		
OS MARPAT 137:197524				
AB With the help of X-ray structural analyses of drug-resistant HIV proteases and mol. modeling, a new type of inhibitor with a small P3 residue has been developed. These inhibitors are effective against HIV and its drug-resistant mutants, as well as FIV. Modification of existing HIV protease inhibitors by reducing the size of the P3 residue has the same effect. This finding provides a new strategy for the development of HIV protease inhibitors effective against the wild type and drug-resistant mutants and further supports that FIV protease is a useful model for drug-resistant HIV proteases, which often are developed through reduction in size of the binding region for the P3 group or the combined P3 and P1 groups. The HIV protease inhibitors may be used to treat diseases associated with HIV protease, e.g., AIDS.				
IC ICM C12N				
CC 7-3 (Enzymes)				
Section cross-reference(s): 1				

ST HIV protease inhibitor macrocycle contg peptide; AIDS treatment HIV protease inhibitor

IT Anti-AIDS agents  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 144114-21-6, HIV protease  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 129467-48-7P 204907-84-6P 204907-85-7P 204907-86-8P 204910-66-7P  
222847-71-4P 222847-74-7P 222848-86-4P 222849-07-2P 227317-37-5P  
227317-42-2P 227317-48-8P 336611-65-5P 336611-66-6P 336611-71-3P  
336611-72-4P 336611-74-6P 336611-75-7P 336611-76-8P 336611-77-9P  
359690-35-0P 359690-40-7P 359690-44-1P 359690-48-5P 359690-53-2P  
359690-57-6P 359690-73-6P 359690-77-0P 359690-78-1P 359690-82-7P  
359690-86-1P 359691-01-3P 359691-05-7P 359691-08-0P 359691-14-8P  
359691-18-2P 359691-22-8P 359691-26-2P 359691-38-6P 359691-41-1P  
454423-76-8P 454423-89-3P 454424-03-4P 454424-04-5P 454424-05-6P  
454424-06-7P  
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 98-09-9, Phenylsulfonyl chloride 98-58-8, 4-Bromophenylsulfonyl chloride  
98-59-9, p-Toluenesulfonyl chloride 98-74-8, 4-Nitrophenylsulfonyl  
chloride 98-88-4, Benzoyl chloride 622-58-2, 4-Methylphenylisocyanate  
929-06-6, 2-(2-Aminoethoxy)ethanol 1142-20-7 1145-80-8 1149-26-4  
1161-13-3 1164-16-5 1548-13-6, 4-Trifluoromethylphenylisocyanate  
2018-66-8 3222-47-7, 6-Methylnicotinic acid 4070-48-8, L-Valine methyl  
ester 5416-93-3, 4-Methoxyphenylisocyanate 5891-45-2 6306-52-1,  
L-Valine methyl ester hydrochloride 14550-79-9 18370-81-5,  
3-Bromopropylamine 19728-63-3 21467-12-9 57177-83-0 61389-33-1  
116565-10-7 124620-51-5 128018-18-8 128018-44-0 137649-68-4  
137649-69-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 65689-37-4P 136740-99-3P 140196-57-2P 141197-75-3P 142285-44-7P  
142285-61-8P 142285-62-9P 144164-11-4P 144186-08-3P 204907-78-8P  
204907-79-9P 204907-80-2P 204907-82-4P 204907-83-5P 222847-60-1P  
222847-65-6P 222848-11-5P 222848-18-2P 222848-24-0P 222848-30-8P  
222848-38-6P 227317-36-4P 227317-38-6P 336611-70-2P 336611-73-5P  
406680-79-3P 454423-75-7P 454423-81-5P 454423-83-7P 454423-87-1P  
454423-93-9P 454423-95-1P 454423-97-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 336611-67-7P 336611-68-8P 336611-69-9P  
359691-30-8P 359691-34-2P 454423-71-3P 454423-72-4P  
454423-73-5P 454423-74-6P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); USES (Uses)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 359690-32-7 359690-61-2 359690-65-6 454423-70-2  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(HIV protease inhibitors and their use for treating HIV protease-associated diseases)

IT 336611-67-7P

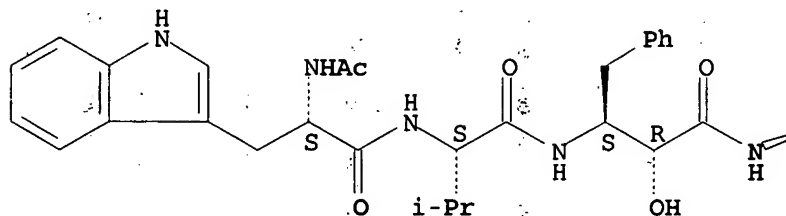
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); USES (Uses)  
(HIV protease inhibitors and their use for treating HIV  
protease-associated diseases)

RN 336611-67-7 HCAPLUS

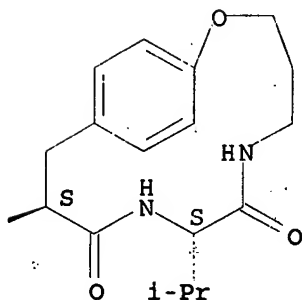
CN L-Valinamide, N-acetyl-L-tryptophyl-L-valyl-( $\alpha$ R, $\beta$ S)- $\beta$ -  
amino- $\alpha$ -hydroxybenzenebutanoyl-L-tyrosyl-N-(3-hydroxypropyl)-,  
cyclic (4-5)-ether (9CI): (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L35 ANSWER 28 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:353416 HCAPLUS

DN 136:355485

TI Preparation of peptide derivatives and their pharmaceutically acceptable  
salts as lysyl-gingipain inhibitors, processes for preparation of both,  
and use thereof

IN Yamamoto, Kenji; Suda, Yoshimitsu; Asao, Tetsuji

PA Taiho Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

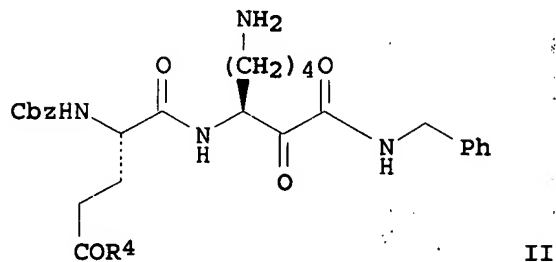
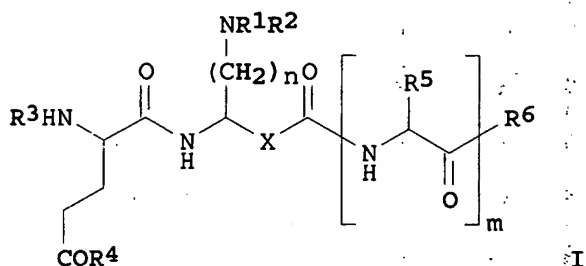
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036551	A1	20020510	WO 2001-JP9621	20011102
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,  
 UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2396678 AA 20020510 CA 2001-2396678 20011102  
 AU 2002012704 A5 20020515 AU 2002-12704 20011102  
 AU 782470 B2 20050804  
 EP 1333024 A1 20030806 EP 2001-980959 20011102  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 US 2003087828 A1 20030508 US 2002-168540 20020702  
 US 6951843 B2 20051004  
 PRAI JP 2000-338192 A 20001106  
 WO 2001-JP9621 W 20011102  
 OS MARPAT 136:355485  
 GI



AB Peptide derivs. represented by the general formula (I) and pharmaceutically acceptable salts thereof [wherein X = CHOH or CO; R1, R2 = H, substituted oxycarbonyl; R3 = substituted oxycarbonyl; R4 = HO, lower alkoxy, optionally substituted piperazinyl or NH2; R5 = a side chain (R) of an optionally protected  $\alpha$ -amino acid; R6 = HO, lower alkoxy, optionally substituted NH2; m = 0 or 1; n = an integer of 2 to 6.] are prepared. These peptide compds. are specific and highly potent inhibitors of lysyl-gingipain (KGP) of Porphyromonas gingivalis which is the most important bacterium known to cause adult periodontitis and rapidly progressive periodontitis and are useful for treatment of periodontal diseases. Thus, the peptide derivative (II.2HCl) in vitro inhibited 100.0% KGP and 0.0% Arg-gingipain (RGP) at  $10^{-6}$  M and 99.0% KGP at  $10^{-9}$  M. An oral ointment, a tooth paste, a troche, a chewing gum, a mouth rinse, and a mouthwash containing specific I were formulated.

IC ICM C07C271-22  
 ICS C07D295-18; C07K005-06; A61K031-27; A61K031-495; A61K038-06;  
 A61P001-02; A61P043-00

CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 7, 62, 63

ST peptide deriv prepn lysyl gingipain inhibitor; periodontal disease peptide deriv prepn

IT Inflammation  
Periodontium, disease  
(periodontitis; preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT Periodontium, disease  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT Peptides, preparation  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT 159745-69-4, Lysine-gingipain 159745-71-8, Arg-gingipain  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT 420789-93-1P 420789-96-4P 420789-98-6P 420790-01-8P 420790-05-2P  
420790-09-6P 420790-12-1P 420790-15-4P 420790-17-6P  
420790-21-2P 420790-26-7P 420790-29-0P 420790-32-5P 420790-35-8P  
420790-39-2P 420790-43-8P 420790-45-0P 420790-49-4P 420790-52-9P  
420790-57-4P 420790-62-1P 420790-66-5P 420790-72-3P 420790-76-7P  
420790-79-0P 420790-83-6P 420790-86-9P 420790-89-2P 420790-92-7P  
420790-95-0P 420790-98-3P 420791-01-1P 420791-04-4P  
RL: COS (Cosmetic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT 420791-07-7P 420791-10-2P 420791-13-5P 420791-16-8P 420791-20-4P  
420791-23-7P 420791-27-1P 420791-30-6P 420791-34-0P 420791-37-3P  
420791-41-9P 420791-46-4P 420791-51-1P 420791-55-5P 420791-59-9P  
420791-63-5P  
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT 3886-08-6 123665-42-9 190905-65-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

IT 420791-68-0P 420791-72-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)

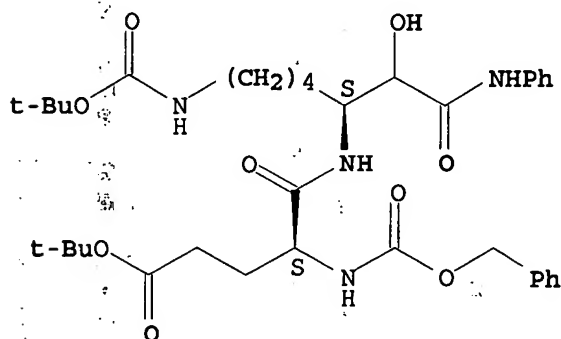
IT 420790-17-6P  
RL: COS (Cosmetic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of peptide derivs. and pharmaceutically acceptable salts as lysyl-gingipain inhibitors for treatment of periodontal diseases)



RN 420790-17-6 HCAPLUS

CN 13-Oxa-2,5,11-triazapentadecanoic acid, 3-[3-(1,1-dimethylethoxy)-3-oxopropyl]-6-[1-hydroxy-2-oxo-2-(phenylamino)ethyl]-14,14-dimethyl-4,12-dioxo-, phenylmethyl ester, (3S,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L35 ANSWER 29 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:31402 HCAPLUS

DN 136:102190

TI Preparation of substituted amines to treat Alzheimer's disease

IN Maillaird, Michel; Hom, Court; Gailunas, Andrea; Jagodzinska, Barbara;  
Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.;  
Beck, James P.; Tenbrink, Ruth E.

PA Elan Pharmaceuticals, Inc., USA; Pharmacia &amp; Upjohn Company

SO PCT Int. Appl., 651 pp.

CODEN: PIXXD2

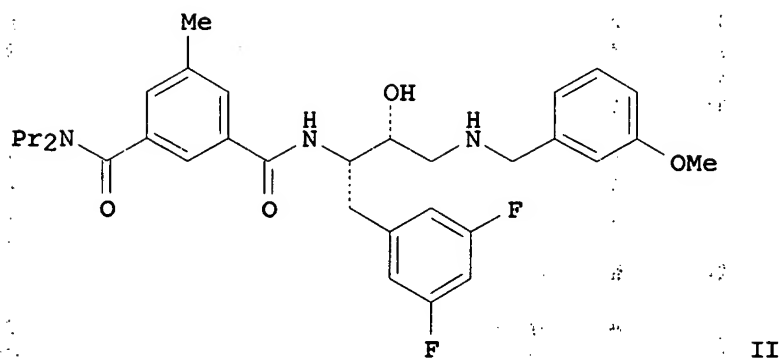
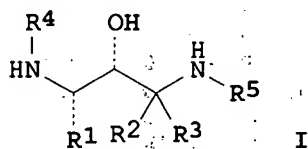
DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002512	A2	20020110	WO 2001-US21012	20010629
	WO 2002002512	A3	20030821		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA	2410651	AA	20020110	CA 2001-2410651	20010629
AU	2001073137	A5	20020114	AU 2001-73137	20010629
US	2002128255	A1	20020912	US 2001-896139	20010629
BR	2001012000	A	20030603	BR 2001-12000	20010629
EP	1353898	A2	20031022	EP 2001-952378	20010629
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP	2004502669	T2	20040129	JP 2002-507769	20010629
EE	200200716	A	20040816	EE 2002-716	20010629

NZ 522899	A	20050624	NZ 2001-522899	20010629
EP 1586556	A2	20051019	EP 2005-8935	20010629
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NO 2002006199	A	20030221	NO 2002-6199	20021223
PRAI US 2000-215323P	P	20000630		
US 2000-252736P	P	20001122		
US 2000-255956P	P	20001215		
US 2001-268497P	P	20010213		
US 2001-279779P	P	20010329		
US 2001-295589P	P	20010604		
EP 2001-950719	A3	20010629		
WO 2001-US21012	W	20010629		
OS MARPAT 136:102190				
GI				



AB The title compds. [I; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO<sub>2</sub>, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH<sub>2</sub>)<sub>0-3</sub>cycloalkyl, etc.], useful in treating Alzheimer's disease and other similar diseases, were prepared Thus, reacting (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylisophthalamic acid in the presence of Et<sub>3</sub>N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC<sub>50</sub> of < 50 μM against beta-secretase.

IC ICM C07C237-00

CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 27, 28

ST amine prepn beta secretase inhibitor Alzheimer's disease;  
amyloid precursor protein cleavage inhibitor amine prepn; cognition  
enhancer amine prepn; Down's syndrome amine prepn; hereditary cerebral

hemorrhage amyloidosis Dutch type amine prepn

IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(APP695, inhibiting cleavage of; preparation of substituted amines for treating Alzheimer's disease)

IT Brain, disease  
(amyloidosis, hereditary cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines for treating Alzheimer's disease)

IT Amyloidosis  
(hereditary, cerebral hemorrhage type, Dutch type, treatment of; preparation of substituted amines for treating Alzheimer's disease)

IT Amyloid precursor proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibiting cleavage of APP-751 isotype, APP-770 isotype, APP-695 Swedish mutation and APP-770 Swedish mutation; preparation of substituted amines for treating Alzheimer's disease)

IT Anti-Alzheimer's agents  
Cognition enhancers  
(preparation of substituted amines for treating Alzheimer's disease)

IT Human  
(preparation of substituted amines for treatment of Alzheimer's disease)

IT Down's syndrome  
(treatment of; preparation of substituted amines for treating Alzheimer's disease)

IT Amyloid  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\beta$ -, inhibiting of beta-amyloid plaque; preparation of substituted amines for treating Alzheimer's disease)

IT 158736-49-3,  $\beta$ -Secretase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(preparation of substituted amines for treating Alzheimer's disease)

IT 388064-11-7P 388066-36-2P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of substituted amines for treating Alzheimer's disease)

IT 388062-16-6P 388062-17-7P 388062-18-8P 388062-19-9P 388062-20-2P  
388062-21-3P 388062-22-4P 388062-23-5P 388062-24-6P  
388062-25-7P 388062-26-8P 388062-27-9P 388062-28-0P  
388062-29-1P 388062-30-4P 388062-31-5P 388062-32-6P  
388062-33-7P 388062-34-8P 388062-35-9P 388062-36-0P  
388062-37-1P 388062-38-2P 388062-39-3P 388062-40-6P 388062-41-7P  
388062-42-8P 388062-43-9P 388062-44-0P 388062-45-1P 388062-46-2P  
388062-47-3P 388062-48-4P 388062-49-5P 388062-50-8P 388062-51-9P  
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388062-57-5P 388062-58-6P 388062-59-7P 388062-60-0P 388062-61-1P  
388062-62-2P 388062-63-3P 388062-64-4P 388062-65-5P 388062-66-6P  
388062-67-7P 388062-68-8P 388062-69-9P 388062-70-2P 388062-71-3P  
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388062-82-6P 388062-83-7P 388062-84-8P 388062-85-9P 388062-86-0P  
388062-87-1P 388062-88-2P 388062-89-3P 388062-90-6P 388062-91-7P  
388062-92-8P 388062-93-9P 388062-94-0P 388062-95-1P 388062-96-2P  
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388063-02-3P 388063-03-4P 388063-04-5P 388063-05-6P 388063-06-7P

388063-07-8P	388063-08-9P	388063-09-0P	388063-10-3P	
388063-11-4P	388063-12-5P	388063-13-6P	388063-14-7P	388063-15-8P
388063-16-9P	388063-17-0P	388063-18-1P	388063-19-2P	388063-20-5P
388063-21-6P	388063-22-7P	388063-23-8P	388063-24-9P	388063-25-0P
388063-26-1P	388063-27-2P	388063-28-3P	388063-29-4P	388063-30-7P
388063-31-8P	388063-32-9P	388063-33-0P	388063-34-1P	388063-35-2P
388063-36-3P	388063-37-4P	388063-38-5P	388063-39-6P	
388063-40-9P	388063-41-0P	388063-42-1P	388063-43-2P	388063-44-3P
388063-45-4P	388063-46-5P	388063-47-6P	388063-48-7P	388063-49-8P
388063-50-1P	388063-51-2P	388063-52-3P	388063-53-4P	
388063-54-5P	388063-55-6P	388063-56-7P	388063-57-8P	
388063-58-9P	388063-59-0P	388063-60-3P	388063-61-4P	388063-62-5P
388063-63-6P	388063-64-7P	388063-65-8P	388063-66-9P	
388063-67-0P	388063-68-1P	388063-69-2P	388063-70-5P	388063-71-6P
388063-72-7P	388063-73-8P	388063-74-9P	388063-75-0P	388063-76-1P
388063-77-2P	388063-78-3P	388063-79-4P	388063-80-7P	388063-81-8P
388063-82-9P	388063-83-0P	388063-84-1P	388063-85-2P	
388063-86-3P	388063-87-4P	388063-88-5P	388063-89-6P	388063-90-9P
388063-91-0P	388063-92-1P	388063-93-2P	388063-94-3P	388063-95-4P
388063-96-5P	388063-97-6P	388063-98-7P	388063-99-8P	
388064-00-4P	388064-01-5P	388064-02-6P	388064-03-7P	388064-04-8P
388064-05-9P	388064-06-0P	388064-07-1P	388064-08-2P	388064-09-3P
388064-10-6P	388064-12-8P	388064-13-9P	388064-14-0P	
388064-15-1P	388064-16-2P	388064-17-3P	388064-18-4P	388064-19-5P
388064-20-8P	388064-21-9P	388064-22-0P	388064-23-1P	388064-24-2P
388064-25-3P	388064-26-4P	388064-27-5P	388064-28-6P	388064-29-7P
388064-30-0P	388064-31-1P	388064-32-2P	388064-33-3P	388064-34-4P
388064-35-5P	388064-36-6P	388064-37-7P	388064-38-8P	388064-39-9P
388064-40-2P	388064-41-3P	388064-42-4P	388064-43-5P	388064-44-6P
388064-45-7P	388064-46-8P	388064-47-9P	388064-48-0P	
388064-49-1P	388064-50-4P			

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT	388064-51-5P	388064-52-6P	388064-53-7P	388064-54-8P	
	388064-55-9P	388064-56-0P	388064-57-1P	388064-58-2P	388064-59-3P
	388064-60-6P	388064-61-7P	388064-62-8P	388064-63-9P	
	388064-64-0P	388064-65-1P	388064-66-2P	388064-67-3P	388064-68-4P
	388064-69-5P	388064-70-8P	388064-71-9P	388064-72-0P	388064-73-1P
	388064-74-2P	388064-75-3P	388064-76-4P	388064-77-5P	388064-78-6P
	388064-79-7P	388064-80-0P	388064-81-1P	388064-82-2P	388064-83-3P
	388064-84-4P	388064-85-5P	388064-86-6P	388064-87-7P	388064-88-8P
	388064-89-9P	388064-90-2P	388064-91-3P	388064-92-4P	388064-93-5P
	388064-94-6P	388064-95-7P	388064-96-8P	388064-97-9P	388064-98-0P
	388064-99-1P	388065-00-7P	388065-01-8P	388065-02-9P	388065-03-0P
	388065-04-1P	388065-05-2P	388065-06-3P	388065-07-4P	388065-08-5P
	388065-09-6P	388065-10-9P	388065-11-0P	388065-12-1P	
	388065-13-2P	388065-14-3P	388065-15-4P		
	388065-16-5P	388065-17-6P	388065-18-7P	388065-19-8P	
	388065-20-1P	388065-21-2P	388065-22-3P	388065-23-4P	
	388065-24-5P	388065-25-6P	388065-26-7P	388065-27-8P	
	388065-28-9P	388065-29-0P	388065-30-3P	388065-31-4P	
	388065-32-5P	388065-33-6P	388065-34-7P	388065-35-8P	388065-36-9P
	388065-37-0P	388065-38-1P	388065-39-2P	388065-40-5P	388065-41-6P
	388065-42-7P	388065-43-8P	388065-44-9P	388065-45-0P	388065-46-1P
	388065-47-2P	388065-48-3P	388065-49-4P	388065-50-7P	
	388065-51-8P	388065-52-9P	388065-53-0P	388065-54-1P	
	388065-55-2P	388065-56-3P	388065-57-4P	388065-58-5P	388065-59-6P

388065-60-9P	388065-61-0P	388065-62-1P	388065-63-2P	388065-64-3P
388065-65-4P	388065-66-5P	388065-67-6P	388065-68-7P	
388065-69-8P	388065-70-1P	388065-71-2P	388065-72-3P	
388065-73-4P	388065-74-5P	388065-75-6P	388065-76-7P	388065-77-8P
388065-78-9P	388065-79-0P	388065-80-3P	388065-81-4P	
388065-82-5P	388065-83-6P	388065-84-7P	388065-85-8P	388065-86-9P
388065-87-0P	388065-88-1P	388065-89-2P	388065-90-5P	388065-91-6P
388065-92-7P	388065-93-8P	388065-94-9P	388065-95-0P	388065-96-1P
388065-97-2P	388065-98-3P	388065-99-4P	388066-00-0P	388066-01-1P
388066-02-2P	388066-03-3P	388066-04-4P	388066-05-5P	388066-06-6P
388066-07-7P	388066-08-8P	388066-10-2P	388066-12-4P	388066-14-6P
388066-16-8P	388066-17-9P	388066-18-0P	388066-19-1P	388066-20-4P
388066-21-5P	388066-22-6P	388066-23-7P	388066-24-8P	388066-25-9P
388066-26-0P	388066-27-1P	388066-28-2P	388066-29-3P	388066-30-6P
388066-31-7P	388066-32-8P	388066-33-9P	388066-34-0P	388066-35-1P
388066-37-3P	388066-38-4P	388066-39-5P	388066-40-8P	388066-41-9P
388066-42-0P	388066-43-1P	388066-44-2P	388066-45-3P	388066-46-4P
388066-47-5P	388066-48-6P	388066-49-7P	388066-50-0P	388066-51-1P
388066-52-2P	388066-53-3P	388066-54-4P	388066-55-5P	388066-56-6P
388066-57-7P	388066-58-8P	388066-59-9P	388066-60-2P	388066-61-3P
388066-62-4P	388066-63-5P	388066-64-6P	388066-65-7P	388066-66-8P
388066-67-9P	388066-68-0P	388066-69-1P	388066-70-4P	388066-71-5P
388066-72-6P	388066-73-7P	388066-74-8P	388066-75-9P	388066-76-0P
388066-77-1P	388066-78-2P	388066-79-3P	388066-80-6P	388066-81-7P
388066-82-8P	388066-83-9P	388066-84-0P	388066-85-1P	388066-86-2P
388066-87-3P	388066-88-4P	388066-89-5P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's  
disease)

IT	388066-90-8P	388066-91-9P	388066-92-0P	388066-94-2P	388066-96-4P
	388066-98-6P	388066-99-7P	388067-00-3P	388067-01-4P	388067-02-5P
	388067-03-6P	388067-04-7P	388067-05-8P	388067-06-9P	388067-07-0P
	388067-08-1P	388067-09-2P	388067-10-5P	388067-11-6P	388067-12-7P
	388067-13-8P	388067-14-9P	388067-15-0P	388067-16-1P	388067-17-2P
	388067-18-3P	388067-19-4P	388067-20-7P	388067-21-8P	
	388067-22-9P	388067-23-0P	388067-24-1P	388067-25-2P	388067-26-3P
	388067-27-4P	388067-28-5P	388067-29-6P	388067-30-9P	
	388067-31-0P	388067-32-1P	388067-33-2P	388067-34-3P	388067-35-4P
	388067-36-5P	388067-37-6P	388067-38-7P	388067-39-8P	388067-40-1P
	388067-41-2P	388067-42-3P	388067-43-4P	388067-44-5P	388067-45-6P
	388067-46-7P	388067-47-8P	388067-48-9P	388067-49-0P	388067-50-3P
	388067-51-4P	388067-52-5P	388067-53-6P	388067-54-7P	388067-55-8P
	388067-56-9P	388067-57-0P	388067-58-1P	388067-59-2P	388067-60-5P
	388067-61-6P	388067-62-7P	388067-63-8P	388067-64-9P	388067-65-0P
	388067-66-1P	388067-67-2P	388067-68-3P	388067-69-4P	388067-70-7P
	388067-71-8P	388067-72-9P	388067-73-0P	388067-74-1P	388067-75-2P
	388067-76-3P	388067-77-4P	388067-78-5P	388067-79-6P	388067-80-9P
	388067-81-0P	388067-82-1P	388067-83-2P	388067-84-3P	388067-85-4P
	388067-86-5P	388067-87-6P	388067-88-7P	388067-89-8P	388067-90-1P
	388067-91-2P	388067-92-3P	388067-93-4P	388067-94-5P	388067-95-6P
	388067-96-7P	388067-97-8P	388067-98-9P	388067-99-0P	388068-00-6P
	388068-01-7P	388068-02-8P	388068-03-9P	388068-04-0P	388068-05-1P
	388068-06-2P	388068-07-3P	388068-08-4P	388068-09-5P	388068-10-8P
	388068-11-9P	388068-12-0P	388068-13-1P	388068-14-2P	388068-15-3P
	388068-16-4P	388068-17-5P	388068-18-6P	388068-19-7P	388068-20-0P
	388068-21-1P	388068-22-2P	388068-23-3P	388068-24-4P	388068-25-5P
	388068-26-6P	388068-27-7P	388068-28-8P	388068-29-9P	388068-30-2P
	388068-31-3P	388068-32-4P	388068-33-5P	388068-34-6P	388068-35-7P

388068-36-8P	388068-37-9P	388068-38-0P	388068-39-1P	388068-40-4P
388068-41-5P	388068-42-6P	388068-43-7P	388068-44-8P	388068-45-9P
388068-46-0P	388068-47-1P	388068-48-2P	388068-49-3P	388068-50-6P
388068-51-7P	388068-52-8P	388068-53-9P	388068-54-0P	388068-55-1P
388068-56-2P	388068-57-3P	388068-58-4P	388068-59-5P	388068-60-8P
388068-61-9P	388068-62-0P	388068-63-1P	388068-64-2P	388068-65-3P
388068-66-4P	388068-67-5P	388068-68-6P	388068-69-7P	388068-70-0P
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388068-81-3P	388068-82-4P	388068-83-5P	388068-84-6P	388068-85-7P
388068-86-8P	388068-87-9P	388068-88-0P	388068-89-1P	388068-90-4P
388068-91-5P	388068-92-6P	388068-93-7P	388068-94-8P	388068-95-9P
388068-96-0P	388068-97-1P	388068-98-2P	388068-99-3P	388069-00-9P
388069-01-0P	388069-02-1P	388069-03-2P	388069-04-3P	388069-05-4P
388069-06-5P	388069-07-6P	388069-08-7P	388069-09-8P	388069-10-1P
388069-11-2P	388069-12-3P	388069-13-4P	388069-14-5P	388069-15-6P
388069-16-7P	388069-17-8P	388069-18-9P	388069-19-0P	388069-20-3P
388069-21-4P	388069-22-5P	388069-24-7P	388069-26-9P	388069-28-1P
388069-29-2P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT 388069-31-6P	388069-34-9P	388069-36-1P	388069-38-3P	388069-40-7P
388069-42-9P	388069-43-0P	388069-44-1P	388069-45-2P	388069-46-3P
388069-47-4P	388069-48-5P	388069-49-6P	388069-50-9P	388069-51-0P
388069-52-1P	388069-53-2P	388069-54-3P	388069-55-4P	388069-56-5P
388069-57-6P	388069-58-7P	388069-59-8P	388069-60-1P	388069-61-2P
388069-62-3P	388069-63-4P	388069-64-5P	388069-65-6P	388069-66-7P
388069-67-8P	388069-69-0P	388069-70-3P	388069-71-4P	388069-72-5P
388069-73-6P	388069-74-7P	388069-75-8P	388069-76-9P	388069-77-0P
388069-78-1P	388069-79-2P	388069-80-5P	388069-81-6P	388069-82-7P
388069-83-8P	388069-84-9P	388069-85-0P	388069-86-1P	
388069-87-2P	388069-88-3P	388069-89-4P	388069-90-7P	388069-91-8P
388069-92-9P	388069-93-0P	388069-94-1P	388069-95-2P	388069-96-3P
388069-97-4P	388069-98-5P	388069-99-6P	388070-00-6P	388070-01-7P
388070-02-8P	388070-03-9P	388070-04-0P	388070-05-1P	388070-06-2P
388070-07-3P	388070-08-4P	388070-09-5P	388070-10-8P	388070-11-9P
388070-12-0P	388070-13-1P	388070-14-2P	388070-15-3P	388070-16-4P
388070-17-5P	388070-18-6P	388070-19-7P	388070-20-0P	388070-21-1P
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388070-27-7P	388070-28-8P	388070-29-9P	388070-30-2P	388070-31-3P
388070-32-4P	388070-33-5P	388070-34-6P	388070-35-7P	388070-36-8P
388070-37-9P	388070-38-0P	388070-39-1P	388070-40-4P	
388070-41-5P	388070-42-6P	388070-43-7P	388070-44-8P	388070-45-9P
388070-46-0P	388070-47-1P	388070-48-2P	388070-49-3P	388070-50-6P
388070-51-7P	388070-52-8P	388070-53-9P	388070-54-0P	388070-55-1P
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388070-71-1P	388070-72-2P	388070-73-3P	388070-74-4P	388070-75-5P
388070-76-6P	388070-77-7P	388070-78-8P	388070-79-9P	388070-80-2P
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388071-01-0P	388071-02-1P	388071-03-2P	388071-04-3P	388071-05-4P
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388071-50-9P	388071-51-0P	388071-52-1P	388071-53-2P	388071-54-3P

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388071-60-1P 388071-61-2P 388071-62-3P 388071-63-4P 388071-64-5P  
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388072-21-7P 388072-22-8P 388086-39-3P 388086-42-8P  
388086-44-0P 388569-62-8P 388569-63-9P  
388569-64-0P 388569-65-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's  
disease)

IT 51-36-5, 3,5-Dichlorobenzoic acid 62-53-3, Aniline, reactions 74-99-7,  
Propyne 86-58-8, 8-Quinolineboronic acid 93-48-1, 2,5-  
Dimethylbenzylamine 94-53-1, 1,3-Benzodioxole-5-carboxylic acid  
96-99-1, 4-Chloro-3-nitrobenzoic acid 98-01-1, 2-Furaldehyde, reactions  
98-80-6, Phenylboronic acid 99-64-9, 3-Dimethylaminobenzoic acid  
100-46-9, Benzenemethanamine, reactions 100-82-3, 3-Fluorobenzylamine  
102-14-7 105-43-1 106-94-5, 1-Bromopropane 107-10-8, Propylamine,  
reactions 110-58-7, 1-Pentanamine 117-78-2 123-75-1, Pyrrolidine,  
reactions 142-84-7, Dipropylamine 149-57-5, 2-Ethylhexanoic acid  
454-92-2, 3-Trifluoromethylbenzoic acid 455-40-3, 3,5-Difluorobenzoic  
acid 499-06-9, 3,5-Dimethylbenzoic acid 541-46-8, Isovaleramide  
556-08-1, 4-(Acetylaminobenzoic acid 579-18-0 585-32-0 587-48-4,  
3-(Acetylaminobenzoic acid 590-86-3, Isovaleraldehyde 621-51-2  
645-83-0 646-07-1, 4-Methylpentanoic acid 693-04-9, Butylmagnesium  
chloride 696-40-2, 3-Iodobenzylamine 707-60-8 709-19-3 716-76-7,  
[1,1'-Biphenyl]-3-carboxylic acid 1014-81-9, 3-Trifluoromethoxybenzoic  
acid 1066-54-2, Trimethylsilylacetylene 1132-21-4,  
3,5-Dimethoxybenzoic acid 1205-30-7, 3-(Aminosulfonyl)-4-chlorobenzoic  
acid 1486-51-7, 4-(Benzyloxy)benzoic acid 1670-81-1,  
Indole-5-carboxylic acid 1758-46-9 1877-72-1, 3-Cyanobenzoic acid  
1955-46-0, Monomethyl 5-nitroisophthalate 2038-57-5, 3-Phenylpropylamine  
2217-40-5 2450-71-7, Propargylamine 2740-83-2, 3-  
Trifluoromethylbenzylamine 2975-41-9 3718-88-5, 3-Iodobenzylamine  
hydrochloride 3731-51-9, (2-Pyridinylmethyl)amine 4412-96-8  
4543-47-9, 3-Furanmethanamine 4672-17-7 4740-24-3,  
4-(Butylamino)benzoic acid 5071-96-5, 3-Methoxybenzylamine 5414-99-3  
5720-07-0, 4-Methoxyphenylboronic acid 6120-95-2, 1-  
Phenylcyclopropanecarboxylic acid 6165-69-1, Thiophene-3-boronic acid  
7409-18-9 7697-26-9, 3-Bromo-4-methylbenzoic acid 10269-01-9,  
3-Bromobenzylamine 10277-74-4 10365-98-7, 3-Methoxyphenylboronic acid  
10385-30-5, 4-Benzyloxybutyric acid 13331-23-2, 2-Furanylboronic acid  
13536-04-4 15996-78-8 19788-37-5, 4-Chloromethyl-3,5-dimethylisoxazole  
23357-52-0 23814-12-2, 1H-Benzotriazole-5-carboxylic acid 25611-78-3  
30568-40-2 33142-21-1, Ethyl formylchloroacetate 37798-05-3,  
2-Benzofuranmethanamine 37806-33-0 37806-39-6 51221-45-5  
54930-39-1 58530-13-5, 3-Bromo-5-methylbenzoic acid 60875-16-3  
62039-92-3 62416-04-0 65456-39-5 67515-74-6 67822-76-8  
69082-97-9 72235-51-9 72235-53-1 72519-79-0 73183-34-3  
73604-31-6, 3-Hydroxybenzylamine 76197-44-9 76197-47-2 78710-55-1  
84110-40-7, Isobutylboronic acid 85068-29-7 85118-06-5 93071-76-2  
98737-29-2 98737-30-5 106719-44-2 111331-82-9 126456-43-7  
126926-35-0 128018-44-0 132664-85-8 143224-95-7 150517-77-4  
160232-62-2 161622-05-5, 3-Fluoro-5-trifluoromethylbenzoic acid  
162536-41-6 162536-83-6 165253-31-6, (Tetrahydro-3-furanylmethyl)amine  
167011-40-7 172975-69-8, 3,5-Dimethylphenylboronic acid 176707-77-0  
181425-91-2, Diethyl 5-(hydroxymethyl)isophthalate 183553-44-8  
186463-23-0 199296-61-2 203852-04-4 204841-19-0,

3-Acetylphenylboronic acid 205445-52-9 207791-55-7 235106-09-9  
244022-71-7 347142-76-1 377083-88-0 388072-23-9 388072-24-0  
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388072-40-0 388072-41-1 388072-42-2 388072-43-3 388072-44-4  
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388073-26-5 388073-27-6 388073-28-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted amines for treating Alzheimer's disease)

IT 388073-29-8 388073-30-1 388073-31-2 388073-32-3 388073-33-4  
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388075-02-3	388075-03-4	388075-04-5	388075-05-6	388075-06-7
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388075-12-5	388075-13-6	388075-14-7	388075-15-8	388075-16-9
388075-17-0	388075-18-1	388075-19-2	388075-20-5	388075-21-6
388075-22-7	388075-23-8	388075-24-9	388075-25-0	388075-27-2
388075-28-3	388075-30-7	388075-31-8	388075-32-9	388075-33-0
388075-34-1	388075-35-2	388075-36-3	388075-37-4	388075-38-5
388075-39-6	388075-40-9	388075-41-0	388075-42-1	388075-43-2
388075-44-3	388075-45-4	388075-46-5	388075-47-6	388075-48-7
388075-49-8	388075-50-1	388075-51-2	388075-52-3	388086-41-7
388569-66-2	388569-67-3	388569-68-4	388569-69-5	388569-70-8
388569-71-9				

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of substituted amines for treating Alzheimer's disease)

IT 626-89-1P 16536-95-1P 28179-47-7P 41049-53-0P 50399-51-4P  
84374-70-9P 92136-39-5P 106691-72-9P 131052-47-6P 161796-10-7P  
328284-59-9P 388071-08-7P 388071-09-8P 388071-10-1P 388071-11-2P  
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388072-11-5P 388072-12-6P 388072-13-7P 388072-14-8P 388072-15-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of substituted amines for treating Alzheimer's disease)

IT 388071-80-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

IT 162536-84-7P 192863-37-9P 388071-78-1P 388071-82-7P 388071-83-8P  
388071-84-9P 388071-87-2P 388071-88-3P 388071-89-4P 388071-90-7P  
388071-91-8P 388071-92-9P 388071-93-0P 388071-94-1P 388071-95-2P  
388071-96-3P 388071-97-4P 388071-98-5P 388071-99-6P 388072-00-2P  
388072-03-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

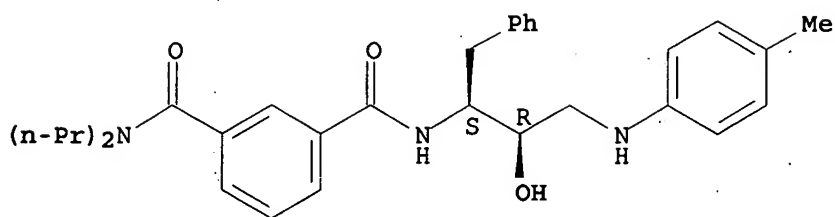
IT 388062-21-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted amines for treating Alzheimer's disease)

RN 388062-21-3 HCAPLUS

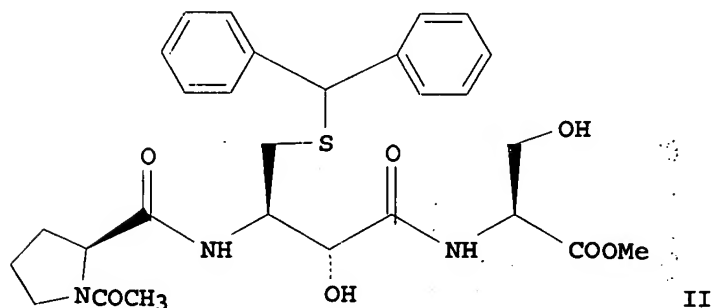
CN 1,3-Benzenedicarboxamide, N'-[(1S,2R)-2-hydroxy-3-[(4-methylphenyl)amino]-1-(phenylmethyl)propyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 30 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:680120 HCAPLUS  
 DN 131:310838  
 TI Preparation of peptides as HCV protease inhibitors  
 IN Yamamoto, Osamu; Nakai, Eiichi; Shimizu, Yasuaki; Hara, Ryuichiro  
 PA Soyaku Gijutsu Kenkyusho K. K., Japan  
 SO Jpn. Kokai Tokkyo Koho, 51 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11292840	A2	19991026	JP 1998-93765	19980406
PRAI	JP 1998-93765		19980406		
GI					



AB Title compds. RAN(X)CH(CH2SR1)CH(OH)COY [I; R = H, protection group of N; R1 = H, protection group of S; A = amino acid amide; X = H, fragment of amino acid; Y = amino acid, amino acid ester: such as serine and valine] and pharmaceutical acceptable salts are prepared and tested as Hepatitis C virus (HCV) protease inhibitors in treatment of hepatitis C. Thus, the title compound II was prepared

IC ICM C07C323-60  
 ICS A61K031-195; A61K031-335; A61K031-34; A61K031-35; A61K031-36; A61K031-38; A61K031-40; A61K031-415; A61K031-42; A61K031-425; A61K031-44; A61K031-445; A61K031-47; A61K031-495; A61K031-535; A61K031-55; A61K038-55; C07D207-16; C07D211-42

CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 63

ST peptide prepn HCV protease inhibitor hepatitis

IT Hepatitis  
 (C; preparation of peptides. as HCV protease inhibitors)

IT Hepatitis C virus  
Solid phase synthesis  
(preparation of peptides. as HCV protease inhibitors)

IT Resins  
RL: NUU (Other use, unclassified); USES (Uses)  
(preparation of peptides. as HCV protease inhibitors by resin-supported)

IT 37205-61-1P, Protease inhibitor  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(HCV; preparation of peptides. as HCV protease inhibitors)

IT 247263-39-4P 247263-42-9P 247263-45-2P 247263-48-5P 247263-50-9P  
247263-52-1P 247263-54-3P 247263-56-5P 247263-58-7P 247263-60-1P  
247263-62-3P 247263-64-5P 247263-66-7P 247263-68-9P 247263-70-3P  
247263-72-5P 247263-74-7P 247263-76-9P 247263-78-1P 247263-80-5P  
247263-82-7P 247263-84-9P 247263-86-1P 247263-88-3P 247263-90-7P  
247263-92-9P 247263-94-1P 247263-96-3P 247263-97-4P 247263-98-5P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptides. as HCV protease inhibitors)

IT	247266-02-0P	247266-03-1P	247266-04-2P	247266-05-3P	247266-06-4P
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	247266-52-0P	247266-53-1P	247266-54-2P	247266-55-3P	247266-56-4P
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	247267-11-4P	247267-12-5P	247267-13-6P	247267-14-7P	247267-15-8P
	247267-16-9P	247267-17-0P	247267-18-1P	247267-19-2P	247267-20-5P
	247595-39-7P	247595-40-0P	247595-41-1P	247595-42-2P	247595-46-6P
	247595-52-4P	247595-53-5P	247595-54-6P	247595-55-7P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides. as HCV protease inhibitors)

IT	76-83-5, Trityl chloride	2523-37-7	71989-31-6	111252-81-4
	247595-31-9	247595-36-4	247595-37-5	

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptides. as HCV protease inhibitors)

IT	247595-29-5P	247595-30-8P	247595-32-0P	247595-33-1P	247595-34-2P
	247595-35-3P	247595-38-6P	247595-41-1DP, S-end-resin-bond		
	247595-43-3P	247595-44-4P	247595-45-5DP, resin-bond	247595-47-7DP, S-end-resin-bond	247595-48-8P
			247595-49-9P	247595-50-2DP, S-end-resin-bond	247595-51-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptides. as HCV protease inhibitors)

IT 247266-88-2P

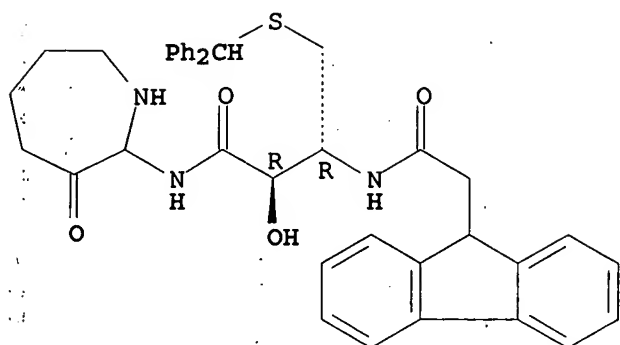
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides. as HCV protease inhibitors)

RN 247266-88-2 HCAPLUS

CN 9H-Fluorene-9-acetamide, N-[(1R,2R)-1-[(diphenylmethyl)thio]methyl]-3-[(hexahydro-3-oxo-1H-azepin-2-yl)amino]-2-hydroxy-3-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 31 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:124408 HCAPLUS

DN 126:131381

TI Preparation of substituted indole-2-carboxamides and derivatives as glycogen phosphorylase inhibitors.

IN Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.

PA Pfizer Inc., USA; Hulin, Bernard; Hoover, Dennis J.; Treadway, Judith L.; Martin, William H.

SO PCT Int. Appl., 119 pp.

CODEN: PIXXD2

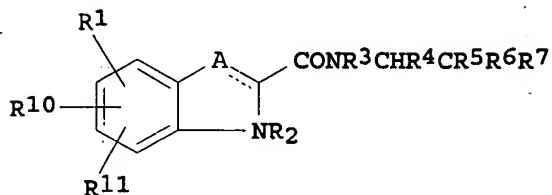
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9639385	A1	19961212	WO 1995-IB443	19950606
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2342471	AA	19961212	CA 1995-2342471	19950606
	CA 2342471	C	20021029		
	EP 832066	A1	19980401	EP 1995-918718	19950606
	EP 832066	B1	20010912		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 11500445	T2	19990112	JP 1997-500245	19950606
	JP 3068200	B2	20000724		
	AT 205477	E	20010915	AT 1995-918718	19950606
	EP 1134213	A2	20010919	EP 2001-105284	19950606
	EP 1134213	A3	20020417		
	EP 1134213	B1	20051102		
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	ES 2161291	T3	20011201	ES 1995-918718	19950606
	PT 832066	T	20011228	PT 1995-918718	19950606
	ES 2164151	T3	20020216	ES 1995-918717	19950606
	PT 832065	T	20020228	PT 1995-918717	19950606
	LV 11614	B	19970420	LV 1996-173	19960604
	BR 9602626	A	19980901	BR 1996-2626	19960604
	NO 9602322	A	19961209	NO 1996-2322	19960605
	NO 307335	B1	20000320		
	AU 9654753	A1	19961219	AU 1996-54753	19960605
	AU 700887	B2	19990114		
	ZA 9604646	A	19971205	ZA 1996-4646	19960605
	RU 2159613	C2	20001127	RU 1996-111013	19960605
	CZ 289233	B6	20011212	CZ 1996-1627	19960605
	HR 960266	B1	20020831	HR 1996-960266	19960606

TW 450961	B	20010821	TW 1996-85107435	19960619
US 6297269	B1	20011002	US 1997-952668	19971202
FI 9704437	A	19971205	FI 1997-4437	19971205
US 2002028810	A1	20020307	US 2001-881136	20010614
US 6649634	B2	20031118		
GR 3037075	T3	20020131	GR 2001-401947	20011030
CN 1374082	A	20021016	CN 2002-106667	20020305
US 2004006088	A1	20040108	US 2003-464728	20030617
US 6846820	B2	20050125		
PRAI CA 1995-2223625	A	19950606		
CA 1995-2224062	A3	19950606		
EP 1995-918717	A3	19950606		
EP 1995-918718	A	19950606		
WO 1995-IB443	W	19950606		
US 1997-952668	A3	19971202		
US 2001-881136	A3	20010614		
OS MARPAT 126:131381				
GI				



- AB Title compds. [I; dotted line = optional double bond; A = CH, CR20, CH2, CHR21; ; R20 = alkyl, halo; R21 = alkyl; R1, R10, R11 = H, halo, NO2, cyano, alkyl, alkoxy, CH2F, CHF2, CF3; R2 = H, R3 = H, alkyl; R4 = H, Me, Et, Pr, hydroxyalkyl, alkoxyalkyl, (substituted) phenylalkyl, phenylhydroxyalkyl, thienylalkyl, furylalkyl, pyridylalkyl, thiazolylalkyl, triazinylalkyl, etc.; R5 = H, OH, F, alkyl, alkoxy, alkanoyl, amionoalkoxy, carboxyalkoxy, etc.; R6 = CO2H, alkoxy carbonyl, CONR8R9, COR12; R8 = H, alkyl, OH, alkoxy; R9 = H, (substituted) alkyl, OH, alkoxy, methylene-perfluorinated alkyl, Ph, pyridyl, thienyl, furyl pyrrolyl, pyrrolidinyl, oxazolyl, thiazolyl, pyranyl, piperidinyl, morpholinyl, pyridazinyl, pyrimidinyl, pyrazinyl, etc.; R12 = piperazin-1-yl, 4-alkylpiperazin-1-yl, thiomorpholino, substituted oxazetidin-2-yl, etc.], were prepared as glycogen phosphorylase inhibitors (no data). Thus, iso-Pr (3S)-amino-4-phenyl-(2R)-hydroxybutyrate, 5-chloroindole-2-carboxylic acid, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, and 1-hydroxybenzotriazole were stirred 18 h in CH2Cl2 to give 91% iso-Pr (3S)-[(5-chloro-1H-indole-2-carbonyl)amino]-(2R)-hydroxy-4-phenylbutyrate.
- IC ICM C07D209-42  
ICS A61K031-40; C07D403-12; C07D413-12; C07D401-12; C07D417-12
- CC 27-11 (Heterocyclic Compounds (One Hetero Atom))  
Section cross-reference(s): 1
- ST indolecarboxamide substituted prepn glycogen phosphorylase inhibitor;  
cardiovascular agent indolecarboxamide substituted; diabetes treatment  
indolecarboxamide substituted
- IT Antiarteriosclerotics  
(antiatherosclerotics; preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT Heart, disease  
(ischemia, treatment; preparation of substituted indole-2-carboxamides and

- derivs. as glycogen phosphorylase inhibitors)
- IT Anticholesteremic agents  
Antidiabetic agents  
Antihypertensives  
Hypolipemic agents  
(preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT Hyperglycemia  
(treatment; preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT 9004-10-8, Insulin, biological studies  
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
(hyperinsulinemia; treatment; preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT 9035-74-9P, Glycogen phosphorylase  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(inhibitors; preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT 186392-08-5P 186392-09-6P 186392-10-9P 186392-11-0P 186392-12-1P  
186392-13-2P 186392-14-3P 186392-15-4P 186392-16-5P 186392-17-6P  
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186392-81-4P 186392-82-5P 186392-83-6P 186392-84-7P 186392-86-9P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of substituted indole-2-carboxamides and derivs. as glycogen phosphorylase inhibitors)
- IT 95-92-1, Diethyl oxalate 106-47-8, p-Chloroaniline, reactions  
109-01-3, N-Methylpiperazine 109-89-7, Diethylamine, reactions  
109-96-6, 3-Pyrroline 110-91-8, Morpholine, reactions 123-75-1, Pyrrolidine, reactions 123-90-0, Thiomorpholine 142-25-6 399-76-8  
462-08-8, 3-Aminopyridine 503-29-7, Azetidine 504-78-9, Thiazolidine  
506-59-2, Dimethylamine hydrochloride 565-71-9, DL-Isoserine 593-51-1, Methylamine hydrochloride 593-56-6 607-97-6, Ethyl 2-ethylacetoacetate  
753-90-2, 2,2,2-Trifluoroethylamine 1126-09-6, Ethyl isonipecotat  
1477-50-5, Indole-2-carboxylic acid 2799-21-5 2812-46-6, tert-Butyl (S)-pyrrolidine-2-carboxylate 4229-44-1, N-Methylhydroxylamine hydrochloride 4597-87-9, 2-Methylaminopyridine 5382-17-2, 4-Hydroxypiperidine hydrochloride 5437-45-6, Benzyl bromoacetate 5638-76-6 6091-44-7, Piperidine hydrochloride 6457-49-4, 4-Hydroxymethylpiperidine 6638-79-5, N,O-Dimethylhydroxylamine hydrochloride 6859-99-0, 3-Hydroxypiperidine 7254-19-5, 5-Bromoindole-2-carboxylic acid 7755-92-2, 1-Formylpiperazine 10241-97-1, 5-Methylindole-2-carboxylic acid 10517-21-2, 5-Chloroindole-2-carboxylic acid 18621-18-6, 3-Hydroxyazetidine

hydrochloride 39657-45-9, Isoxazolidine hydrochloride 39684-28-1,  
O-tert-Butylhydroxylamine hydrochloride 54722-74-6 60398-42-7  
62023-61-4 62023-65-8 62640-03-3 72155-45-4, N-tert-Butoxycarbonyl-L-  
phenylalaninal 77373-59-2 79099-07-3 90481-32-6,  
(S,S)-3,4-Dihydroxypyrrolidine 96784-54-2, 3-Methyl-4-nitrobenzonitrile  
105116-40-3 105181-72-4 128185-94-4 139163-87-4 158211-98-4  
186393-26-0 186393-27-1 186393-28-2 186393-29-3 186393-30-6  
186393-31-7, (R,R)-3,4-Dihydroxypyrrolidine 186393-32-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted indole-2-carboxamides and derivs. as glycogen  
phosphorylase inhibitors)

IT 16381-47-8P 16382-20-0P 73286-70-1P 105191-13-7P 119660-39-8P  
139069-60-6P 147539-41-1P 169463-44-9P 182680-68-8P 186392-87-0P  
186392-90-5P 186392-92-7P 186392-94-9P, 5,6-Dichloroindole-2-  
carboxylic acid 186392-96-1P 186392-98-3P 186392-99-4P  
186393-00-0P 186393-01-1P 186393-02-2P 186393-03-3P 186393-04-4P  
186393-05-5P 186393-07-7P 186393-09-9P 186393-11-3P 186393-13-5P  
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186393-24-8P 186393-25-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of substituted indole-2-carboxamides and derivs. as glycogen  
phosphorylase inhibitors)

IT 186392-57-4P

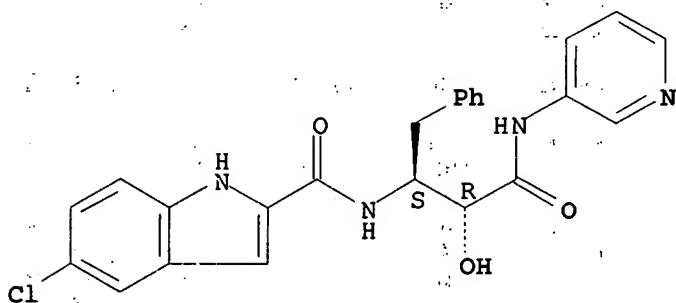
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic  
use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of substituted indole-2-carboxamides and derivs. as glycogen  
phosphorylase inhibitors)

RN 186392-57-4 HCAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2-hydroxy-3-oxo-1-(phenylmethyl)-3-(3-  
pyridinylamino)propyl]-, [R-(R\*,S\*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 32 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:121403 HCAPLUS

DN 126:131783

TI Preparation of peptides as inhibitors of factor Xa

IN Marlowe, Charles K.; Scarborough, Robert M.; Laibelman, Alan M.; Sinha,  
Uma; Zhu, Bing-yan

PA Cor Therapeutics, Inc., USA

SO PCT Int. Appl., 76 pp.

CODEN: PIXXD2



DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9640743	A2	19961219	WO 1996-US9285	19960605
	WO 9640743	A3	19970123		
	W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG			
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN			
	US 5919765	A	19990706	US 1995-483470	19950607
	CA 2224076	AA	19961219	CA 1996-2224076	19960605
	AU 9665902	A1	19961230	AU 1996-65902	19960605
	AU 710408	B2	19990923		
	EP 846125	A2	19980610	EP 1996-925254	19960605
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
	JP 11507626	T2	19990706	JP 1996-501639	19960605
	ZA 9604753	A	19970227	ZA 1996-4753	19960606
	US 6245743	B1	20010612	US 1998-77001	19980515
PRAI	US 1995-483470	A	19950607		
	WO 1996-US9285	W	19960605		

OS MARPAT 126:131783

AB Peptides R1(CH2)pX1(CH2)mCR2(X2R3R4)C(:Y1)X3R5CR6R7C(:Y2)NR8CHR9(CH2)nX4(C H2)qR10 (X1 = piperidiny1, pyrrolidiny1, cycloalkyl, Ph, substituted Ph, naphthyl, pyridyl, or null; X2 = N, CH, H; X3 = N, CH, NCH2, NCH2CH2, CHCH2; X4 = piperidiny1, pyrrolidiny1, cycloalkyl, Ph, heteroaryl, or null; R1 = H, alkyl, amino, etc.; R2, R6 = H, Me; R3 = H, arylacyl, heteroarylacyl, arylalkylsulfonyl, etc.; R4 = H, alkyl or is absent if X2 is H; R5, R7, R8 = H, alkyl; R9 = CHO, COCF3, COCF2CF3, etc.; R10 = H, alkyl, amino, etc.; Y1, Y2 = O, H2; m, n, p, q = 0-4) and their pharmaceutically acceptable salts, prodrugs, etc. were prepared as inhibitors of factor Xa. The compds. are useful in vitro or in vivo for preventing or treating coagulation disorders. Thus, Boc-D-Arg-Gly-Arg-H (I, Boc = tert-butoxycarbonyl) was prepared from Boc-Arg(Z)-OH (Z = benzyloxycarbonyl), Boc-Gly-OH, and Boc-D-Arg(Z2)-OH via peptide couplings of arginine lactam intermediates. Peptide I was evaluated for biol. half-life, antithrombotic efficacy, and effects on hemostasis and hematol. parameters.

IC ICM C07K005-06

ICS A61K038-55

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

ST peptide prepn inhibitor factor Xa; anticoagulant peptide prepn

IT Anticoagulants

(preparation of peptides as inhibitors of factor Xa)

IT Peptides, preparation

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as inhibitors of factor Xa)

IT 186369-11-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as inhibitors of factor Xa)

IT 9002-05-5, Factor xa

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL

(Biological study); PROC (Process)

(preparation of peptides as inhibitors of factor Xa)

IT 56-40-6, Glycine, reactions 64-04-0, Phenethylamine 103-40-2, Succinic acid monobenzyl ester 123-75-1, Pyrrolidine, reactions 501-52-0, 3-Phenylpropanoic acid 870-46-2, tert-Butyl carbazate 1939-99-7, Benzylsulfonyl chloride 2462-31-9, Glycine benzyl ester hydrochloride 2986-19-8, s-Methylisothiourea 4530-20-5 15761-38-3 30992-29-1 32958-46-6, 1-Cyclohexanecarboxylic acid, 4-(aminomethyl)-, trans-35448-14-7, Monobenzyl oxalate 40204-26-0, Monobenzyl malonate 51219-18-2 55878-47-2 61315-61-5 81012-92-2 106719-44-2 120267-95-0 121080-95-3, Boc D-citrulline 139976-30-0 145881-13-6 186370-70-7 186370-73-0 186370-74-1 186370-76-3 186370-77-4 186372-43-0 186392-95-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptides as inhibitors of factor Xa)

IT 186303-98-0P 186303-99-1P 186304-01-8P 186370-67-2P 186370-68-3P 186370-71-8P 186370-75-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptides as inhibitors of factor Xa)

IT 25508-20-7P 51219-20-6P 81344-50-5P 87919-06-0P 139976-26-4P 139976-27-5P 139976-29-7P 151145-22-1P 186303-93-5P 186304-02-9P 186368-96-7P 186368-97-8P 186368-98-9P 186368-99-0P 186369-00-6P 186369-01-7P 186369-03-9P 186369-04-0P 186369-05-1P 186369-06-2P 186369-07-3P 186369-09-5P 186369-10-8P 186369-15-3P 186369-16-4P 186369-33-5P 186369-34-6P 186369-36-8P 186369-37-9P 186369-40-4P 186369-50-6P 186369-54-0P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of peptides as inhibitors of factor Xa)

IT 186369-02-8P 186369-08-4P 186369-12-0P 186369-13-1P 186369-14-2P 186369-17-5P 186369-19-7P 186369-20-0P 186369-21-1P 186369-22-2P 186369-23-3P 186369-24-4P 186369-25-5P 186369-26-6P 186369-27-7P 186369-28-8P 186369-29-9P 186369-30-2P 186369-31-3P 186369-32-4P 186369-35-7P 186369-38-0P 186369-41-5P 186369-42-6P 186369-43-7P 186369-44-8P 186369-45-9P 186369-46-0P 186369-51-7P 186369-52-8P 186369-53-9P 186369-55-1P 186369-56-2P 186369-57-3P 186369-58-4P 186369-59-5P 186369-60-8P 186369-61-9P 186369-62-0P 186369-63-1P 186369-64-2P 186369-65-3P 186369-66-4P 186369-67-5P 186369-69-7P 186369-70-0P 186369-71-1P 186369-72-2P 186369-73-3P 186369-74-4P 186369-75-5P 186369-76-6P 186369-77-7P 186369-78-8P 186369-79-9P 186369-80-2P 186369-81-3P 186369-82-4P 186369-83-5P 186369-84-6P 186369-85-7P 186369-86-8P 186369-87-9P 186369-88-0P 186369-89-1P 186369-90-4P 186369-91-5P 186369-92-6P 186369-93-7P 186369-94-8P 186369-95-9P 186369-96-0P 186369-97-1P 186369-98-2P 186369-99-3P 186370-00-3P 186370-01-4P 186370-02-5P 186370-03-6P 186370-04-7P 186370-05-8P 186370-06-9P 186370-07-0P 186370-08-1P 186370-09-2P 186370-10-5P 186370-11-6P 186370-12-7P 186370-13-8P 186370-15-0P 186370-16-1P 186370-17-2P 186370-18-3P 186370-19-4P 186370-20-7P 186370-21-8P 186370-22-9P 186370-23-0P 186370-24-1P 186370-25-2P 186370-26-3P 186370-27-4P 186370-28-5P 186370-29-6P 186370-30-9P 186370-31-0P 186370-32-1P 186370-33-2P 186370-34-3P 186370-35-4P 186370-36-5P 186370-37-6P 186370-38-7P 186370-39-8P 186370-40-1P 186370-41-2P 186370-42-3P 186370-44-5P 186370-45-6P 186370-46-7P 186370-47-8P 186370-48-9P 186370-49-0P 186370-50-3P 186370-51-4P 186370-52-5P 186370-53-6P 186370-54-7P 186370-55-8P 186370-56-9P 186370-57-0P 186370-58-1P 186370-59-2P 186370-60-5P 186370-61-6P 186370-62-7P 186370-63-8P 186370-64-9P 186370-65-0P 186370-66-1P 186392-88-1P 186392-89-2P 186392-91-6P 186392-93-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptides as inhibitors of factor Xa)

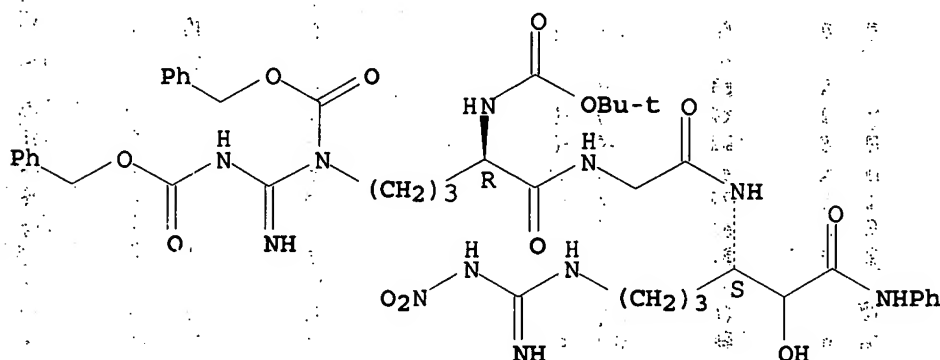
IT 186369-35-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of peptides as inhibitors of factor Xa)

RN 186369-35-7 HCAPLUS

CN L-glycero-Hexonamide, 3,4,5,6-tetradecoxy-3-[[N2-[(1,1-dimethylethoxy)carbonyl]-N5-[imino[[[(phenylmethoxy)carbonyl]amino]methyl]-N5-[(phenylmethoxy)carbonyl]-D-ornithylglycyl]amino]-6-[[imino(nitroamino)methyl]amino]-N-phenyl-, (2ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 33 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:113003 HCAPLUS

DN 126:98870

TI Novel Cyclic Biphenyl Ether Peptide β-Strand Mimetics and HIV-Protease Inhibitors

AU Janetka, James W.; Raman, Prakash; Satyshur, Ken; Flentke, George; Rich, Daniel H.

CS Department of Chemistry School of Pharmacy, University of Wisconsin, Madison, WI, 53706, USA

SO Journal of the American Chemical Society (1997), 119(2), 441-442

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

OS CASREACT 126:98870

AB The cyclic biphenyl ether peptide β-strand system was used to create a new class of protease inhibitor. Addition of the known hydroxyethylamine isostere to the cyclic biphenyl ether ring system transformed a metalloprotease inhibitor system into an aspartic protease inhibitor. It is believed that replacement of the transition state isostere by other moieties at either end of the tripeptide chain will lead to inhibitors of other proteases and β-strand-binding proteins.

CC 1-5 (Pharmacology)

Section cross-reference(s): 7, 34

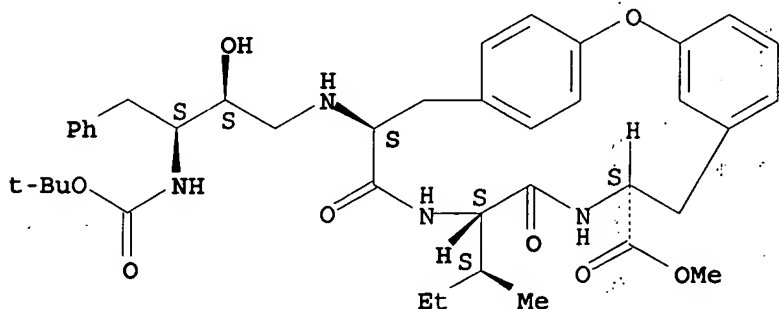
ST cyclic biphenyl ether peptide protease inhibitor

IT Enzyme kinetics

(of inhibition; preparation and properties of novel cyclic biphenyl ether peptide β-strand mimetics and their role as HIV-protease

- inhibitors)
- IT Human immunodeficiency virus  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT Conformation  
( $\beta$ -strand; preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT 185908-19-4P 185908-20-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT 144114-21-6, Retropepsin  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT 172035-50-6 185908-14-9 185908-16-1  
RL: PRP (Properties)  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT 98760-08-8 185909-38-0 185909-39-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- IT 185908-19-4P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and properties of novel cyclic biphenyl ether peptide  $\beta$ -strand mimetics and their role as HIV-protease inhibitors)
- RN 185908-19-4 HCAPLUS
- CN L-Phenylalanine, N-[(2S,3S)-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl]-L-tyrosyl-L-isoleucyl-3-hydroxy-, methyl ester, cyclic (1-3)-ether (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L35 ANSWER 34 OF 34 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:172301 HCAPLUS

DN 124:249757

TI Substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1

- protease using a novel enzyme-binding mode
- AU March, Darren R.; Abbenante, Giovanni; Bergman, Douglas A.; Brinkworth, Ross I.; Wickramasinghe, Wasantha; Begun, Jake; Martin, Jennifer L.; Fairlie, David P.
- CS Centre for Drug Design and Development, University of Queensland, Brisbane, 4072, Australia
- SO Journal of the American Chemical Society (1996), 118(14), 3375-9  
CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- AB Results are presented for inhibitors of HIV-1 protease that demonstrate a new strategy for developing peptidomimetics, involving the replacement of flexible segments of peptide substrates with conformationally constrained hydrolytically-stable macrocyclic structural mimics. A 15-membered macrocycle that imitates the tripeptide Phe-Ile-Val was designed and incorporated into the C-terminus of Ac-Leu-Val-Phe-CHOHCH<sub>2</sub>-(Phe-Ile-Val)-NH<sub>2</sub>, an inhibitor of HIV-1 protease derived from a substrate sequence. Advantages of the macrocycle over the acyclic peptide include constraining its components into their bioactive conformation and protecting the amide bonds from enzymic degradation, the cycle being stable to acid, gastric proteases, and plasma. Mol. modeling and X-ray structural studies reveal that the cyclic inhibitors have a unique enzyme-binding mode, the sterically unencumbered hydroxyethylamine isostere binds via both its hydroxyl and protonated nitrogen to the anionic Asp25 catalytic residues. The novel macrocycle superimposes well on the linear peptidic inhibitor for which it was designed as a structural mimic. Structural mimicry led to functional mimicry as shown by comparable inhibition of the protease by cyclic and acyclic mols. Further modification of the acyclic N-terminus (Leu-Val-Phe) gave stable, water-soluble, potent inhibitors of HIV-1 protease. This approach may have general application to the development of mimetics of other bioactive peptides, including inhibitors of other enzymes.
- CC 1-5 (Pharmacology)  
Section cross-reference(s): 7, 10
- ST peptidomimetic cyclic HIV protease inhibitor prepn
- IT Molecular modeling  
(substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)
- IT Peptides, biological studies  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)
- IT Virus, animal  
(human immunodeficiency 1, substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)
- IT 76046-38-3P 171858-44-9P 171858-52-9P  
175170-10-2P 175170-11-3P 175170-12-4P  
175170-13-5P 175170-14-6P 175276-02-5P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(cyclic peptidomimetics as HIV-1 protease inhibitor; substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)
- IT 72155-45-4 103127-56-6 149267-81-2 171858-43-8 175170-15-7  
175170-16-8 175170-17-9 175170-18-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit

HIV-1 protease using a novel enzyme-binding mode)  
IT 37205-61-1P, Protease inhibitor  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)  
IT 171858-44-9P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(cyclic peptidomimetics as HIV-1 protease inhibitor; substrate-based cyclic peptidomimetics of Phe-Ile-Val that inhibit HIV-1 protease using a novel enzyme-binding mode)  
RN 171858-44-9 HCAPLUS  
CN L-Valinamide, N-acetyl-L-leucyl-N-[(1S,2R)-2-hydroxy-3-[[[(8S,11S)-8-[(1S)-1-methylpropyl]-7,10-dioxo-2-oxa-6,9-diazabicyclo[11.2.2]heptadeca-13,15,16-trien-11-yl]amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

